

10/074,181

=> D HIS

(FILE 'HOME' ENTERED AT 13:12:38 ON 27 OCT 2004)

FILE 'REGISTRY' ENTERED AT 13:12:52 ON 27 OCT 2004

L1 0 S 6-6-7/EA  
L2 0 S 6-6-7/ES  
L3 98744 S 6-6-7/SZ  
L4 13536 S C6-C6-C6N/EA  
L5 13536 S L3 AND L4  
L6 11037 S L5 AND DIBENZ?  
L7 686 S CARBOXAMIDE AND L6  
L8 525 S DIBENZ[B,F]AZEPINE AND L7  
L9 60 S L8 AND OXO  
L10 17 S L9 AND NRS=1  
L11 1816 S C15 H12 N2 O2/MF  
L12 1 S L10 AND L11

FILE 'CAPLUS' ENTERED AT 13:16:38 ON 27 OCT 2004

L13 303 S L12

FILE 'REGISTRY' ENTERED AT 13:16:58 ON 27 OCT 2004

L14 1 S 28721-07-5/CRN  
L15 2 S L13 OR L14

FILE 'CAPLUS' ENTERED AT 13:17:48 ON 27 OCT 2004

L16 303 S L15  
L17 32 S L16 AND FORM  
L18 10 S L16 AND POLYM?  
L19 36 S L17 OR L18  
L20 69 S L16 AND PATENT/DT  
L21 234 S L16 NOT L20  
L22 10 S L21 AND FORM  
L23 1 S L21 AND POLYM?  
L24 20183 S SEIZURE  
L25 6037 S SEIZURE/IT  
L26 19248 S PARKINSON?  
L27 9692 S PARKINSON/IT  
L28 84628 S CENTRAL NERVOUS SYSTEM OR CNS  
L29 19080 S (CENTRAL NERVOUS SYSTEM OR CNS)/IT  
L30 120697 S L24 OR L25 OR L26 OR L27 OR L28 OR L29  
L31 67 S L21 AND L30  
L32 74 S L22 OR L23 OR L31  
L33 5 S L32 AND 2004/SO  
L34 10 S L32 AND 2003/SO  
L35 11 S L32 AND 2002/SO  
L36 48 S L32 NOT (L33 OR L34 OR L35)  
L37 117 S L20 OR L36  
L38 14734 S EPILEPSY  
L39 10923 S EPILEPSY/IT  
L40 128019 S L30 OR L38 OR L39  
L41 22 S L21 AND 2004/SO  
L42 35 S L21 AND 2003/SO  
L43 34 S L21 AND 2002/SO  
L44 91 S L41 OR L42 OR L43  
L45 143 S L21 NOT L44  
L46 62 S L40 AND L45  
L47 131 S L20 OR L46  
L48 212 S L16 NOT L44  
L49 81 S L48 NOT L47

10/074,181

FILE 'REGISTRY' ENTERED AT 13:28:44 ON 27 OCT 2004

FILE 'CAPLUS' ENTERED AT 13:29:08 ON 27 OCT 2004

=> D L15 1-2

YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:Y

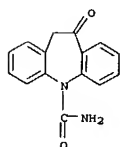
10/074,181

L15 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 448184-78-9 REGISTRY  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo-, compd. with  
 trichloromethane (9CI) (CA INDEX NAME)  
 MF C15 H12 N2 O2 . x C H Cl3  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA Caplus document type; Patent  
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); PRP  
 (Properties); USES (Uses)

CM 1

CRN 28721-07-5

CMF C15 H12 N2 O2



CM 2

CRN 67-66-3

CMF C H Cl3



1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L15 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 28721-07-5 REGISTRY  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI,  
 9CI) (CA INDEX NAME)

OTHER NAMES:

CN 10,11-Dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide

CN GP 47680

CN Oxacarbazepine

CN Oxcarbazepine

CN Trileptal

FS 3D CONCORD

MF C15 H12 N2 O2

CI COM

LC STN Files:

ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN\*,  
 BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CBNB,  
 CHEMCATS, CHEMLIST, CIN, CSCHEM, DDFU, DIOGENES, DRUGU, EMBASE, IFICDB,  
 IFIPAT, IFIUBD, IMSCOSEARCH, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA,  
 MEDLINE, MRCK\*, PHAR, PROMT, PROUSDDR, PS, RTECS\*, SYNTHLINE,  
 TOXCENTER

USAN, USPAT2, USPATFULL

(\*File contains numerically searchable property data)

Other Sources: EINECS\*, WHO

(\*Enter CHEMLIST File for up-to-date regulatory information)

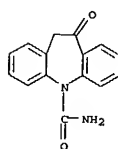
DT.CA Caplus document type: Conference; Journal; Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); PROC  
 (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses)

RLD.P Roles for non-specific derivatives from patents: BIOL (Biological  
 study); USES (Uses)

RL.NP Roles from non-patents: ANST (Analytical study); BIOL (Biological  
 study); FORM (Formation, nonpreparative); PREP (Preparation); PROC  
 (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses)

RLD.NP Roles for non-specific derivatives from non-patents: ANST (Analytical  
 study); BIOL (Biological study); FORM (Formation, nonpreparative); OCCU  
 (Occurrence); USES (Uses)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

300 REFERENCES IN FILE CA (1907 TO DATE)  
 5 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 303 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L15 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2004 ACS on STN (Continued)

10/074,181

=> d ibib abs hitstr L47 1-131



10/074,181

~~L47~~ ANSWER 1 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2004:857406 CAPLUS  
TITLE: Combinations of antiepileptic drugs for the treatment of neurological disorders  
INVENTOR(S): Aitken, David; Lingenhohl, Kurt; Schmutz, Markus  
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH  
SOURCE: PCT Int. Appl., 22 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087161	A1	20041014	WO 2004-EP3518	20040402
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TW, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: GB 2003-7860 A 20030404

AB The invention discloses combinations comprising two antiepileptics, pharmaceutical compns. comprising such combinations, and the use of such combinations for the preparation of a medicament for the treatment of

neurological disorders, especially epilepsy.

IT INDEXING IN PROGRESS

IT 28721-07-5, Oxcarbazepine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

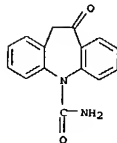
(antiepileptic drug combination for treatment of neurological disorder)

RN 28721-07-5 CAPLUS

CN 5H-Dibenz(b,f)azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)

(CA INDEX NAME)

L47 ANSWER 1 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



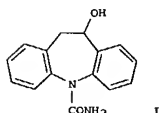
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

~~L47~~ ANSWER 2 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2004:701997 CAPLUS  
DOCUMENT NUMBER: 141:200213  
TITLE: Use of R-10-hydroxy-10,11-dihydrocarbamazepine for the treatment of neuropathic pain  
INVENTOR(S): Fox, Alyson; Bevan, Stuart  
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH  
SOURCE: PCT Int. Appl., 15 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004071513	A1	20040826	WO 2004-EP1451	20040216
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BR, BW, BY, BZ, BZ, CA, CH, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, GR, GR, HU, HU, ID, IL, IN, IS, JP, JP, KR, KZ, KG, KP, KP, KR, KR, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: GB 2003-3615 A 20030217

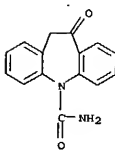
GI



AB The invention relates to the use of a mixture of the enantiomers of I or of pharmaceutically acceptable salts of the enantiomers consisting of at least 55% of the R-enantiomer, most preferably of at least 90% of the R-enantiomer, and not more than 45% of the S-enantiomer, most preferably not more than 2% of the S-enantiomer, for the manufacture of a pharmaceutical composition for the treatment of neuropathic pain; to a method for the treatment of neuropathic pain; and to a pharmaceutical composition comprising as active agent a mixture of the enantiomers of I or pharmaceutically acceptable salts of the enantiomers consisting of at least 55% of the R-enantiomer and not more than 45% of the S-enantiomer.

IT 28721-07-5

L47 ANSWER 2 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(hydroxydihydrocarbamazepine enantiomers for treatment of neuropathic pain)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz(b,f)azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)

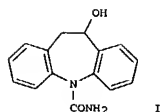


10/074,181

L47 ANSWER 3 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 AB  
 ACCESSION NUMBER: 2004:701929 CAPLUS  
 DOCUMENT NUMBER: 141:200211  
 TITLE: Use of S-10-hydroxy-10,11-dihydrocarbamazepine for the treatment of anxiety and bipolar disorders  
 INVENTOR(S): Bilbe, Graeme; Cryan, John F.; Gentsch, Conrad; McAllister, Kevin Hall; Schmutz, Markus; Vassout, Annick  
 PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis Pharma GmbH  
 SOURCE: PCT Int. Appl., 10 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004071152	A2	20040826	WO 2004-EP1452	20040216
W:	AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, DE, DE, DE, DK, DK, DM, DM, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IM, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			GB 2003-3613	A 20030217
			GB 2003-3614	A 20030217
			GB 2003-7278	A 20030328
			GB 2003-7281	A 20030328

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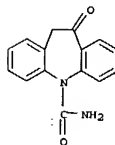


L47 ANSWER 4 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 AB  
 ACCESSION NUMBER: 2004:654770 CAPLUS  
 DOCUMENT NUMBER: 141:179663  
 TITLE: Crystalline methylated cyclodextrins for solubilization of drugs in formulations  
 INVENTOR(S): Chang, Rong-kun  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 6 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

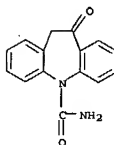
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004157797	A1	20040812	US 2004-770727	20040202
WO 2004069187	A2	20040819	WO 2004-US2920	20040202
W:	AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, DE, DE, DE, DK, DK, DM, DM, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			US 2003-444455P	P 20030203

AB The present invention is directed to pharmaceutical compns. containing crystalline methylated cyclodextrins, which enhance the solubility of the pharmaceutically active agent or agents of the formulation. Crystalline methylated  $\beta$ -cyclodextrin provided superior solubilization efficiency for drugs such as carbamazepine compared to other cyclodextrin deriva.  
 IT 20721-07-5, Oxcarbazepine  
 RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (crystalline methylated cyclodextrins for solubilization of drugs in formulations)  
 RN 20721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

L47 ANSWER 3 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 AB The invention relates to the use of a racemate of the compound of formula (I) consisting of at least 85 % S-enantiomer and not more than 15 % R-enantiomer or of pharmaceutically acceptable salts of said racemate or of the S-enantiomer of formula I or of pharmaceutically acceptable salts of said enantiomer for the treatment of anxiety or other psychiatric disorders with underlying anxiety symptomatology or for the treatment of affective and attention disorders; pharmaceutical compns. for that purpose and packages comprising said pharmaceutical compns. together with instructions for the use of said compns. for the treatment of anxiety or other psychiatric disorders with underlying anxiety symptomatology or of affective and attention disorders.  
 IT 20721-07-5  
 RL: RCT (Reactant); RACT (Reactant or reagent) (use of S-10-hydroxy-10,11-dihydrocarbamazepine for treatment of anxiety and bipolar disorders)  
 RN 20721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



L47 ANSWER 4 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



10/074,181

L47 ANSWER 5 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2004:648315 CAPLUS  
 DOCUMENT NUMBER: 141:179622  
 TITLE: Controlled release pharmaceutical compositions containing polymers  
 INVENTOR(S): Kannan, Muthaiyyan Saakki; Krishnan, Anandi; Sapre, Beena Amol; Shah, Chitra; Patil, Atul  
 PATENT ASSIGNEE(S): Glenmark Pharmaceuticals Ltd., India  
 SOURCE: PCT Int. Appl., 75 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

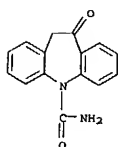
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004066910	A2	20040812	WO 2004-18274	20040126
W:	AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, LC, LC, LR, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI			
US 2004185097	A1	20040923	US 2004-762180	20040121
PRIORITY APPLN. INFO.:			IN 2003-MU130	A 20030131
			US 2003-517589P	P 20031105

AB A solid controlled release pharmaceutical composition suitable comprises  
 a drug, a primary release-modifying agent, a secondary release-modifying agent and an auxiliary release-modifying agent, which are present in  
 amounts that synergistically extend the release of the active ingredient. Thus, tablets contained nicotinic acid 500.00, PEG (mol. weight 4,000,000)  
 170.0, retrograde starch 40.00, lactose monohydrate 30.00, talc 5.00, and Mg stearate 5.00 mg, and water qs.  
 IT 28721-07-5, Oxcarbazepine  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 RN (controlled release pharmaceutical compns. containing polymers)  
 CN 28721-07-5 CAPLUS  
 CA 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 INDEX NAME)

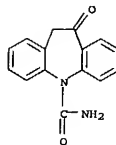
L47 ANSWER 6 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2004:512410 CAPLUS  
 DOCUMENT NUMBER: 141:54210  
 TITLE: Preparation of dibenzo[b,f]azepinecarboxamide derivative  
 INVENTOR(S): Takeuchi, Hideki  
 PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokyo Koho, 10 pp.  
 CODEN: JKXXAP  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004175761	A2	20040624	JP 2002-346547	20021128
PRIORITY APPLN. INFO.:			JP 2002-346547	20021128

OTHER SOURCE(S): CASREACT 141:54210  
 AB 10,11-Dihydro-10-oxo-5H-dibenzo[b,f]azepine-5-carboxamide (I), useful as a nervous system agent (no data), is prepared by oxidation of 10,11-dihydro-10-hydroxy-5H-dibenzo[b,f]azepine-5-carboxamide (II) using DMSO and its activators. A MeOH suspension of 10.3 g 10,11-epoxy-10,11-dihydro-5H-dibenzo[b,f]azepine-5-carboxamide (preparation given) was hydrogenated in the presence of Pd-C at room temperature for 13 h to give 9.4 g  
 II, 3.0 g of which was oxidized by DMSO in the presence of SO<sub>3</sub>-pyridine complex and Et<sub>3</sub>N at room temperature for 1 h and treated with aqueous H<sub>2</sub>O<sub>2</sub> to give 1.51 g I.  
 IT 28721-07-5P  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of dihydrooxodibenzo[b,f]azepinecarboxamide by hydrogenation of epoxide and oxidation)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 CA INDEX NAME)



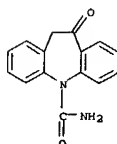
L47 ANSWER 5 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L47 ANSWER 7 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2004:392317 CAPLUS  
 DOCUMENT NUMBER: 140:386050  
 TITLE: Anticonvulsants, antidepressants, and opioids for treating fibromyalgia  
 INVENTOR(S): Benja-Athon, Anuthep  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 4 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004092504	A1	20040513	US 2002-290786	20021112
PRIORITY APPLN. INFO.:			US 2002-290786	20021112

AB Base on the anatomy and neurophysiol. described in the Neurophysiol. Basis of Idiopathic Diseases, the categories of oral and parenteral medications can be used to manage and treat fibromyalgia and related diseases, disorders, syndromes and sequelae in a human. The target neurons involve in the genesis and perpetuation of fibromyalgia and related syndromes, diseases and disorders and sequelae in the peripheral nervous system and central nervous system are affected and modulated by the anticonvulsants, antidepressants and opioids.  
 IT 28721-07-5, Oxcarbazepine  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (anticonvulsants, antidepressants, and opioids for treating fibromyalgia)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 CA INDEX NAME)



10/074,181

L47 ANSWER 8 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN  
ACCESSION NUMBER: 2004:372884 CAPLUS  
DOCUMENT NUMBER: 140:368721  
TITLE: Methods of using and compositions comprising a JNK inhibitor for the treatment, prevention, management and/or modification of pain  
INVENTOR(S): Zeldis, Jerome B.; Faleck, Herbert; Manning, Donald C.  
PATENT ASSIGNEE(S): USA  
SOURCE: U.S. Pat. Appl. Publ., 35 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004087642	A1	20040506	US 2003-693793	20031023
WO 2004039325	A2	20040513	WO 2003-US34006	20031024

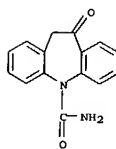
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD

RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CI, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-421104P P 20021024  
US 2003-693793 A 20031023

OTHER SOURCE(S): MARPAT 140:368721  
AB The present invention relates to methods for treating, preventing, managing and/or modifying pain, comprising administering an effective amount of a JNK inhibitor to a patient in need thereof. Specific embodiments encompass the administration of a JNK inhibitor, alone or in combination with a second active agent and/or surgery or phys. therapy. Pharmaceutical compns., single unit dosage forms, and kits suitable for use in methods of the invention are also disclosed. 5-Aminoanthra[9,1-cd]isothiazol-6-one inhibited JNK2 and JNK3, inhibited IL-2 production in Jurkat T-cells, and protected rat ventral mesencephalon neurons from the toxic effects of 6-hydroxydopamine.  
IT 28721-07-5, Oxcarbazepine  
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (as second active agent; JNK inhibitor for treatment, prevention, management and/or modification of pain)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)

L47 ANSWER 8 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



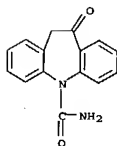
L47 ANSWER 9 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN  
ACCESSION NUMBER: 2004:372861 CAPLUS  
DOCUMENT NUMBER: 140:368720  
TITLE: Compositions comprising selective cytokine inhibitory drugs for treatment, modification and management of pain  
INVENTOR(S): Zeldis, Jerome B.; Faleck, Herbert; Manning, Donald C.  
PATENT ASSIGNEE(S): USA  
SOURCE: U.S. Pat. Appl. Publ., 27 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004087558	A1	20040506	US 2003-693722	20031023

PRIORITY APPLN. INFO.: US 2002-421004P P 20021024

OTHER SOURCE(S): MARPAT 140:368720  
AB Methods of treating, preventing, modifying and managing various types of pain are disclosed. Specific methods comprise the administration of a selective cytokine inhibitory drug, or a pharmaceutically acceptable salt, solvate, hydrate, stereoisomer, clathrate, or prodrug thereof, alone or in combination with a second active agent and/or surgery, psychol. or phys. therapy. Pharmaceutical compns., single unit dosage forms, and kits suitable for use in methods of the invention are also disclosed. For example, in vitro studies suggested a pharmacol. activity profile for a selective inhibitory drug 3-(3,4-dimethoxyphenyl)-3-(1-oxo-1,3-dihydroisoindol-2-yl)propionamide (I) was 5 to 50 times more potent than thalidomide. The pharmacol. effects of I may derive from its action as an inhibitor of the generation of inflammatory cytokines. The cardiovascular and respiratory changes induced by three ascending doses of I (400, 800, and 1200 mg/kg/day) in dogs were minimal when compared to the vehicle control group.  
IT 28721-07-5, Oxcarbazepine  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (selective cytokine inhibitors in combination with other drugs for treatment, modification and management of pain)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)

L47 ANSWER 9 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



10/074,181

L47 ANSWER 10 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2004:368895 CAPLUS  
 DOCUMENT NUMBER: 140:368714  
 TITLE: Methods and compositions using selective cytokine inhibitory drugs, alone or in combination with other therapeutic means, for treatment, modification and management of pain  
 INVENTOR(S): Zeldis, Jerome B.; Faleck, Herbert; Manning, Donald C.  
 PATENT ASSIGNEE(S): Celgene Corporation, USA  
 SOURCE: PCT Int. Appl., 62 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

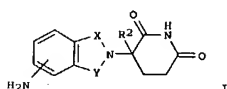
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037207	A2	20040506	WO 2003-US34005	20031024
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:		US 2002-421004P P 20021024		

OTHER SOURCE(S): MARPAT 140:368714  
 AB Methods of treating, preventing, modifying and managing various types of pain are disclosed. Specific methods comprise the administration of a selective cytokine inhibitory drug, or a pharmaceutically acceptable salt, solvate, hydrate, stereoisomer, clathrate, or prodrug thereof, alone or in combination with a second active agent and/or surgery, psychol. or phys. therapy. Pharmaceutical compns., single unit dosage forms, and kits suitable for use in methods of the invention are also disclosed.  
 IT 28721-07-5, Oxcarbazepine  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (cytokine inhibitors, alone or in combination with other therapeutic means, for treatment of pain)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

L47 ANSWER 11 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2004:368888 CAPLUS  
 DOCUMENT NUMBER: 140:368712  
 TITLE: Methods of using and compositions comprising immunomodulatory compounds for treatment, modification and management of pain  
 INVENTOR(S): Zeldis, Jerome B.; Faleck, Herbert; Manning, Donald C.  
 PATENT ASSIGNEE(S): Celgene Corporation, USA  
 SOURCE: PCT Int. Appl., 53 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

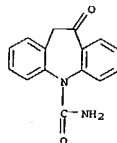
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037199	A2	20040506	WO 2003-US33757	20031024
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:		US 2002-421003P P 20021024		

OTHER SOURCE(S): MARPAT 140:368712  
 GI

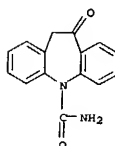


AB Methods of treating, preventing, modifying and managing various types of pain are disclosed. Specific methods comprise the administration of an immunomodulatory compound of formula (I), or a pharmaceutically acceptable salt, solvate, hydrate, stereoisomer, clathrate, or prodrug thereof, alone or in combination with a second active agent and/or surgery, psychol. or phys. therapy. Pharmaceutical compns., single unit dosage forms, and kits suitable for use in methods of the invention are also disclosed.  
 IT 28721-07-5, Oxcarbazepine  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (methods of using and compns. comprising immunomodulatory compds. for

L47 ANSWER 10 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L47 ANSWER 11 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 treatment, modification and management of pain)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



10/074,181

L47 ANSWER 12 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2004:354782 CAPLUS  
 DOCUMENT NUMBER: 140:363050  
 TITLE: Pharmaceutical composition for treating pain comprising oxcarbazepine, or derivatives thereof, and COX2 inhibitors  
 INVENTOR(S): Hopwood, Margaret; Manning, Donald  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.  
 SOURCE: PCT Int. Appl., 39 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

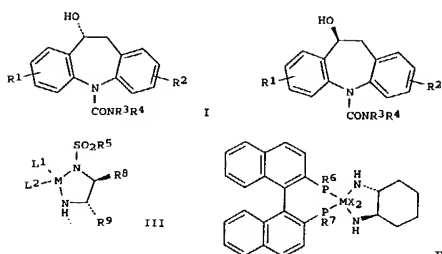
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035041	A1	20040429	WO 2003-EP11555	20031017
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, ME, MG, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR			
PRIORITY APPLN. INFO.:		GB 2002-24199	A	20021017
		GB 2002-24200	A	20021017

OTHER SOURCE(S): MARPAT 140:363050  
 AB A pharmaceutical composition for treatment of pain, comprises in combination  
 oxcarbazepine or derivative thereof as defined and a COX-2 inhibitor for simultaneous, sequential or sep. use. Also provided is a method of treating a patient suffering from pain, comprising administering to the patient an effective amount of oxcarbazepine or derivative thereof and an effective amount of a COX-2 inhibitor. Formulation of a tablet containing  
 5-methyl-2-(2'-chloro-6'-fluoroanilino)phenylacetic acid 50 mg, and a tablet containing oxcarbazepine 150 mg is disclosed.  
 IT 28721-07-5, Oxcarbazepine  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical composition for treating pain comprising oxcarbazepine, or derivate thereof, and COX2 inhibitors)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

L47 ANSWER 13 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2004:308420 CAPLUS  
 DOCUMENT NUMBER: 140:321248  
 TITLE: Enantioselective transfer hydrogenation process for the preparation of both enantiomers of 10,11-dihydro-10-hydroxy-5H-dibenz[b,f]azepine-5-carboxamide and new crystal forms thereof.  
 INVENTOR(S): Mathes, Christian; Sedelmeier, Gottfried; Blatter, Fritz; Pfeffer, Sabine; Grimler, Dominique  
 PATENT ASSIGNER(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.  
 SOURCE: PCT Int. Appl., 36 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

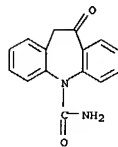
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004031155	A1	20040415	WO 2003-EP11034	20031006
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, ME, MG, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR			
PRIORITY APPLN. INFO.:		GB 2002-23224	A	20021007

OTHER SOURCE(S): MARPAT 140:321248  
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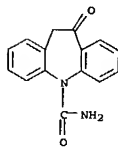
AB Title compds. (I, II; R1, R2 = H, halo, amino, NO2; R3, R4 = H, alkyl) were prepared by transfer hydrogenation of the corresponding 10-oxo-dihydrodibenz[b,f]azepines in the presence of H donors and

L47 ANSWER 12 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L47 ANSWER 13 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 catalysts e.g. [III, IV, etc.; M = Ru, Rh, Ir, Fe, Co, Ni; L1 = H; L2 = aryl, arylaliph.; X = halo; R5 = aliph., cycloaliph., cycloaliph.-aliph., aryl, arylaliph. residue, which, in each case, may be linked to a polymer;  
 R6, R7 = aliph., cycloaliph., cycloaliph.-aliph., aryl, arylaliph. residue; R8, R9 = Ph; R8R9 = atoms to form cyclohexyl, cyclopentyl rings).  
 Thus, to 10-oxo-10,11-dihydrodibenz[b,f]azepine-5-carboxylic acid amide and RuCl(1R,2R)-p-TaNC(CH3)3(C6H5)2 (n6-p-cymene) in CH2Cl2 is added dropwise a premixed soln. of HCO2H and NEt3 at 23° followed by stirring for 10 min; the clear soln. is heated to reflux for 16 h to afford (R)-(-)-10,11-dihydro-10-hydroxy-5H-dibenz[b,f]azepine-5-carboxamide in >99% enantiomeric purity.  
 IT 28721-07-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (enantioselective transfer hydrogenation process for the preparation of both enantiomers of dihydrohydroxydibenzazepinecarboxamide and new crystal forms thereof)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

10/074,181

✓ L47 ANSWER 14 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2004:267249 CAPLUS  
DOCUMENT NUMBER: 140:292642  
TITLE: Modified release formulations of oxcarbazepine and its derivatives  
INVENTOR(S): Wolf, Marie-Christine; Kalb, Oskar; Bonny, Jean-Daniel; Hirsch, Stefan  
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.  
SOURCE: PCT Int. Appl., 41 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026314	A1	20040401	WO 2003-EP10475	20030919
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, ME, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR			

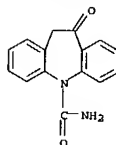
PRIORITY APPLN. INFO.: GB 2002-21956 A 20020920

AB Oral once a day dosage forms comprising oxcarbazepine are disclosed. The modified-release formulation comprises (i) a tablet core containing oxcarbazepine, optionally a filler, and at least one further excipient selected from cellulose ethers, a carboxyvinyl polymer of acrylic acid crosslinked with alkyl ethers of sucrose or pentaerythritol and polymethacrylates, and (ii) a coating. For example, a tablet formulation with encapsulated granulate system was prepared comprising (A) a tablet core containing oxcarbazepine 600.0 mg, Sudragit RL 30D 90.0 mg, Avicel PH 102 150.0 mg, croscarmellose sodium 75.0 mg, Aerosil 200 2.8 mg, and magnesium stearate 4.5 mg, and (B) a coating containing Yellow Iron Oxide 0.86 mg, titanium dioxide 1.30 mg, PEG 4000 1.73 mg, Cellulose HPM 603 17.25 mg, and talc 3.02 mg. The drug release rate in water containing 1% sodium dodecyl sulfate at 37° was 91 to 98% in 2 h.

IT 28721-07-5, Oxcarbazepine  
RL: PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(modified-release oral formulations of oxcarbazepine for treatment of epilepsy)

RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)

L47 ANSWER 14 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

✓ L47 ANSWER 15 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2004:252201 CAPLUS  
DOCUMENT NUMBER: 140:229472  
TITLE: Method using dopamine activity-modulating anticonvulsants for treatment of disorders of personal attachment and deficient social interaction  
INVENTOR(S): Daniel, David Gordon  
PATENT ASSIGNEE(S): USA  
SOURCE: U.S. Pat. Appl. Publ., 5 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

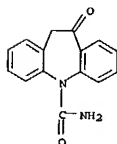
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004058997	A1	20040325	US 2002-252716	20020924
PRIORITY APPLN. INFO.:			US 2002-252716	20020924

AB The invention provides a process for treatment of central nervous system disorders characterized by interpersonal discomfort and awkwardness, diminished social approach and initiative, and paucity of interpersonal attachments and social interactions. Abnormal perceptions of interpersonal communication and peculiarities of social behavior commonly accompany these symptoms. Inhibited initiation of social behavior and personal attachment are cardinal symptoms of schizotypal personality disorder, schizoid personality disorder, paranoid personality disorder, avoidant personality disorder, pervasive developmental disorder, and Asperger's syndrome. These symptoms may also in the form of clin. significant social introversion that does not meet the threshold for a formal psychiatric disorder by current diagnostic stds. such as DSM-IV. The treatment provides a process of symptomatic relief and stabilization of the course of these disorders. The methodol. of the invention uses administration of an anticonvulsant which modulates dopamine activity.

IT 28721-07-5, Oxcarbazepine  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(dopamine activity-modulating anticonvulsants for treatment of disorders of personal attachment and deficient social interaction)

RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)

L47 ANSWER 15 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



10/074,181

L47 ANSWER 16 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2004:162447 CAPLUS  
DOCUMENT NUMBER: 140:193061  
TITLE: Method of treatment of persistent pain by inhibiting  
mediators of inflammation  
Omoigui, Osemwota  
INVENTOR(S):  
PATENT ASSIGNEE(S): USA  
SOURCE: U.S. Pat. Appl. Publ., 14 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004038874	A1	20040226	US 2002-224743	20020822
PRIORITY APPLN. INFO.:			US 2002-224743	20020822

AB This invention relates to a method for treating persistent pain disorders by inhibiting the biochem. mediators of inflammation in a subject comprising administering to said subject a therapeutically effective dosage of said inhibitor. Said process for treating persistent pain disorders is based on Sota Omoigui's Law, which states: The origin of all pain is inflammation and the inflammatory response. Biochem. mediators

of inflammation that are targeted for inhibition include but are not limited to: prostaglandin, nitric oxide, tumor necrosis factor alpha, interleukin 1-alpha, interleukin 1-beta, interleukin-4, Interleukin-6 and interleukin-8, histamine and serotonin, substance P, Matrix Metallo-Proteinase, calcitonin gene-related peptide, Vasoactive

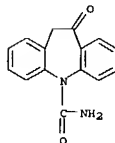
intestinal peptide as well as the potent inflammatory mediator peptide proteins neurokinin A, bradykinin, kallidin and T-kinin.

IT 28721-07-5, Oxcarbazepine  
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(as nitric oxide inhibitor; persistent pain treatment by inhibiting mediators of inflammation)

RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA

INDEX NAME)

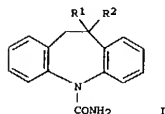
L47 ANSWER 16 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L47 ANSWER 17 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2004:142972 CAPLUS  
DOCUMENT NUMBER: 140:175190  
TITLE: Use of carbamazepine derivatives for the treatment of  
tinnitus  
INVENTOR(S): Schmutz, Markus  
PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis Pharma GmbH  
SOURCE: PCT Int. Appl., 14 pp.  
CODEN: P1XXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014391	A1	20040219	WO 2003-EP8669	20030805
WO 2004014391	B1	20040415		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HE, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, ME, MG, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR			
PRIORITY APPLN. INFO.:			GB 2002-18243	A 20020806
			GB 2002-18244	A 20020806

OTHER SOURCE(S): MARPAT 140:175190  
GI



AB The invention relates to the use of carbamazepine derivs. I (R1 = H and R2 = OH, C1-3 alkylcarbonyloxy, or R1 and R2 together = oxo) in treating tinnitus or other inner ear/cochlear excitability-related disease.

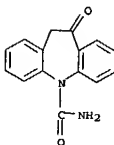
Preparation of compds. is included.

IT 28721-07-5  
RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)  
(carbamazepine derivs. for treatment of tinnitus)

RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA

INDEX NAME)

L47 ANSWER 17 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT



10/074,181

L47 ANSWER 18 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2004:41272 CAPLUS  
 DOCUMENT NUMBER: 140:99642  
 TITLE: Novel medicament combinations based on sodium channel blockers and magnesium salts  
 INVENTOR(S): Duettmann, Hermann; Weiser, Thomas  
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany  
 SOURCE: PCT Int. Appl., 29 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

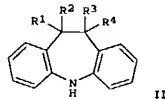
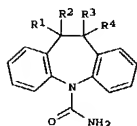
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004004723	A1	20040115	WO 2003-EP6665	20030625
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10230027	A1	20040122	DE 2002-10230027	20020704
US 20040087513	A1	20040506	US 2003-612107	20030702
PRIORITY APPLN. INFO.:			DE 2002-10230027	A 20020704
			US 2002-408213P	P 20020904

OTHER SOURCE(S): MARPAT 140:99642  
 AB The invention relates to novel medicament combinations based on sodium channel blockers and magnesium salts. The invention also relates to a method for the production thereof and the use thereof in the production of medicaments for the treatment of ischemic states. The sodium channel blockers and magnesium salts are administered parenteral; magnesium salts can be administered orally. The two components can be included in sep. formulations or in one formulation. Thus a sodium channel blocker injection contained (mg): crobenetine hydrochloride 767; hydroxypropyl  $\gamma$ -cyclodextrin 10000; mannitol 11000; acetic acid (99%) 125.25; sodium acetate trihydrate 56.5; and water to 250 mL. A magnesium salt injection contained 1000 mg magnesium sulfate and 10 mL water.  
 IT 28721-07-5, Oxcarbazepine  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (medicament combinations based on sodium channel blockers and magnesium salts)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA)

L47 ANSWER 19 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2003:1006946 CAPLUS  
 DOCUMENT NUMBER: 140:42043  
 TITLE: Method of preparing a 5H-dibenz[b,f]azepine-5-carboxamide  
 INVENTOR(S): Gutman, Daniela; Baidossi, Wael  
 PATENT ASSIGNEE(S): Taro Pharmaceuticals U.S.A., Inc., USA  
 SOURCE: PCT Int. Appl., 27 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

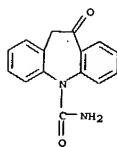
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106414	A2	20031224	WO 2003-US18823	20030613
WO 2003106414	A3	20040701		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004044200	A1	20040304	US 2003-460946	20030613
PRIORITY APPLN. INFO.:			US 2002-388811P	P 20020614

OTHER SOURCE(S): CASREACT 140:42043; MARPAT 140:42043  
 GI



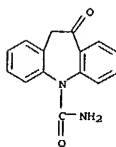
AB The present invention provides a method of preparing a 5H-dibenz[b,f]azepine-5-carboxamide I [R1-R4 = H, halo, NO2, CN, etc.; R2 and R3 can together form a bond] comprising reacting a 5H-dibenz[b,f]azepine II with a cyanate salt selected from the group consisting of alkali metal cyanate salts and alkaline-earth metal cyanate salts, and a salt of an amino compound having no N-H bonds, wherein the salt has a Ka (25° C) of at least about 10<sup>-10</sup>-11. Thus, reacting 10-methoxy-5H-dibenz[b,f]azepine with NaOCN and

L47 ANSWER 18 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L47 ANSWER 19 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 pyridinium bromide in PhMe followed by hydrolysis of the resulting enol ether with 10% HCl afforded 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide (oxcarbazepine) which is known to control some types of seizures in the treatment of epilepsy (no biol. data given). Prepn. of oxcarbazepine is also described.  
 IT 28721-07-5P, Oxcarbazepine  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (method of preparing a 5H-dibenz[b,f]azepine-5-carboxamide)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA)  
 INDEX NAME)



10/074,181

447 ANSWER 20 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2003:1006769 CAPLUS  
DOCUMENT NUMBER: 140:47530  
TITLE: Medicament combinations of sodium channel blockers and fibrinolytics for treating ischemic conditions  
INVENTOR(S): Banzet, Sophie; Duettmann, Hermann; Mauz, Annerose  
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany  
SOURCE: PCT Int. Appl., 29 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003:105844	A1	20031224	WO 2003-EP5813	20030604
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, NG, SN, TD, TG			
DE 10226814	A1	20040108	DE 2002-10226814	20020615
US 2003235576	A1	20031225	US 2003-460709	20030612
PRIORITY APPLN. INFO.:			DE 2002-10226814	A 20020615
			US 2002-408144P	P 20020904

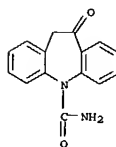
OTHER SOURCE(S): MARPAT 140:47530  
AB The invention relates to novel medicament combinations based on sodium channel blockers and fibrinolytics, to a method for producing the same and to the use thereof for producing medicaments for treating ischemic conditions. The selected sodium channel blockers and fibrinolytics can be prepared as one formulation or as two formulations. The synthesis of benzazocine compds. that are sodium channel blockers is described. An injection formulation containing the sodium channel blocker included: crobenetine hydrochloride 767 mg; hydroxypropyl  $\gamma$ -cyclodextrin 10000 mg; mannitol 11000 mg; acetic acid (99%) 125.25; sodium acetate trihydrate 56.8; water to 250 mL.  
IT 28721-07-5, Oxcarbazepine  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (medicament combinations of sodium channel blockers and fibrinolytics for treating ischemic conditions)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA)

447 ANSWER 21 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2003:971869 CAPLUS  
DOCUMENT NUMBER: 140:31488  
TITLE: Controlled release formulation of oxcarbazepine  
INVENTOR(S): Franke, Hanshermann; Lennartz, Peter  
PATENT ASSIGNEE(S): Desit: Arzneimittel G.m.b.H., Germany  
SOURCE: PCT Int. Appl., 31 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003:101430	A1	20031211	WO 2003-EP5116	20030515
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, NG, SN, TD, TG			
DE 10224170	A1	20031211	DE 2002-10224170	20020531
DE 10250566	A1	20031211	DE 2002-10250566	20021030
US 2004142033	A1	20040722	US 2003-723314	20031126
US 2004185095	A1	20040923	US 2004-478428	20040506
PRIORITY APPLN. INFO.:			DE 2002-10224170	A 20020531
			DE 2002-10224177	A 20020531
			DE 2002-10250566	A 20021030
			WO 2003-EP5116	A2 20030515

AB The invention relates to pharmaceutical compns., particularly oral compns., containing an effective content of oxcarbazepine and having a delayed active substance release. The compns. have a characteristic in-vitro release profile. Thus 30 kg oxcarbazepine, 2 kg Eudragit RSPOR, 4 kg microcryst. cellulose and 0.4 kg sodium carboxymethyl starch were mixed in a quick mixer; the mixture was compacted in a Gertreis roller compacter; the product was disintegrated by force sieving, classified through a 1 mm mesh and encapsulated in hard gelatine capsules. Tablets were prepared by adding magnesium stearate and cellulose to the classified particles before pressing. 600 Mg oxcarbazepine-containing tablets were tested for dissoln. in sodium dodecyl sulfate and administered to patients for pharmacokinetic studies.  
IT 28721-07-5, Oxcarbazepine  
RL: PEP (Physical, engineering or chemical process); PKT (Pharmacokinetics); PYP (Physical process); THU (Therapeutic use); BIOL

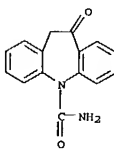
L47 ANSWER 20 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L47 ANSWER 21 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
(Biological study); PROC (Process); USES (Uses)  
(controlled release formulation of oxcarbazepine)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

10/074,181

L47 ANSWER 22 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2003:971868 CAPLUS  
DOCUMENT NUMBER: 140:19871  
TITLE: Delayed release drug delivery systems containing polymers and method for preparation by mixing and compacting  
INVENTOR(S): Hansberrmann, Franke; Lennartz, Peter; Raimer, Joern  
PATENT ASSIGNEE(S): Desitin Arzneimittel GmbH, Germany  
SOURCE: PCT Int. Appl., 32 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101428	A1	20031211	WO 2003-EP5115	20030515
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10224170	A1	20031211	DE 2002-10224170	20020531
PRIORITY APPLN. INFO.:			DE 2002-10224170	A 20020531

AB The invention relates to a pharmaceutical composition, which has a delayed active substance release and can be obtained by means of a special compacting method for which organic solvents and water are not required. Said pharmaceutical composition preferably exists in the form of individual active substance compartments or breaks down into compartments of this type when brought into contact with aqueous media. Various types of drugs can be formulated with acrylic copolymers. Thus 30 kg of oxcarbazepine and 9 kg of Eudragit RSPO were mixed in a quick mixer (Diosna P 100); the mixture was compacted using a a Gerteis 3 W-Polygran roller compactor applying 15-40 kN/cm at 80°C. The product was disintegrated by forced sieving and classified through a mesh. The particles were encapsulated in hard gel capsules.

IT 28721-07-5, Oxcarbazepine  
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(delayed release drug delivery systems containing polymers and method for preparation by mixing and compacting)

L47 ANSWER 23 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2003:777604 CAPLUS  
DOCUMENT NUMBER: 139:271095  
TITLE: Preemptive prophylaxis of migraine  
INVENTOR(S): Cady, Roger K.  
PATENT ASSIGNEE(S): USA  
SOURCE: PCT Int. Appl., 19 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

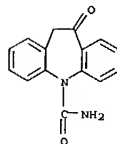
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003080072	A1	20031002	WO 2003-US7993	20030314
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			US 2002-365691P	P 20020318

AB A method of preventing the headache phase of migraine in a human comprises administration of an anticonvulsant medication to said human exhibiting prodrome symptoms of migraine. Suitably, the method comprises administration of a migraine headache phase-preventing effective amount of the anticonvulsant. There is also disclosed a pharmaceutical composition for the prevention of the headache phase of a migraine containing an anticonvulsant as an active ingredient. There is also disclosed a method of determining prodromal symptoms of migraine using the following cognitive tests: Simple Reaction Time (103); Running Memory Continuous Performance Task (104); Matching to Sample (105); Math. Processing Task (106); and interpreting the results as a percent of baseline indicator of need for prophylaxis.

IT 28721-07-5, Oxcarbazepine  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(preemptive prophylaxis of migraine with anticonvulsant)

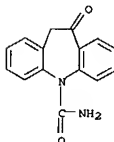
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)

L47 ANSWER 22 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L47 ANSWER 23 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



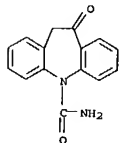
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

10/074,181

L47 ANSWER 24 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2003:19495 CAPLUS  
 DOCUMENT NUMBER: 138:143864  
 TITLE: In vivo delivery methods and compositions  
 INVENTOR(S): Kenney, Kenneth  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 45 pp., Cont.-in-part of U.S. Ser. No. 819,924.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 8  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003078517	A1	20030424	US 2001-839785	20010420
US 6019735	A	20000201	US 1997-919906	19970828
CA 2301161	AA	19990304	CA 1998-2301161	19980826
NZ 502905	A	20010831	NZ 1998-502905	19980826
JP 2003514384	T2	20010911	JP 2000-507994	19991112
US 6322524	B1	20011127	US 1999-439795	20000225
US 6322525	A	20000225	NO 2000-944	20000712
NO 2000000944	B1	20020806	US 2000-615340	20011127
US 6428488	A2	20020606	WO 2001-US44352	20011127
WO 2002043806	A3	20030327		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, NI, SN, TD, TG				
AU 2002026986	A5	20020611	AU 2002-26986	20011127
US 2002088953	A1	20020711	US 2001-33841	20011227
US 6624435	B2	20030923		
WO 2002079778	A2	20021010	WO 2002-US3984	20020207
WO 2002079778	A3	20030710		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, NI, SN, TD, TG				
US 2002184941	A1	20021212	US 2002-156165	20020528
US 6571608	B2	20030603		
PRIORITY APPLN. INFO.:			US 1997-919906	A2 19970828

L47 ANSWER 24 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L47 ANSWER 24 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 US 1999-439795 A2 19991112  
 US 2000-501856 A2 20000210  
 US 2000-628401 A2 20000801  
 US 2000-727950 B2 20001201  
 US 2001-819924 A2 20010328  
 US 1997-966076 A 19971107  
 WO 1998-US17657 W 19980826  
 US 2000-615340 A3 20000712  
 US 2000-228612P P 20000828  
 US 2001-789350 B2 20010221  
 US 2001-828761 A 20010409  
 US 2001-839785 A 20010420  
 US 2001-841389 A 20010424  
 US 2001-897164 A3 20010702  
 WO 2001-US44352 W 20011127

AB Various methods are provided for determining and utilizing the viscosity of the circulating blood of a living being over a range of shear rates for diagnostics and treatment, such as detecting/reducing blood viscosity, work of the heart, contractility of the heart, for detecting/reducing the surface tension of the blood, for detecting plasma viscosity, for explaining/countering endothelial cell dysfunction, for providing high and low blood vessel wall shear stress data, red blood cell deformability data, lubricity of blood, and for treating different ailments such as peripheral arterial disease in combination with administering to a living being at least 1 drug. Agents effective to regulate at least 1 of the aforementioned blood parameters are used to adjust distribution of a substance through the bloodstream.

IT 28721-07-5, Oxcarbazepine  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (in vivo delivery methods and compns.)

RN 28721-07-5 CAPLUS  
 CN 58-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

L47 ANSWER 25 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2003:19255 CAPLUS  
 DOCUMENT NUMBER: 138:143864  
 TITLE: Buccal sprays or capsules containing drugs for treating disorders of the central nervous system  
 INVENTOR(S): Dugger, Harry A.  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 17 pp., Cont.-in-part of U.S. Ser. No. 537,118.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 14  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003077227	A1	20030424	US 2002-230060	20020829
WO 1996417	A1	19990408	WO 1997-US17899	19971001
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1029536	A1	20000823	EP 2000-109347	19971001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EP 1036561	A1	20000920	EP 2000-109357	19971001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
WO 2004035021	A2	20040429	WO 2003-US26847	20030827
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004141923	A1	20040722	US 2003-671720	20030929
US 2004120895	A1	20040624	US 2003-726585	20031204
PRIORITY APPLN. INFO.:			WO 1997-US17899	A2 19971001
			US 2000-537118	A2 20000329
			EP 1997-911621	A3 19971001
			US 2002-230060	A 20020829

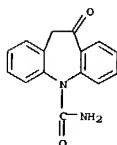
AB Buccal aerosol sprays or capsules using polar and non-polar solvent have now been developed which provide biol. active compds. for rapid absorption through the oral mucosa, resulting in fast onset of effect. The buccal polar compns. of the invention comprise formulation A: aqueous polar solvent,

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L47 ANSWER 25 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)  
 active compd., and optional flavoring agent; formulation B: aq. polar solvent, active compd., optionally flavoring agent, and propellant; formulation C: non-polar solvent, active compd., and optional flavoring agent, and formulation D: non-polar solvent, active compd., optional flavoring agent, and propellant. Thus, a lingual spray contained sumatriptan succinate 10-15, ECOW 10-20, propylene glycol 10-15, PEG 35-40, water 10-15, and flavors 2-3%.

IT 28721-07-5, Oxcarbazepine  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (buccal sprays or capsule containing drugs for treating disorders of central nervous system)

RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



L47 ANSWER 26 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN  
 ACCESSION NUMBER: 2003:297637 CAPLUS  
 DOCUMENT NUMBER: 138:304176  
 TITLE: Process for preparation of 10-methoxycarbamazepine by reaction of 10-methoxyimino stilbene with cyanic acid in the presence of weak acid.

INVENTOR(S): Anasari, Shahid Akhtar; Bhat, Ravindra; Kulkarni, Ashok

PATENT ASSIGNEE(S): Krishna  
 Max India Limited, India

SOURCE: Eur. Pat. Appl., 12 pp.

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1302464	A1	20030416	EP 2002-257007	20021009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2003105076	A1	20030605	US 2002-269084	20021009
US 6670472	B2	20031230		
PRIORITY APPLN. INFO.:			EP 2001-308631	A 20011009

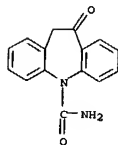
OTHER SOURCE(S): CASREACT 138:304176

AB Title process is claimed. Also disclosed is an improved method for the hydrolysis of 10-methoxycarbamazepine to oxcarbazepine in a biphasic system chosen such that the oxcarbazepine is substantially insol. in both phases, whereas the byproducts or impurities are soluble in 21 of the phases. Thus, 10-methoxyimino stilbene, PhCO<sub>2</sub>H, and NaOCN were refluxed together in PhMe for 12 h. The reaction mixture was filtered, washed with aqueous Na<sub>2</sub>CO<sub>3</sub>, and the PhMe layer was heated with 2N HCl at 75-80° for 2 h followed by cooling to give oxcarbazepine of 99.45% purity.

IT 28721-07-5P, Oxcarbazepine  
 RL: IMP (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of 10-methoxycarbamazepine by reaction of 10-methoxyimino stilbene with cyanic acid in the presence of weak acid)

RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

L47 ANSWER 26 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L47 ANSWER 27 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN  
 ACCESSION NUMBER: 2003:241585 CAPLUS  
 DOCUMENT NUMBER: 138:260454  
 TITLE: Oral pharmaceutical dosage forms containing antiepileptic drugs

INVENTOR(S): Jao, Frank; Wong, Patrick S.-l.; Cruz, Evangeline; Sy, Eduardo C.; Kuczyński, Anthony L.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 19 pp., Cont.-in-part of U.S. 440,378, abandoned.

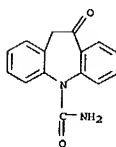
DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003056896	A1	20030327	US 2002-262153	20020930
US 2004191314	A1	20040930	US 2004-817500	20040402
PRIORITY APPLN. INFO.:			US 1995-440378	B1 19950512
			US 1994-234092	A3 19940428
			US 2002-262153	B2 20020930

AB A dosage form is disclosed for delivering an antiepileptic drug, which dosage form comprises for maintaining the integrity of the dosage form and of the antiepileptic drug. Formulation of oral antiepileptic drugs are presented.

IT 28721-07-5, Oxcarbazepine  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (oral pharmaceutical dosage forms containing antiepileptic drugs)

RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



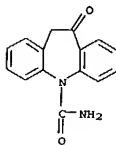
10/074,181

✓ L47 ANSWER 28 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2003:133030 CAPLUS  
DOCUMENT NUMBER: 138:163577  
TITLE: Improving neurological functions  
INVENTOR(S): Chez, Michael G.  
PATENT ASSIGNEE(S): Carn-Aware LLC, USA  
SOURCE: PCT Int. Appl., 74 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003013514	A1	20030220	WO 2002-US22341	20020715
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:		US 2001-310710P	P	20010808
		US 2001-325136P	P	20010927

OTHER SOURCE(S): MARPAT 138:163577  
AB The present invention relates to materials and methods for treating neural diseases and disorders including but not limited to epilepsy and autism, as well as general cognitive problems. Preferred compds. include carnosine and homocarnosine and N-acetyl, methylated (anserine, ophidine), decarboxylated (carnine) and tauryl deriva. of carnosine and homocarnosine.  
IT 28721-07-5, Oxcarbazepine  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(anticonvulsant; agents for improving neural functions such as carnosine derivative and combination with other agents)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)

L47 ANSWER 28 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



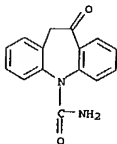
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

✓ L47 ANSWER 29 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2003:57892 CAPLUS  
DOCUMENT NUMBER: 138:117661  
TITLE: Use of matrix metalloproteinase inhibitors to mitigate nerve damage  
INVENTOR(S): Noble, Linda Jeanne; Donovan, Frances Muriel; Werb, Zena  
PATENT ASSIGNEE(S): The Regents of the University of California, USA  
SOURCE: PCT Int. Appl., 87 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003006006	A1	20030123	WO 2002-US21685	20020709
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003139332		A1	20030724	US 2002-192397
PRIORITY APPLN. INFO.:			US 2001-304306P	P 20010709

AB This invention pertains to the discovery that inhibitors of matrix metalloproteinases (e.g. MMP-9) can reduce neural damage (e.g. secondary damage) following trauma to nervous tissue in a mammal, and/or reduce abnormal vascular permeability associated with spinal cord injury, and/or improving recovery of neural function following injury to neural tissue.  
Methods of use of matrix metalloproteinase inhibitors for such applications are provided.  
IT 28721-07-5, Oxcarbazepine  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(use of matrix metalloproteinase inhibitors to mitigate nerve damage)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)

L47 ANSWER 29 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

10/074,181

147 ANSWER 30 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2002:946107 CAPLUS  
DOCUMENT NUMBER: 138:343  
TITLE: Combination comprising a P-gp inhibitor and an anti-epileptic drug  
INVENTOR(S): Loeschner, Wolfgang; Poteschka, Heidrun; Schmutz, Markus  
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.  
SOURCE: PCT Int. Appl., 18 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

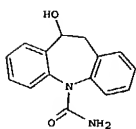
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098418	A1	20021212	WO 2002-EP6140	20020604
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR			
EP 1399157	A1	20040324	EP 2002-745358	20020604
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2002009648	A	20040706	BR 2002-9648	20020604
US 2004180816	A1	20040916	US 2003-477366	20031112
PRIORITY APPLN. INFO.:			GB 2001-13663	A 20010605
			WO 2002-EP6140	W 20020604

AB The invention relates to a combination which comprises a P-glycoprotein inhibitor (such as PSC833) and an antiepileptic drug selected from phenytoin (5,5-diphenyl-2,4-imidazolidinedione), carbamazepine, lamotrigine, gabapentin, oxcarbazepine, valproic acid, and topiramate, and its use for the prevention, delay of progression or treatment of diseases, in particular epilepsy, especially epilepsy which is resistant to antiepileptic drugs. In the example given, PSC833 enhanced the concentration of phenytoin in the cerebral cortex extracellular fluid of rats.  
IT 28721-07-5, Oxcarbazepine  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(combination comprising P-gp inhibitor and anti-epileptic drug)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz(b,f)azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)

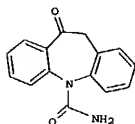
147 ANSWER 31 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2002:927407 CAPLUS  
DOCUMENT NUMBER: 138:4538  
TITLE: Method for preparation of 10,11-dihydro-10-hydroxy-5H-dibenz(b,f)azepine-5-carboxamide and 10,11-dihydro-10-oxo-5H-dibenz(b,f)azepine-5-carboxamide  
INVENTOR(S): Learmonth, David Alexander  
PATENT ASSIGNEE(S): Portela & CA SA, Port.  
SOURCE: PCT Int. Appl., 26 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096881	A1	20021205	WO 2002-GB2356	20020522
WO 2002096881	C1	20030227		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1399424	A1	20040324	EP 2002-774050	20020522
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2002010019	A	20040810	BR 2002-10019	20020522
JP 2004532263	T2	20041021	JP 2003-50061	20040205
US 2004158060	A1	20040812	US 2004-478770	20040205
PRIORITY APPLN. INFO.:			GB 2001-12812	A 20010525
			WO 2002-GB2356	W 20020522

OTHER SOURCE(S): CASREACT 138:4538; MARPAT 138:4538  
GI

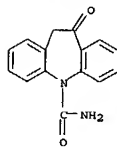


I



II

147 ANSWER 30 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

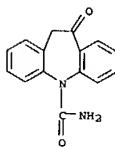


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

147 ANSWER 31 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

AB A method for the preparation of 10,11-dihydro-10-hydroxy-5H-dibenz(b,f)azepine-5-carboxamide I and 10,11-dihydro-10-oxo-5H-dibenz(b,f)azepine-5-carboxamide II from carbamazepine via a three-step process involving (i) epoxidn. of carbamazepine; (ii) ring-opening of the resulting epoxide and (iii) oxidation of the resulting alc.  
IT 28721-07-5P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation via oxidation of dihydrodihydroxydibenzazepinecarboxamide)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz(b,f)azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

10/074,181

147 ANSWER 32 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2002:906140 CAPLUS  
 DOCUMENT NUMBER: 137:389208  
 TITLE: Oxcarbazepine dosage forms containing wetting agents  
 INVENTOR(S): Sehgal, Ashish; Trehan, Anupam; Arora, Vinod Kumar  
 PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India  
 SOURCE: PCT Int. Appl., 14 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

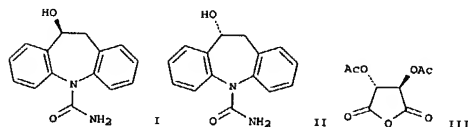
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094774	A2	20021128	WO 2002-1B1720	20020520
WO 2002094774	A3	20030313		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1395247	A2	20040310	EP 2002-730575	20020520
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004529966	T2	20040930	JP 2002-591447	20020520
BR 2002009845	A	20040824	BR 2002-9845	20020522
US 2004197402	A1	20041007	US 2004-478046	20040602
PRIORITY APPLN. INFO.:			IN 2001-DE596	A 20010518
			WO 2002-1B1720	W 20020520

AB The present invention relates to dosage forms of oxcarbazepine for oral administration. Oxcarbazepine tablets were prepared with four different concns. of wetting agent (Na lauryl sulfate).  
 IT 28721-07-5, Oxcarbazepine  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (oxcarbazepine dosage forms containing wetting agents)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

147 ANSWER 33 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2002:888715 CAPLUS  
 DOCUMENT NUMBER: 137:384766  
 TITLE: Process for preparation of (S)-(+)- and (R)-(-)-10,11-dihydro-10-hydroxy-5H-dibenz[b,f]azepine-5-carboxamide  
 INVENTOR(S): Learmonth, David Alexander  
 PATENT ASSIGNEE(S): Portela & Cia. SA, Port.  
 SOURCE: PCT Int. Appl., 29 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

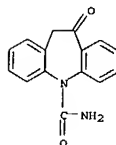
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092572	A1	20021121	WO 2002-GB2176	20020510
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
GB 2377440	A1	20030115	GB 2002-10798	20020510
GB 2377440	B2	20030716		
EP 1385826	A1	20040204	EP 2002-722518	20020510
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2002009554	A	20040504	BR 2002-9554	20020510
US 2004162280	A1	20040819	US 2004-477371	20040409
PRIORITY APPLN. INFO.:			GB 2001-11566	A 20010511
			WO 2002-GB2176	W 20020510

OTHER SOURCE(S): CASREACT 137:384766; MARPAT 137:384766  
 GI

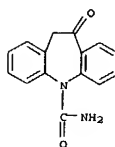


AB This invention provides a safe, economical, scalable, efficient, and high-yielding method for preparation of optically pure 10,11-dihydro-10-

147 ANSWER 32 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



147 ANSWER 33 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 (R)-(-)-10,11-dihydro-10-hydroxy-5H-dibenz[b,f]azepine-5-carboxamide (II) by resolu. of the corresponding racemic compd. using a tartaric acid anhydride. For example, L-(+)-tartaric acid was treated with acetic anhydride in the presence of catalytic amt. of sulfuric acid to give acid anhydride III. III was reacted with racemic 10,11-dihydro-10-hydroxy-5H-dibenz[b,f]azepine-5-carboxamide in CH<sub>2</sub>Cl<sub>2</sub> in the presence of pyridine and DMAP, followed by hydrolysis in MeOH catalyzed by aq. NaOH to afford I (84%) with 96% optical purity.  
 IT 28721-07-5  
 RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of optically pure dibenz[b,f]azepinecarboxamide deriva. by resolution using a tartaric acid anhydride)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
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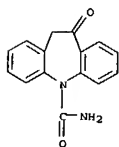


10/074,181

L47 ANSWER 34 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2002:655370 CAPLUS  
 DOCUMENT NUMBER: 137:154864  
 TITLE: Process for the preparation of  
 10-oxo-10,11-dihydro-5H-  
 dibenz[b,f]azepine-5-carboxamide  
 INVENTOR(S): Ferrario, Gianluigi  
 PATENT ASSIGNEE(S): Inland International Limited, Virgin I. (Brit.)  
 SOURCE: Ital. Appl., 13 pp.  
 CODEN: ITXXCZ  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Italian  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IT 2000MI0311	A1	20010822	IT 2000-MI311	20000222
IT 1318371	B1	20030825		
PRIORITY APPLN. INFO.:			IT 2000-MI311	20000222

OTHER SOURCE(S): CASREACT 137:154864  
 AB 10-Oxo-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide was prepared by  
 treatment of 10-methoxy-5H-dibenz[b,f]azepine (I) with an alkali or  
 alkaline-earth metal cyanate in the presence of acid, followed by  
 hydrolysis  
 using an organic acid. Thus, a toluene solution of 22.2 g I was treated  
 with  
 8.92 g K<sub>2</sub>CO<sub>3</sub> and 96% H<sub>2</sub>SO<sub>4</sub> and heated at 40-50°C for 24 h. The organic  
 phase was treated with 50% aqueous AcOH at reflux for 8 h to afford 15.4  
 g the  
 title compound  
 IT 28721-07-5P  
 RL: IMP (Industrial manufacture); SPN (Synthetic preparation); PREP  
 (Preparation)  
 (preparation of oxodihydrodibenz[b,f]azepinecarboxamide)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



L47 ANSWER 35 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2002:637647 CAPLUS  
 DOCUMENT NUMBER: 137:174957  
 TITLE: Preparation of crystal forms of oxcarbazepine  
 INVENTOR(S): Aronhime, Judith; Dolitzky, Ben-zion; Berkovich,  
 Yana;  
 PATENT ASSIGNEE(S): Garth, Nissim  
 Teva Pharmaceutical Industries Ltd., Israel; Teva  
 Pharmaceuticals Usa, Inc.  
 SOURCE: PCT Int. Appl., 32 pp.  
 CODEN: PTXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064557	A2	20020822	WO 2002-US4065	20020212
WO 2002064557	A3	20021024		
WO 2002064557	C2	20021128		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH,  
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,  
 UA, UG, US, UZ, VN, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,  
 TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,  
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 US 2003004154 A1 20030102 US 2002-74181 20020212  
 EP 1368322 A2 20031210 EP 2002-718948 20020212  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

TR 200400313 T3 20040421 TR 2004-200400313 20020212  
 JP 2004526706 T2 20040902 JP 2002-564490 20020212  
 PRIORITY APPLN. INFO.: US 2001-268314P P 20010212

WO 2002-US4065 W 20020212

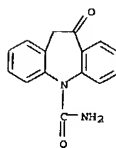
AB The present invention provides for new crystal forms of oxcarbazepine,  
 more particularly oxcarbazepine Forms B, C, D and E. The present  
 invention further provides processes for the preparation of these forms.

Form B is prepared by evaporating the solvents from a solution of  
 oxcarbazepine in  
 toluene and dichloromethane. Form B is also obtained by immediately  
 cooling the solution of oxcarbazepine and toluene. Cooling the same  
 solution at  
 a slower rate, but still fairly rapidly, results in oxcarbazepine Form C.  
 Cooling the same solution at even a slower rate results in another form,  
 oxcarbazepine Form D. Oxcarbazepine Form E, a solvate of chloroform, is  
 obtained by precipitating a solution of oxcarbazepine and chloroform.

The present  
 invention also provides processes for converting one of the newly  
 discovered crystal forms of oxcarbazepine into another crystal form,  
 including Form A, which is in the prior art. These conversions may occur  
 by storage at ambient temperature, by heating one particular form or  
 treatment

L47 ANSWER 34 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

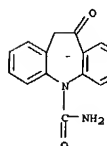
L47 ANSWER 35 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 with a protic solvent. Oxcarbazepine (0.15 g) was dissolved in  
 dichloromethane (20 g) at room temp. After complete dissoln., the soln.  
 was added to toluene (170 mL). After stirring for 5 min, the solvent was  
 evapd. until dryness. The resulting material was analyzed by powder  
 x-ray  
 diffraction and found to be form B.  
 IT 28721-07-5, Oxcarbazepine  
 RL: PEP (Physical, engineering or chemical process); PRP (Properties);  
 PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC  
 (Process); USES (Uses)  
 (preparation of crystal forms of oxcarbazepine)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



IT 448184-78-9P  
 RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of crystal forms of oxcarbazepine)  
 RN 448184-78-9 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo-, compd. with  
 trichloromethane (9CI) (CA INDEX NAME)

CM 1

CRN 28721-07-5  
 CMF C15 H12 N2 O2





10/074,181

L47 ANSWER 38 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN  
 ACCESSION NUMBER: 2002:428760 CAPLUS  
 DOCUMENT NUMBER: 137:24314  
 TITLE: Methods and apparatus for determining and utilizing the viscosity of circulating blood over a range of shear rates for diagnostics and treatment  
 INVENTOR(S): Kenney, Kenneth; Hokanson, Charles  
 PATENT ASSIGNEE(S): Viaco Technologies, Inc., USA; Rheologica, Inc.  
 SOURCE: PCT Int. Appl., 98 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 8  
 PATENT INFORMATION:

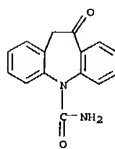
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002043806	A2	20020606	WO 2001-US44352	20011127
WO 2002043806	A3	20030327		
W:				
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW:				
GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2301161	AA	19990304	CA 1998-2301161	19980826
NZ 502905	A	20010831	NZ 1998-502905	19980826
JP 2001514384	T2	20010911	JP 2000-507994	19980826
NO 2000009944	A	20000225	NO 2000-944	20000225
US 2002061835	A1	20020523	US 2001-828761	20010409
US 2003078517	A1	20030424	US 2001-839785	20010420
AU 2002026986	A5	20020611	AU 2002-26986	20011127
PRIORITY APPL. INFO.:			US 1997-966076	A 19971107
			US 2000-727950	A 20001201
			US 2001-819924	A 20010328
			US 2001-828761	A 20010409
			US 2001-839785	A 20010420
			US 1997-919906	A 19970828
			WO 1998-US17657	W 19980826
			US 1999-439795	A2 19991112
			US 2000-501856	A2 20000210
			US 2000-628401	A2 20000801

L47 ANSWER 38 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)  
 WO 2001-US44352 W 20011127

AB Various methods are provided for determining and utilizing the viscosity of the circulating blood of a living being over a range of shear rates for diagnostics and treatment, such as detecting/reducing blood viscosity, work of the heart, contractility of the heart, for detecting/reducing the surface tension of the blood, for detecting plasma viscosity, for explaining/countering endothelial cell dysfunction, for providing high and low blood vessel wall shear stress data, red blood cell deformability data, lubricity of blood, and for treating different ailments such as peripheral arterial disease in combination with administering to a living being at least one pharmaceutically acceptable agent. Agents pharmaceutically effective to regulate at least one of the aforementioned blood parameters are used to adjust distribution of a substance through the bloodstream.

IT 28721-07-5, Oxcarbazepine  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (methods and apparatus for determining and utilizing the viscosity of circulating blood over a range of shear rates for diagnostics and treatment)

RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



L47 ANSWER 39 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN  
 ACCESSION NUMBER: 2002:392219 CAPLUS  
 DOCUMENT NUMBER: 136:406945  
 TITLE: Methods for in vivo drug delivery based on monitoring blood flow parameters  
 INVENTOR(S): Kenney, Kenneth R.  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 40 pp., Cont.-in-part of U.S. Ser. No. 727,950.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 8  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002061835	A1	20020523	US 2001-828761	20010409
US 6019735	A	20000201	US 1997-919906	19970828
CA 2301161	AA	19990304	CA 1998-2301161	19980826
NZ 502905	A	20010831	NZ 1998-502905	19980826
JP 2001514384	T2	20010911	JP 2000-507994	19980826
US 6322524	B1	20011127	US 1999-439795	19991112
US 6322525	B1	20011127	US 2000-501856	20000210
NO 2000009944	A	20000225	NO 2000-944	20000225
US 6428488	B1	20020806	US 2000-615340	20000712
WO 2002043806	A2	20020606	WO 2001-US44352	20011127
WO 2002043806	A3	20030327		
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RW:				
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AU 2002026986	A5	20020611	AU 2002-26986	20011127
US 2002088953	A1	20020711	US 2001-33841	20011227
US 6624435	B2	20030923		
WO 2002079778	A2	20020710	WO 2002-US3984	20020207
WO 2002079778	A3	20030710		
W:				
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW:				
GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002184941	A1	20021212	US 2002-156165	20020528
US 6571608	B2	20030603		
PRIORITY APPL. INFO.:			US 1997-919906	A2 19970828
			US 1999-439795	A2 19991112
			US 2000-501856	A2 20000210

L47 ANSWER 39 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)  
 US 2000-628401 A2 20000801  
 US 2000-727950 A2 20001201  
 US 1997-966076 A 19971107  
 WO 1998-US17657 W 19980826  
 US 2000-615340 A3 20000712  
 US 2000-228612P P 20000828  
 US 2001-789350 B2 20010221  
 US 2001-819924 A 20010328  
 US 2001-828761 A 20010409  
 US 2001-839785 A 20010420  
 US 2001-841389 A 20010424  
 US 2001-897164 A3 20010702  
 WO 2001-US44352 W 20011127

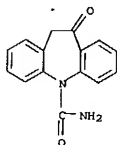
AB Various methods are provided for determining and utilizing the viscosity of the circulating blood of a living being over a range of shear rates for diagnostics and treatment, such as detecting/reducing blood viscosity, work of the heart, contractility of the heart, for detecting/reducing the surface tension of the blood, for detecting plasma viscosity, for explaining/countering endothelial cell dysfunction, for providing high and low blood vessel wall shear stress data, red blood cell deformability data, lubricity of blood, and for treating different ailments such as peripheral arterial disease in combination with administering to a living being at least one pharmaceutically acceptable agent. Agents pharmaceutically effective to regulate at least one of the aforementioned blood parameters are used to adjust distribution of a substance through the bloodstream.

IT 28721-07-5, Oxcarbazepine  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (methods for in vivo drug delivery based on monitoring blood flow parameters)

RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

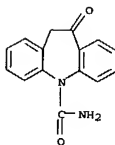
10/074,181

L47 ANSWER 39 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L47 ANSWER 40 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2002:125900 CAPLUS  
 DOCUMENT NUMBER: 137:257231  
 TITLE: Synthesis, anticonvulsant properties and pharmacokinetic profile of novel  
 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide derivatives  
 AUTHOR(S): Learmonth, David A.; Benes, Jan; Parada, Antonio; Hainzl, Dominik; Beliaev, Alexander; Bonifacio, Maria Joao; Matias, Pedro M.; Carrondo, Maria A.; Garrett, Jose; Soares-Da-Silva, Patricia  
 CORPORATE SOURCE: Department of Research & Development, Laboratory of Chemistry, BIAL, S. Mamede do Coronado, 4785, Port. European Journal of Medicinal Chemistry (2001), 227-236  
 SOURCE: CODEN: EJMCA5; ISSN: 0223-5234  
 PUBLISHER: Editions Scientifiques et Medicales Elsevier  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 137:257231  
 AB A series of novel deriva. of oxcarbazepine, 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide was synthesized and evaluated for their anticonvulsant activity and sodium channel blocking properties. One of the oxime was found to be the most active compound from this series, displaying greater potency than its geometric isomer and exhibiting also the highest protective index value. Importantly, the metabolic profile of some compds. differs from the already established dibenz[b,f]azepine-5-carboxamide drugs which undergo rapid and complete conversion in vivo to several biol. active metabolites. One of the compound is metabolized to only a very minor extent leading to the conclusion that the observed anti-convulsant effect is solely attributable to it. It is concluded that some the compds. may be very effective controlling seizures and that the low toxicity and consequently high protective index should provide the compds. with an improved side-effect profile.  
 IT 28721-07-5P  
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (synthesis, anticonvulsant properties and pharmacokinetic profile of novel 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide derive.)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

L47 ANSWER 40 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

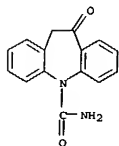


REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR  
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 FORMAT

L47 ANSWER 41 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2002:115738 CAPLUS  
 DOCUMENT NUMBER: 137:345539  
 TITLE: Quantitative microdialysis in PK-PD studies  
 AUTHOR(S): Michotte, Y.; Smolders, I.; Clinckers, R.; Sarre, S.  
 CORPORATE SOURCE: Department of Pharmaceutical Chemistry and Drug Analysis, Unit of Experimental Pharmacology Vrije Universiteit Brussel, Brussels, 1090, Belg.  
 SOURCE: Monitoring Molecules in Neuroscience, Proceedings of the International Conference on In Vivo Methods, 9th, Dublin, Ireland, June 16-19, 2001 (2001), 107-108.  
 Editor(s): O'Connor, William T. University College Dublin: Dublin, Ire.  
 CODEN: 69CMPU; ISBN: 1-902277-47-3  
 DOCUMENT TYPE: Conference  
 LANGUAGE: English  
 AB Quant. microdialysis allows the in vivo study of the pharmacokinetics (PK) and pharmacodynamics (PD) of drugs, both in physiol. and pathophysiol. conditions. We are interested in the PK-PD study of antiepileptic drugs and our goal is to correlate the obtained PK profiles with drug-induced neurotransmitter changes (PD). The focal pilocarpine rat model for psychomotor epilepsy is used as exptl. seizure model. Cerebral in vivo microdialysis allows monitoring of both the drug concentration and the neurotransmitter changes induced by the drug. The quant. determination of drugs in dialyzates requires the development of very sensitive anal. methods because free drug concns. must be measured in small sample vols. The measurement of exact extracellular drug concns. is needed for the calcn. of some major PK parameters. This requires in vivo calibration of the microdialysis probes. Because of possible fluctuations of in vivo probe recovery, especially in pathol. conditions, the internal reference technique is used for in vivo calibration of the probes. Results of the development of anal. methods for the determination of oxcarbazepine and valproic acid in brain dialyzates are presented. The validation of the internal reference technique to assess in vivo probe recovery for these compds. is discussed. The results of an in vivo PK study in normal control animals and in animals displaying seizures are presented. Drug-induced neurotransmitter profiles targeting an adequate PD marker are shown as well.  
 IT 28721-07-5, Oxcarbazepine  
 RL: ANT (Analyte); PKT (Pharmacokinetics); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)  
 (quant. microdialysis in pharmacokinetics-pharmacodynamics studies and application for anticonvulsants)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

10/074,181

L47 ANSWER 41 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

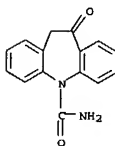
L47 ANSWER 42 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2002:185688 CAPLUS  
DOCUMENT NUMBER: 136:252567  
TITLE: Methods for drug administration and distribution  
Based on monitoring blood viscosity and other parameters  
for diagnostics and treatment  
INVENTOR(S): Kenney, Kenneth  
PATENT ASSIGNEE(S): USA  
SOURCE: U.S. Pat. Appl. Publ., 46 pp., Cont.-in-part of U.S. Ser. No. 819,924.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 8  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002032149	A1	20020314	US 2001-841389	20010424
US 6019735	A	20000201	US 1997-919906	19970828
CA 2301161	AA	19990304	CA 1998-2301161	19980826
NZ 502905	A	20010831	NZ 1998-502905	19980826
JP 2001514384	T2	20010911	JP 2000-507994	19991112
US 6322524	B1	20011127	US 1999-439795	20000210
US 6322525	B1	20011127	US 2000-501856	20000225
NO 2000000944	A	20000225	NO 2000-944	20000712
US 6428488	B1	20020806	US 2000-615340	20011227
US 2002088953	A1	20020711	US 2001-33841	20011227
US 6624435	B2	20030923		
WO 2002079778	A2	20021010	WO 2002-US3984	20020207
WO 2002079778	A3	20030710		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, NG, SN, TD, TG				
US 2002184941	A1	20021212	US 2002-156165	20020528
US 6571608	B2	20030603		
PRIORITY APPLN. INFO.:			US 1997-919906	A2 19970828
			US 1999-439795	A2 19991112
			US 2000-501856	A2 20000210
			US 2000-628401	A2 20000801
			US 2000-727950	A2 20001201
			US 2001-819924	A2 20010328

L47 ANSWER 42 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
US 1997-966076 A 19971107  
WO 1998-US17657 W 19980826  
US 2000-615340 A3 20000712  
US 2000-228612P P 20000828  
US 2001-789350 B2 20010221  
US 2001-828761 A 20010409  
US 2001-839785 A 20010420  
US 2001-841389 A 20010424  
US 2001-897164 A3 20010702

AB Various methods are provided for determining and utilizing the viscosity of the circulating blood of a living being, i.e., a human, over a range of shear rates for diagnostics and treatment, such as detecting/reducing blood viscosity, work of the heart, contractility of the heart, for detecting/reducing the surface tension of the blood, for detecting plasma viscosity, for explaining/countering endothelial cell dysfunction, for providing high and low blood vessel wall shear stress data, red blood cell deformability data, lubricity of blood, and for treating different ailments such as peripheral arterial disease in combination with administering to a living being at least one pharmaceutically acceptable agent. Agents pharmaceutically effective to regulate at least one of the afore mentioned blood parameters are used to adjust distribution of a substance through the bloodstream. For example, when blood viscosity is a blood flow parameter monitored, an agent is selected from i.v. diluents, red blood cell deformability agents, antiurea agents, oral contraceptives, antidiabetic agents, antiarrhythmics, antihypertensives, antihyperlipidemics, antiplatelet agents, appetite suppressants, antiobesity agents, blood modifiers, smoking deterrent agents, and nutritional supplements.  
IT 28721-07-5, Oxcarbazepine  
RL: THU (Therapeutic use); B10L (Biological study); USES (Uses)  
(apparatus and methods for monitoring blood viscosity and other parameters in drug delivery for diagnostics and treatment)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)

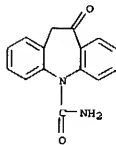
L47 ANSWER 42 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



10/074,181

L47 ANSWER 43 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2002:127949 CAPLUS  
DOCUMENT NUMBER: 136:288949  
TITLE: Thyroid function in men taking carbamazepine, oxcarbazepine, or valproate for **epilepsy**  
AUTHOR(S): Isojarvi, Jouko I. T.; Turkka, Jukka; Pakarinen, Arto J.; Kotila, Mervi; Rattya, Johanna; Myllyla, Vilho V. Department of Neurology, University of Oulu, Oulu, FIN-90014, Finland  
CORPORATE SOURCE: Epilepsia (2001), 42(7), 930-934  
SOURCE: CODEN: EPILAK; ISSN: 0013-9580  
PUBLISHER: Blackwell Science, Inc.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB Antiepileptic drugs (AEDs) may affect serum thyroid hormone concns. This study aimed to evaluate thyroid function in men taking carbamazepine (CBZ), oxcarbazepine (OCBZ), or valproate (VPA) for **epilepsy**. Ninety men with **epilepsy** (40 taking CBZ, 29 taking OCBZ, and 21 taking VPA monotherapy) and 25 control subjects participated in the study. After clin. examination, a blood sample for hormone,  $\gamma$ -glutamyl-transferase (GGT) and antibody (ab) assays was obtained. Serum thyroxine (T4) and free thyroxine (FT4) concns. were low in men taking CBZ or OCBZ. Forty-five percent of men taking CBZ and 24% of men taking OCBZ had serum T4 and/or FT4 levels below the reference range. However, no correlations were found between T4 or FT4 and GGT concns. in men taking CBZ or OCBZ. Thirteen percent of men taking CBZ, 17% of men taking OCBZ, and 6% of control men had increased levels of thyroid peroxidase (TPO)-ab and/or thyroglobulin (TG)-ab, but these were not associated with altered serum thyroid hormone concns. Serum triiodothyronine and TSH levels in men taking CBZ or OCBZ were normal. In men taking VPA, the concns. of thyroid hormones, TSH, and antithyroid ab were normal. Serum thyroid hormone concns. are low in CBZ- or OCBZ-treated men. However, these low levels do not seem to be due to liver enzyme induction or activation of immunol. mechanisms. Therefore, interference with hypothalamic regulation of thyroid function by CBZ and OCBZ seems possible. VPA does not have any significant effects on thyroid function.  
IT 28721-07-5, Oxcarbazepine  
RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(thyroid function in men taking carbamazepine, oxcarbazepine, or valproate for **epilepsy**)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)

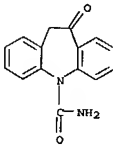
L47 ANSWER 43 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS  
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L47 ANSWER 44 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2002:124851 CAPLUS  
DOCUMENT NUMBER: 136:288943  
TITLE: The regulation of serum sodium after replacing carbamazepine with oxcarbazepine  
AUTHOR(S): Isojarvi, Jouko I. T.; Huuskonen, Usko E. J.; Pakarinen, Arto J.; Vuolteenaho, Olli; Myllyla, Vilho V.  
CORPORATE SOURCE: Department of Neurology, University of Oulu, Oulu, FIN-90220, Finland  
SOURCE: Epilepsia (2001), 42(6), 741-745  
CODEN: EPILAK; ISSN: 0013-9580  
PUBLISHER: Blackwell Science, Inc.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB Aim was to evaluate changes in serum electrolyte balance and underlying regulatory mechanisms in 10 male patients with **epilepsy** 2 and 6 mo after replacing long-term carbamazepine (CBZ) monotherapy with oxcarbazepine (OCBZ) monotherapy. Arginine vasopressin (AVP) is thought to be most important underlying mechanism of CBZ-related hyponatremia via direct or kidney tubular mechanisms. Furthermore, AVP is as well hormonally regulated by the renin-angiotensin-aldosterone system and atrial natriuretic peptide (ANP). The medication of the patients was changed from CBZ to OCBZ. Serum electrolytes, creatinine, albumin, aldosterone, and the N-terminal fragment of ANP (NT-proANP) concns. were measured before and 2 and 6 mo after the change in the medication. The mean serum sodium level diminished after the medication was changed. Serum sodium levels decreased below the reference range in two (20%) patients during OCBZ medication. Serum sodium levels decreased altogether in four patients, and remained unaltered in six patients. Serum aldosterone levels increased in the six patients whose serum sodium concns. did not decrease, but no increase was found in the patients with decreased sodium levels during OCBZ medication. Serum NT-proANP levels decreased in all patients. Serum sodium levels decrease after replacing CBZ with OCBZ. The low serum NT-proANP concns. appear to reflect the decreased serum sodium levels, but a compensatory aldosterone response may prevent the development of hyponatremia in some patients during OCBZ medication.  
IT 28721-07-5, Oxcarbazepine  
RL: ADV (Adverse effect, including toxicity); DMA (Drug mechanism of action); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(regulation of serum sodium after replacing carbamazepine with oxcarbazepine)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)

L47 ANSWER 44 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

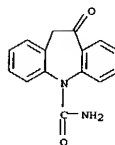


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LA ANSWER 45 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2002:124845 CAPLUS  
DOCUMENT NUMBER: 136:288550  
TITLE: Tiagabine: efficacy and safety in adjunctive  
treatment of partial seizures  
AUTHOR(S): Crawford, P.; Meinardi, H.; Brown, S.; Rentmeester,  
Th. W.; Pedersen, B.; Pedersen, P. C.; Lassen, L. C.  
CORPORATE SOURCE: Bootham Park Hospital, York, UK  
SOURCE: Epilepsia (2001). 42(4). 531-538  
CODEN: EPILAK; ISSN: 0013-9580  
PUBLISHER: Blackwell Science, Inc.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB Aim of this study was to assess the efficacy and safety of tiagabine  
(TGB), a new antiepileptic drug (AED), as add-on therapy in patients with  
refractory partial seizures. This response-dependent study used  
an open-label screening phase (in which patients were titrated to their  
optimal TGB dose,  $\leq 64$  mg/day) followed by a double-blind,  
placebo-controlled, crossover phase. Initial eligibility criteria  
included (a) seizures inadequately controlled by existing AEDs,  
and (b) six or more partial seizures during an 8-wk baseline  
period. Patients showing benefit from TGB ( $\geq 25\%$  reduction in total  
seizure rate relative to baseline) were eligible for randomization  
into the double-blind phase, which comprised two 7-wk assessment periods  
separated by a 3-wk crossover period. Forty-four (50%) of the 88  
enrolled patients entered the double-blind phase of the study during which there  
were significant redns. compared with placebo in all partial ( $p < 0.01$ ),  
complex partial ( $p < 0.001$ ), and secondarily generalized tonic-clonic  
seizure rates ( $p < 0.05$ ). Thirty-three percent of patients  
experienced a reduction of  $\geq 50\%$  in the all partial seizure  
rate. Eight (22%) patients receiving TGB during the double-blind phase  
reported adverse events, of which dizziness and incoordination were the  
most frequent. Three patients withdrew from treatment during the  
double-blind phase because of adverse events; two during treatment with  
TGB and one during treatment with placebo. TGB did not affect plasma  
concns. of other coadministered AEDs. TGB was significantly better than  
placebo in terms of seizure rate reduction and was generally  
well-tolerated in patients with difficult to control seizures.  
IT 28721-07-5, Oxcarbazepine  
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological  
study); USES (Uses)  
(Tiagabine, new antiepileptic drug, as add-on therapy for partial  
seizures)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)

L47 ANSWER 45 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR  
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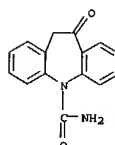
LA ANSWER 46 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2002:73012 CAPLUS  
DOCUMENT NUMBER: 136:79782  
TITLE: Method for determination of individual sensitivity to  
oxcarbazepine in periodic psychoses  
INVENTOR(S): Kuzavkova, M. V.; Mosolov, S. N.; Kostyukova, E. G.;  
Singin, A. S.  
PATENT ASSIGNER(S): Gosudarstvennoe Nauchnoe Predpriyatie Moskovskii  
Nauchno-Issledovatel'skii Institut Psikiatrii,  
Russia  
SOURCE: Russ., No pp. given  
CODEN: RUXXR7  
DOCUMENT TYPE: Patent  
LANGUAGE: Russian  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:  

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2159429	C1	20001120	RU 1999-125293	19991129

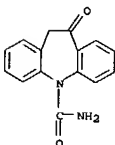
PRIORITY APPLN. INFO.: RU 1999-125293 19991129

AB Method for determination of individual sensitivity to oxcarbazepine in  
periodic psychoses. Method involves measurement of concentration of oxcarbazepine  
and its metabolites: monohydroxide-derivative and/or glucuronide-derivative in 7  
days after and not earlier than in 12 h after administration of oxcarbazepine,  
in biol. fluid, blood and calculating metabolism index by dividing the  
second value by the first one. The monohydroxide-derivate/oxcarbazepine value being  
greater than 9 and/or glucuronide-derivate/oxcarbazepine value being not  
greater than 1, individual sensitivity to oxcarbazepine is considered to  
be the case. Method ensures high accuracy in determination of individual  
sensitivity to oxcarbazepine in periodic psychoses.  
IT 28721-07-5, Oxcarbazepine  
RL: BSU (Biological study, unclassified); PKT (Pharmacokinetics); THU  
(Therapeutic use); BIOL (Biological study); USES (Uses)  
(individual sensitivity to; method for determination of individual  
sensitivity to oxcarbazepine in periodic psychoses)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)

L47 ANSWER 46 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

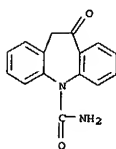


IT 28721-07-5D, Oxcarbazepine, glucuronides  
RL: BSU (Biological study, unclassified); PKT (Pharmacokinetics); THU  
(Therapeutic use); BIOL (Biological study); USES (Uses)  
(method for determination of individual sensitivity to oxcarbazepine  
in periodic psychoses)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)

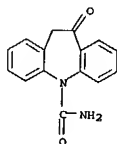


10/074,181

L47 ANSWER 47 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2002:57701 CAPLUS  
 DOCUMENT NUMBER: 137:134869  
 TITLE: Effect of oxcarbazepine on kainic acid-induced seizure  
 AUTHOR(S): Ayala-Guerrero, F.; Vargas, L.; Romero, R. M.; Reynoso-Robles, R.; Gonzalez-Maciel, A.  
 CORPORATE SOURCE: Facultad de Psicología, Universidad Nacional Autónoma de México, México, 04510, Mex.  
 SOURCE: Proceedings of the Western Pharmacology Society (2001), 44, 173-175  
 CODEN: PWPSAB; ISSN: 0083-8969  
 PUBLISHER: Western Pharmacology Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The anticonvulsant properties of temporal lobe epilepsy was studied using an animal model. Expts. were conducted in 10 chronically implanted male adult Wistar rats weighing between 400 and 450 g. Under pentobarbital anesthesia 2 pairs of stainless steel electrodes were implanted epidurally to achieve cerebral activity from the frontal and occipital regions. Administration of kainic acid (KA) alone induced motor alterations, which developed about 34 min after injection animals showed head nodding, masticatory movements, and myoclonic twitches of the face and the limbs coinciding with wet dog shakes. Three hours after KA administration the seizures declined and the rats remained exhausted. In oxcarbazepine-pretreated animals, the frequency and duration of behavioral and electrophysiol. manifestations of KA-evoked seizures decreased slightly without reaching statistically significant levels. Oxcarbazepine does not attenuate the behavioral and electrophysiol. manifestations of KA-induced seizures. Oxcarbazepine may be ineffective in treatment of patients with temporal lobe epilepsy.  
 IT 28721-07-5, Oxcarbazepine  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (oxcarbazepine on kainic acid-induced seizure)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA  
 INDEX NAME)



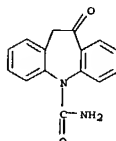
L47 ANSWER 48 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2002:57687 CAPLUS  
 DOCUMENT NUMBER: 137:150058  
 TITLE: Effect of an anticonvulsant drug on kainic acid-induced brain damage  
 AUTHOR(S): Gonzalez-Maciel, A.; Reynoso-Robles, R.; Romero-Velazquez, R. M.; Vargas, L.; Ayala-Guerrero, F.  
 CORPORATE SOURCE: Laboratorio de Microscopia Electronica, Instituto Nacional de Pediatría, México, Mex.  
 SOURCE: Proceedings of the Western Pharmacology Society (2001), 44, 121-124  
 CODEN: PWPSAB; ISSN: 0083-8969  
 PUBLISHER: Western Pharmacology Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The possible protective action of oxcarbazepine, an anticonvulsant drug, against cerebellar and hippocampal neuronal degeneration induced by kainic acid (KA) administration was studied. The intensity and duration of behavioral seizure activity induced by KA was slightly reduced by administration of oxcarbazepine, while histol. damage was still present in the cerebellum and hippocampus. In control rats, there were no changes in the histol. patterns of different cell layers of hippocampus and cerebellum. In oxcarbazepine-pretreated animals, severe damage of pyramidal cells was observed. Significant loss of thickness of the dorsal granular cell layer was detected in dentate gyrus. Thus, oxcarbazepine did not protect against KA-induced brain damage.  
 IT 28721-07-5, Oxcarbazepine  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (oxcarbazepine does not protect against kainic acid-induced brain damage)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA  
 INDEX NAME)



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS  
 FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L47 ANSWER 47 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS  
 FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L47 ANSWER 49 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001:759770 CAPLUS  
 DOCUMENT NUMBER: 137:15274  
 TITLE: Pharmacophore model for antiepileptic drugs acting on sodium channels  
 AUTHOR(S): Tasso, Silvina M.; Bruno-Blanch, Luis E.; Estiu, Guillermina L.  
 CORPORATE SOURCE: Quim. Med., Dep. de Ciencias Biol., Fac. de Ciencias Exactas, Univ. Nacional de La Plata, La Plata, 1900, Argent.  
 SOURCE: Journal of Molecular Modeling [online computer file] (2001), 7(7), 231-239  
 CODEN: JMMOFK; ISSN: 0948-5023  
 URL: <http://link.springer.de/link/service/journals/00894/papers/1007007/10070231.pdf>  
 PUBLISHER: Springer-Verlag  
 DOCUMENT TYPE: Journal; [online computer file]  
 LANGUAGE: English  
 AB Fifteen antiepileptic drugs (AED), active against the maximal electroshock seizure test and able to block the neuronal voltage-dependent sodium channel, have been studied by a similarity anal. Structural and electronic, quantum chemical derived characteristics are compared. Rigid analogs are included, because of the flexibility of some structures, to discern the conformational requirements associated with these ligands in the moment of the interaction. An inactive compound (ethosuximide) helps in the definition of the structural factors that are important for the activity. We propose a pharmacophore model that, giving an interpretation of the biol. activity, allows the design of new AED with a well-defined mechanism of interaction.  
 IT 28721-07-5, Oxcarbazepine  
 RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)  
 (pharmacophore model for antiepileptic drugs acting on sodium channels)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA  
 INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS



10/074,181

L47 ANSWER 49 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L47 ANSWER 50 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001:718997 CAPLUS  
 DOCUMENT NUMBER: 135:278027  
 TITLE: Zero-order sustained release delivery system for carbamazepine derivatives  
 INVENTOR(S): Katzhendler, Ifat; Friedman, Michael  
 PATENT ASSIGNEE(S): Yissum Research Development Company of the Hebrew University of Jerusalem, Israel  
 SOURCE: U.S., 26 pp., Cont.-in-part of U.S. Ser. No. 436,886, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

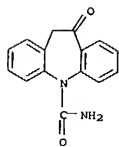
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6296873	B1	20011002	US 2000-539504	20000331
US 5980942	A	19991109	US 1998-12265	19980123
			US 1997-35892P	P 19970123
			US 1998-12265	A1 19980123
			US 1999-436886	B2 19991109

AB A zero-order sustained-release delivery system for delivery of carbamazepine or a derivative thereof is disclosed. A polymeric matrix formulation of carbamazepine comprises hydrophilic polymer or hydrophilic/hydrophilic polymer mixture which permits carbamazepine or carbamazepine derivative to be released from the polymer matrix in zero-order release kinetics. Carbamazepine (200/mg) and hydroxypropyl methylcellulose (HPMC) in different amts. were thoroughly mixed using a pestle and a mortar to produce different HPMC/carbamazepine ratios. Cylindrical tablets were prepared by direct compression of drug-polymer blends containing 200 mg carbamazepine. When NaCl, PEG 4,000 or PEG 20,000 were incorporated into the dry matrix, they were sieved through a 60 mesh sieve and thoroughly mixed with the drug and polymer using a pestle and mortar. Hydroxypropyl methylcellulose was added in an amount from 0-99% per tablet. Dissoln rate of the tablets were measured.

IT 28721-07-5, Oxcarbazepine  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (zero-order sustained release delivery system for carbamazepine deriva.)

RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz(b,f)azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

L47 ANSWER 50 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR  
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L47 ANSWER 51 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001:715744 CAPLUS  
 DOCUMENT NUMBER: 136:15144  
 TITLE: Oxcarbazepine (Trileptal) as monotherapy in patients with partial seizures  
 AUTHOR(S): Sachdeo, R.; Beydoun, A.; Schachter, S.; Vazquez, B.; Schaul, N.; Mesenbrink, P.; Kramer, L.; D'Souza, J.  
 CORPORATE SOURCE: New Jersey Comprehensive Epilepsy Center, University of Medicine and Dentistry of New Jersey, New Brunswick, NJ, USA  
 SOURCE: Neurology (2001), 57(5), 864-871  
 CODEN: NEURAI; ISSN: 0028-3878  
 PUBLISHER: Lippincott Williams & Wilkins  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

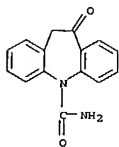
AB To evaluate the efficacy and safety of oxcarbazepine (OXC) as monotherapy for patients with uncontrolled partial seizures. A multicenter, double-blind, randomized, parallel-group, dose-controlled monotherapy trial compared OXC at 2400 mg/day with OXC at 300 mg/day in patients with uncontrolled partial-onset seizures previously receiving carbamazepine (CBZ) monotherapy. During a 28-day open-label conversion phase, patients were tapered off CBZ and titrated to OXC 2400 mg/day. After a 56-day open-label baseline phase on OXC 2400 mg/day, patients entered a 126-day double-blind treatment phase in which they were randomized to continue OXC at 2400 mg/day or were down titrated over 6 wk to OXC at 300 mg/day. Patients met the efficacy endpoint by completing the double-blind treatment phase or by meeting one of four predefined exit criteria. The primary efficacy variable was time to meeting one of the exit criteria. The secondary efficacy variable was the percentage of patients meeting one of the exit criteria in each of the two treatment groups. Of the 143 patients enrolled, 96 were randomized in the double-blind treatment phase. Time to meeting an exit criterion was significantly in favor of the OXC 2400 mg/day group (p = 0.0001). The median time to meeting an exit criterion was 68 days for the OXC 2400 mg/day group and 28 days for the OXC 300 mg/day group. In addition, the percentage of patients meeting one of the exit criteria was significantly lower for the OXC 2400 mg/day group (p = 0.0001). Overall, OXC was well tolerated with the most common adverse events consisting of fatigue, nausea, ataxia, and headache. This trial demonstrated that OXC at 2400 mg/day is well tolerated and efficacious when administered as monotherapy in patients with uncontrolled partial onset seizures.

IT 28721-07-5, Trileptal  
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (oxcarbazepine (Trileptal) as monotherapy in humans with partial seizures)

RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz(b,f)azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

10/074,181

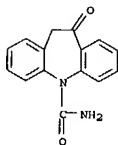
L47 ANSWER 51 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR  
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FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

~~L47~~ ANSWER 52 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2001:714438 CAPLUS  
DOCUMENT NUMBER: 136:14934  
TITLE: Recommendations on the clinical use of oxcarbazepine in the treatment of **epilepsy**: A consensus view  
AUTHOR(S): Schmidt, D.; Arroyo, S.; Baulac, M.; Dam, M.; Dulac, O.; Friis, M. L.; Kalviainen, R.; Kramer, G.; van Parys, J.; Pedersen, B.; Sachdeo, R.  
CORPORATE SOURCE: Epilepsy Research Group, Berlin, D-14163, Germany  
SOURCE: Acta Neurologica Scandinavica (2001), 104(3), 167-170  
CODEN: ANRSAS; ISSN: 0001-6314  
PUBLISHER: Munksgaard International Publishers Ltd.  
DOCUMENT TYPE: Journal: General Review  
LANGUAGE: English  
AB A review. Extensive clin. use and a series of clin. trials have shown that oxcarbazepine is a valuable antiepileptic drug for the treatment of adults and children with partial onset **seizures** both in initial monotherapy, for conversion to monotherapy and as adjunctive therapy. The clin. recommended titration scheme for all forms of therapy in adults is to start with 150 mg/day at night and to increase by 150 mg/day every second day until a target dose of 900-1200 mg/day is reached. If necessary, one can go faster and start with up to 600 mg/day and titrate with weekly increments of up to 600 mg/day. In children, treatment can be initiated with 8-10 mg/kg/day body weight in two to three divided doses. Dosage can be increased by 8-10 mg/kg/day in weekly increments if necessary for **seizure** control. Hyponatremia (serum sodium <125 mmol/l) can develop gradually during the first months of oxcarbazepine therapy in approx. 3% of patients with a previously normal serum sodium. However, there is no need to measure baseline serum sodium concns. unless the patient has renal disease, is taking medication which may lower serum sodium levels (such as diuretics, oral contraceptives or nonsteroidal anti-inflammatory drugs) or - in rare cases - has clin. symptoms of hyponatremia. During oxcarbazepine maintenance therapy measurement of serum sodium levels should also be considered if medications known to decrease sodium levels are added or symptoms of hyponatremia develop. Oxcarbazepine does not appear to have any clin. notable effects on other safety parameters such as renal and liver function or haematol. test results. In summary, oxcarbazepine is a safe and well tolerated antiepileptic drug for partial **epilepsy**.  
IT 28721-07-5, Oxcarbazepine  
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (oxcarbazepine in humans with **epilepsy**)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)

L47 ANSWER 52 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

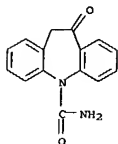


REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR  
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FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

~~L47~~ ANSWER 53 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2001:647933 CAPLUS  
DOCUMENT NUMBER: 135:352226  
TITLE: Oxcarbazepine in the treatment of **epilepsy**  
AUTHOR(S): Glauser, Tracy A.  
CORPORATE SOURCE: Department of Neurology, Children's Comprehensive Epilepsy Program, Children's Hospital Medical Center, Cincinnati, OH, 45229-3039, USA  
SOURCE: Pharmacotherapy (2001), 21(8), 904-919  
CODEN: PHPYDQ; ISSN: 0277-0008  
PUBLISHER: Pharmacotherapy Publications  
DOCUMENT TYPE: Journal: General Review  
LANGUAGE: English  
AB A review with refs. Oxcarbazepine is a new antiepileptic drug (AED) that has been registered in more than 50 countries worldwide since 1990 and recently received approval in the United States and the European Union. Oxcarbazepine is a keto analog of carbamazepine and has a more favorable pharmacokinetic profile. It is rapidly absorbed after oral administration and undergoes rapid and almost complete reductive metabolism to form the pharmacol. active 10-monohydroxy derivative. Oxcarbazepine exhibits linear pharmacokinetics, no autoinduction, and minimal interaction with other AEDs. Ten controlled trials demonstrated that oxcarbazepine is safe and efficacious in the treatment of partial **seizures** across a wide range of ages (children to adults), situations (recent onset to treatment-resistant **epilepsy**), and uses (monotherapy and adjunctive therapy). The most common treatment-emergent adverse events are related to the central nervous system. Treatment-emergent hyponatremia (defined as serum sodium level < 125 mEq/L) occurred in 3% of patients treated with oxcarbazepine in clin. trials. According to the efficacy and safety profile established in the controlled trials, oxcarbazepine represents an important new treatment option indicated for monotherapy and adjunctive therapy in adults with partial **seizures** and as adjunctive therapy in children aged 4 yr or older with partial **seizures**. Although structurally similar to carbamazepine, significant differences exist in the pharmacokinetics, drug interaction potential, adverse-effect profile, and dosage and titration between these two agents, and they should be considered distinct therapeutic agents.  
IT 28721-07-5, Oxcarbazepine  
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (oxcarbazepine in treatment of **epilepsy**)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)

10/074,181

L47 ANSWER 53 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

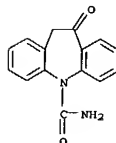


REFERENCE COUNT: 107 THERE ARE 107 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

~~L47 ANSWER 54 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN~~  
 ACCESSION NUMBER: 2001:631910 CAPLUS  
 DOCUMENT NUMBER: 135:195510  
 TITLE: Preparation of carbamazepine  
 INVENTOR(S): Citterio, Attilio; Breviglieri, Gabriele; Bruno, Giacomo  
 PATENT ASSIGNEE(S): Farchemia S.r.l., Italy  
 SOURCE: Eur. Pat. Appl., 10 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

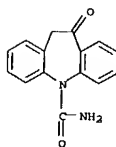
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1127877	A2	20010829	EP 2001-103475	20010214
EP 1127877	A3	20021127		
EP 1127877	B1	20040602		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
IT 1317854	B1	20030715	IT 2000-M1345	20000225
AT 268325	E	20040615	AT 2001-103475	20010214
PT 1127877	T	20040831	PT 2001-103475	20010214
US 6384217	B1	20020507	US 2001-788048	20010217
PRIORITY APPLN. INFO.: IT 2000-M1345 A 20000225				

OTHER SOURCE(S): CASREACT 135:195510; MARPAT 135:195510  
 AB The title process comprises a method which does not employ 9,10-unsatd. precursors. Thus, 5-cyano-10,11-dihydro-5H-dibenz[b,f]azepine was brominated and the product hydroxylated to give 5-cyano-10-hydroxy-10,11-dihydro-5H-dibenz[b,f]azepine which was converted to the title compound  
 IT 28721-07-59  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of carbamazepine from 5-cyano-10,11-dihydro-5H-dibenz[b,f]azepine)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



L47 ANSWER 54 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

~~L47 ANSWER 55 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN~~  
 ACCESSION NUMBER: 2001:611016 CAPLUS  
 DOCUMENT NUMBER: 136:379885  
 TITLE: Levetiracetam, oxcarbazepine, Remacemide and zonisamide for drug resistant localization-related epilepsy: a systematic review  
 AUTHOR(S): Marson, A. G.; Hutton, J. L.; Leach, J. P.; Castillo, S.; Schmidt, D.; White, S.; Chaisewikul, R.; Privitera, M.; Chadwick, D. W.  
 CORPORATE SOURCE: Clinical Sciences Centre for Research and Education, Department of Neurological Science, University of Liverpool, Liverpool, L9 7LJ, UK  
 SOURCE: Epilepsy Research (2001), 46(3), 259-270  
 CODEN: EPIRE8; ISSN: 0920-1211  
 PUBLISHER: Elsevier Science B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Objective: To undertake a systematic review and meta-anal. of placebo controlled add-on trials of levetiracetam, oxcarbazepine, Remacemide, and zonisamide for patients with drug resistant localization-related epilepsy. Methods: The authors searched Medline, The Cochrane Library, and contacted the relevant pharmaceutical companies. Outcomes were 50% or greater reduction in seizure frequency and treatment withdrawal for any reason. Data were synthesized in a meta-anal. The effect of dose was explored in regression models for levetiracetam and Remacemide. Results: The authors found 4 trials (1023 patients) of levetiracetam, 2 (961) of oxcarbazepine, 2 (308) of Remacemide, and 3 (499) of zonisamide. Ignoring dose, the relative risks (95% CI) for a response were 3.78 (2.62-5.44), 2.51 (1.88-3.33), 1.59 (0.91-2.97), and 2.46 (1.61-3.79), resp. There was evidence for increasing effect with increasing dose for levetiracetam, oxcarbazepine, and Remacemide. The relative risks for treatment withdrawal were 1.21 (0.88-1.66), 1.72 (1.35-2.18), 1.90 (1.00-3.60), and 1.64 (1.02-2.62), resp. Conclusions: These data suggest a useful effect for levetiracetam, oxcarbazepine, and zonisamide. Levetiracetam has the more favorable responder-withdrawal ratio followed by zonisamide and oxcarbazepine.  
 IT 28721-07-5, Oxcarbazepine  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (Levetiracetam and Oxcarbazepine and Remacemide and zonisamide for drug-resistant localization-related epilepsy)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



10/074,181

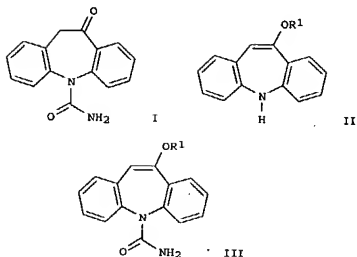
L47 ANSWER 56 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS  
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~~D47~~ ANSWER 56 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001:581847 CAPLUS  
 DOCUMENT NUMBER: 135:166785  
 TITLE: Preparation of dibenzo[b,f]azepine derivatives  
 INVENTOR(S): Fuenfaching, Peter; Kaufmann, Daniel; Lohse, Olivier; Beutler, Ulrich; Zaugg, Werner  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen  
 SOURCE: Verwaltungsgesellschaft m.b.H.  
 PCT Int. Appl., 15 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

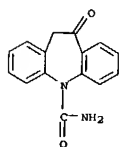
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NO 2001058992	A2	20010809	WO 2001-EP1330	20010207
WO 2001058992	A3	20020124		
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AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, BG, BR, CH, CN, CU, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CG, CI, CM, CA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2001007922	A	20021022	BR 2001-7922	20010207
TR 200201655	T2	20021121	TR 2002-200201655	20010207
EP 1265868	A2	20021218	EP 2001-915203	20010207
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JP 2003521536	T2	20030715	JP 2001-556842	20010207
AU 767724	B2	20031120	AU 2001-42373	20010207
NZ 520329	A	20031128	NZ 2001-520329	20010207
NO 2002003575	A	20020726	NO 2002-3575	20020726
US 2003032800	A1	20030213	US 2002-182980	20020802
ZA 2002006219	A	20030404	ZA 2002-6219	20020805
PRIORITY APPL. INFO.:			GB 2000-2740	A 20000207
			WO 2001-EP1330	W 20010207

OTHER SOURCE(S): CASREACT 135:166785; MARPAT 135:166785  
 GI

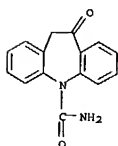
L47 ANSWER 56 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



AB The invention relates to new processes for the preparation of the pharmaceutical oxcarbazepine I, as well as novel intermediates prepared by or used for said processes, and the preparation of said intermediates. Thus, carbamoylation of II [R1 = alkyl] (preparation given for R1 = Me) with a metal cyanate in AcOH followed by hydrolysis of III affords the dibenzo[b,f]azepine I.  
 IT 28721-07-5P  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation) (preparation of dibenzo[b,f]azepine derive.)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



~~D47~~ ANSWER 57 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001:567030 CAPLUS  
 DOCUMENT NUMBER: 135:326841  
 TITLE: Oxcarbazepine: anticonvulsant profile and safety  
 AUTHOR(S): Rabasveda, X.  
 CORPORATE SOURCE: Medical Information Department, Prous Science, Barcelona, 08080, Spain  
 SOURCE: Drugs of Today (2001), 37(5), 333-355  
 CODEN: MDACAP; ISSN: 0025-7656  
 PUBLISHER: Prous Science  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English  
 AB A review with refs. Oxcarbazepine is a mol. chemical related to carbamazepine that shares most of the pharmacol. and therapeutic effects of carbamazepine while displaying a more favorable profile regarding tolerability and drug-drug interactions. In contrast to carbamazepine, oxcarbazepine is metabolized through cytochrome P 450-independent reductases, and is thus devoid of inductive effects on hepatic oxidative metabolism. Oxcarbazepine has been shown to be useful both as monotherapy and adjunctive therapy in patients with partial seizures with or without secondary generalization. The drug has been documented as safe and effective in adults as well as children aged 4-16 yr. Addnl. data suggests that oxcarbazepine might improve cognition and psychomotor performance and might increase alertness, in contrast to the cognition/psychomotor impairment observed with some other antiepileptic drugs. Both the pharmacokinetic advantages over other anticonvulsant drugs and the lack of pharmacol. interactions with oxcarbazepine may point to this drug as a first-line treatment for the management of partial and tonic-clonic epilepsy.  
 IT 28721-07-5, Oxcarbazepine  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); (Process); USES (Uses)  
 (anticonvulsant profile and safety of oxcarbazepine in humans)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

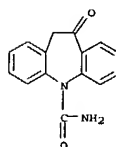


REFERENCE COUNT: 119 THERE ARE 119 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
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L47 ANSWER 57 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

✓  
L47 ANSWER 58 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2001:537723 CAPLUS  
DOCUMENT NUMBER: 135:86454  
TITLE: Oxcarbazepine in the treatment of epileptic seizures  
AUTHOR(S): Donath, Vladimir  
CORPORATE SOURCE: Neurol. Oddelenie, Rooseveltova Nemocnica, Banska Bystrica, 074 01, Slovakia  
SOURCE: Farmaceuticky Obzor (2001), 70(5), 125-126  
PUBLISHER: CODEN: FAOBAS; ISSN: 0014-8172  
DOCUMENT TYPE: Vydavatelstvo Zdravotnickej Literatury HERBA  
LANGUAGE: Slovak  
AB A review with 5 refs. Oxcarbazepine is 10-keto analog of carbamazepine which does not produce any epoxide metabolites responsible for most undesirable effects of carbamazepine. Its mechanism of action is identical with that of carbamazepine. It has good pharmacokinetic properties and its monohydrate has anticonvulsive effects. It causes less interactions and less undesirable side-effects in comparison with carbamazepine. The occurrence of weariness, headache, vertigo, and ataxia depends on the dose used. Leucopenia, hyponatremia, and cutaneous rash are less frequent. Oxcarbazepine is effective in the treatment of both partial and generalized tonic-clonic seizures. It has the same efficiency as carbamazepine, hydantoin, and valproate. The use of oxcarbazepine is considered a step forward in the treatment of epilepsy, since with the same efficacy it has less undesirable effects and less interactions with other antiepileptics and general drugs.  
IT 28721-07-5, Oxcarbazepine  
RL: BAC (Biological activity or effector, except adverse); RSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(oxcarbazepine in treatment of epileptic seizures)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA  
INDEX NAME)



L47 ANSWER 59 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

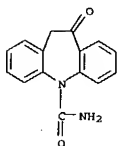
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L47 ANSWER 59 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2001:472472 CAPLUS  
DOCUMENT NUMBER: 135:81972  
TITLE: Formulations of adenosine A1 agonists  
INVENTOR(S): Bountra, Charanjit; Clayton, Nicholas Maughan; Naylor, Alan  
PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
SOURCE: PCT Int. Appl., 32 pp.  
DOCUMENT TYPE: CODEW: PIXXD2  
LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: English  
PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001045684	A2	20010628	WO 2000-GB4888	20001219
WO 2001045684	A3	20020314		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AE, BY, KG, KZ, MD, RU, TJ, TM			
RN:	GH, GM, KE, LS, MW, MY, NZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1239880	A2	20020918	EP 2000-985631	20001219
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2003518042	T2	20030603	JP 2001-546423	20001219
US 2003008842	A1	20030109	US 2002-168196	20020618
PRIORITY APPLN. INFO.:			GB 1999-30079	19991220
			WO 2000-GB4888	W 20001219

AB A method of treating conditions associated with pain and alleviating the symptoms associated with it comprises administering to a mammal an adenosine A1 agonist or a salt or solvate and a sodium channel blocker. The present invention also provides pharmaceutical formulations and patient packs comprising the combinations. Thus, (2S,3S,4R,5R)-2-(5-tert-butyl-1,3,4-oxadiazol-2-yl)-5-[6-(4-chloro-2-fluorophenylamino)purin-9-yl]tetrahydrofuran-3,4-diol was prepared in a series of steps by the reaction of (3aS,4S,6R,6aR)-6-[6-(6-chloropurin-9-yl)-2,2-dimethyltetrahydrofuro[3,4-d][1,3]dioxole-4-carboxylic acid with 2,2-dimethylpropionic acid hydrazide followed by the cyclization of the resulting compound, and subsequent treatment with 4-chloro-2-fluoroaniline and deprotection.  
IT 28721-07-5, Oxcarbazepine  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(Formulations of adenosine A1 agonists)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA  
INDEX NAME)

10/074,181

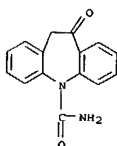
L47 ANSWER 59 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L47 ANSWER 60 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

IT 28721-07-5, Oxcarbazepine  
 RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (suspension formulation of anticonvulsant oxcarbazepine)

RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (BCI, 9CI)  
 (CA INDEX NAME)



L47 ANSWER 60 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:472460 CAPLUS  
 DOCUMENT NUMBER: 135:6202  
 TITLE: Pharmaceutical compositions  
 INVENTOR(S): Sigg, Juergen; Billington, Michael  
 PATENT ASSIGNER(S): Novartis A.-G., Switz.; Novartis-Erfindungen  
 Verwaltungsgesellschaft m.b.H.  
 SOURCE: PCT Int. Appl., 13 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001045671	A2	20010628	WO 2000-EP12968	20001219
WO 2001045671	A3	20020221		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, CA, GN, GW, ML, MR, HE, SN, TD, TG			
FR 2802423	A1	20010622	FR 2000-16220	20001213
BE 1013706	A5	20020604	BE 2000-787	20001214
EP 1239832	A2	20020918	EP 2000-988803	20001219
EP 1239832	B1	20040623		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
TR 200201459	T2	20020923	TR 2002-200201459	20001219
BR 2000016524	A	20020924	BR 2000-16524	20001219
JP 2003518036	T2	20030603	JP 2001-546410	20001219
NZ 518755	A	20040430	NZ 2000-518755	20001219
EP 1437127	A1	20040714	EP 2004-7509	20001219
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR			
AT 269694	E	20040715	AT 2000-988803	20001219
BE 1014502	A5	20031104	BE 2001-779	20011130
NO 2002002849	A	20020614	NO 2002-2849	20020614
US 2003004155	A1	20030102	US 2002-168248	20020618
ZA 2002004863	A	20030317	ZA 2002-4863	20020628
PRIORITY APPLN. INFO.:			GB 1999-30058	A 19991220
			EP 2000-988803	A3 20001219
			WO 2000-EP12968	W 20001219

AB This invention provides a pharmaceutical composition in the form of a suspension comprising oxcarbazepine having, when shaken, a viscosity in the range of 5-52 mPa.s. The suspension also comprises CM-cellulose, microcryst. cellulose and an antioxidant such as ascorbic acid. It is used for treating seizures in patients having difficulty swallowing

L47 ANSWER 61 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN

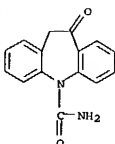
ACCESSION NUMBER: 2001:460849 CAPLUS  
 DOCUMENT NUMBER: 135:282472  
 TITLE: Oxcarbazepine, an antiepileptic agent  
 AUTHOR(S): Kalis, Michelle M.; Huff, Nancy A.  
 CORPORATE SOURCE: Massachusetts College of Pharmacy and Health Sciences,  
 Boston, MA, USA  
 SOURCE: Clinical Therapeutics (2001), 23(5), 680-700  
 CODEN: CLTHDG; ISSN: 0149-2918  
 PUBLISHER: Excerpta Medica, Inc.  
 DOCUMENT TYPE: Journal, General Review  
 LANGUAGE: English

AB A review with refs. **Epilepsy** is a common neurol. condition. Many of the currently approved pharmacol. agents for its treatment are associated with numerous adverse drug reactions and drug interactions.

This review describes the pharmacol. and therapeutic use of oxcarbazepine, an analog of the well-known antiepileptic agent carbamazepine. Articles for review were identified through a search of MEDLINE, International Pharmaceutical Abstracts, and EMBASE for the years 1980 through 2000. The terms used individually and in combination were oxcarbazepine, carbamazepine, **epilepsy**, and **seizures**. Oxcarbazepine and its primary metabolite have been effective in animal models of epilepsy that generally predict efficacy in generalized tonic-clonic seizures and partial seizures in humans. The exact mechanism of action of oxcarbazepine is unknown, although as with carbamazepine, it is believed to involve blockade of voltage-gated sodium channels. The pharmacokinetic profile of oxcarbazepine is less complicated than that of carbamazepine, with less metabolism by the cytochrome P 450 system, no production of an epoxide metabolite, and lower plasma protein binding. The clin. efficacy and tolerability of oxcarbazepine have been demonstrated in trials in adults, children, and the elderly. In a double-blind, randomized, crossover trial in adults, oxcarbazepine 300 mg was associated with a decrease in the mean frequency of tonic **seizures** (21.4 vs. 30.5 **seizures** during steady-state periods) and tonic-clonic **seizures** (8.2 vs. 10.4) compared with carbamazepine 200 mg (P < 0.05). A multinational, multicenter, double-blind, placebo-controlled, 28-wk trial assessed the efficacy and tolerability of oxcarbazepine at doses of 600, 1200, and 2400 mg as adjunctive therapy in patients with uncontrolled partial **seizures**. All 3 oxcarbazepine groups demonstrated a reduction in **seizure** frequency per 28-day period compared with placebo (600 mg, 26% reduction; 1200 mg, 40% reduction; 2400 mg, 50% reduction; placebo, 7.6% reduction; all, P < 0.001). A trial in children assessed the efficacy and toxicity of oxcarbazepine (median dose, 31.4 mg/kg/d) as adjunctive therapy for partial **seizures**. Patients receiving oxcarbazepine experienced a 35% reduction in **seizure** frequency, compared with a 9% reduction in the placebo group (P < 0.001). The most common adverse effects associated with oxcarbazepine are related to the central nervous system (eg, dizziness, headache, diplopia, and ataxia) and the gastrointestinal system (eg, nausea and vomiting). Compared with carbamazepine, there is an increased risk of hyponatremia with oxcarbazepine. The frequency and severity of drug interactions are less with oxcarbazepine than with carbamazepine or other antiepileptic agents.

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L47 ANSWER 61 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 Oxcarbazepine may be considered an appropriate alternative to carbamazepine for the treatment of partial seizures in patients who are unable to tolerate carbamazepine. Its use in nonseizure disorders remains to be examd. in large-scale clin. trials, and pharmacoeconomic comparisons of oxcarbazepine with other antiepileptic agents, particularly carbamazepine, are needed.  
 IT 28721-07-5, Oxcarbazepine  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (Oxcarbazepine in treatment of epilepsy in humans)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



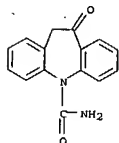
REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS  
 FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L47 ANSWER 62 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001:338359 CAPLUS  
 DOCUMENT NUMBER: 134:344609  
 TITLE: Pharmaceutical compositions comprising oxcarbazepine which may be taken with or without food  
 INVENTOR(S): Lang, Steffen  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.  
 SOURCE: PCT Int. Appl., 18 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

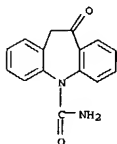
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032183	A2	20010510	WO 2000-EP10764	20001031
WO 2001032183	A3	20020704		
M:				
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:				
GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LJ, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1242091	A2	20020925	EP 2000-983101	20001031
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000015188	A	20021105	BR 2000-15188	20001031
JP 2003514780	A2	20030422	JP 2001-534388	20001031
ZA 2002003394	A	20020729	ZA 2002-3394	20020429
NO 2002002058	A	20020627	NO 2002-2058	20020430
PRIORITY APPL. INFO.:			GB 1999-25962	A 19991102
			WO 2000-EP10764	W 20001031

AB Oral dosage forms comprising oxcarbazepine which when administered to a patient display no food effect. A tablet contained trileptal 600.0, cellulose HPM603 16.8, microcryst. cellulose 131.2, colloidal anhydrous silica 3.2, magnesium stearate 8.8, croscopolidone 40.0, cellulose HPM603 11.946, iron oxide 0.811, polyethylene glycol 2.162, talc 8.649, and titanium dioxide 2.432 mg. Administration of tablet to volunteer 12 h after fasting or 5 min after eating a high-fat breakfast showed that food had to effect on the bioavailability of trileptal formulation.  
 IT 28721-07-5, Oxcarbazepine  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. comprising oxcarbazepine which may be taken with or without food)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

L47 ANSWER 63 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

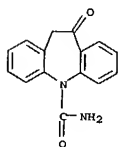


L47 ANSWER 63 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001:293197 CAPLUS  
 DOCUMENT NUMBER: 136:226260  
 TITLE: Metabolism of two new antiepileptic drugs and their principal metabolites S(+) and R(-)-10,11-dihydro-10-hydroxy carbamazepine  
 AUTHOR(S): Hainzl, D.; Parada, A.; Soares-da-Silva, P.  
 CORPORATE SOURCE: Department of Research and Development, Laboratorios Bial, A. Av. da Siderurgia Nacional, Mamede do Coronado, 4745-457, Port.  
 SOURCE: Epilepsy Research (2001), 44(2-3), 197-206  
 CODEN: EPIRE8; ISSN: 0920-1211  
 PUBLISHER: Elsevier Science B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB BIA 2-093 and BIA 2-059 are two stereoisomers under development as new antiepileptic drugs. They act as prodrugs for the corresponding hydroxy derivs. (S(+)- or R(-)-10,11-dihydro-10-hydroxy carbamazepine, resp.) which are known to be the active metabolites of the antiepileptic drug oxcarbazepine (OXC). The purpose of this study was to define the metabolic pathway especially in terms of stereoselectivity, and to estimate the possibility of racemization in humans. For in vivo studies, the rat, mouse and rabbit were chosen as models in order to cover a broad spectrum of metabolic activity. In addition, incubations with liver microsomes from these three species plus dog and monkey were compared to results obtained with human liver microsomes. It was found that both drugs were almost instantly hydrolyzed to the corresponding 10-hydroxy compds. in mice, rats and rabbits. Mice and rabbits were not able to oxidize the 10-hydroxy compds. to OXC in significant amts. In the rat, BIA 2-093 also gave origin to OXC, whereas BIA 2-059 resulted in the formation of OXC and the trans-diol metabolite in equal amts. It could be shown that the rat is able to reduce the formed OXC in liver to S(+)-10-hydroxy metabolite, resulting in a loss of enantiomeric purity after treatment with BIA 2-059 rather than in the case of BIA 2-093. Human liver microsomes hydrolyzed BIA 2-093 and BIA 2-059 to their corresponding 10-hydroxy compds. and to OXC in a very small extent with BIA 2-093 only. Therefore, BIA 2-093 and BIA 2-059 seem to be preferable drugs over OXC since they most likely exhibit a 'cleaner' metabolism. From a therapeutic point of view BIA 2-059 would be less appropriate than BIA 2-093 for the purpose of treating epileptic patients due to its propensity to undergo inactivation to the trans-diol.  
 IT 28721-07-5, Oxcarbazepine  
 RL: BSU (Biological study, unclassified); FMU (Formation, unclassified); BIOL (Biological study); FORM (Formation, nonpreparative) (antiepileptic prodrugs BIA 2-093 and BIA 2-059 metabolism in liver)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS  
 FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L47 ANSWER 64 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 and generalized tonic-clonic **seizures**, and also as an adjunct for medically intractable partial **seizures** in both adults and children.  
 IT 28721-07-5, Oxcarbazepine  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (Oxcarbazepine efficacy in management of **epilepsy** in humans)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



REFERENCE COUNT: 119 THERE ARE 119 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
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L47 ANSWER 64 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001:155033 CAPLUS  
 DOCUMENT NUMBER: 135:174427  
 TITLE: Oxcarbazepine: an update of its efficacy in the management of **epilepsy**  
 AUTHOR(S): Wellington, Keri; Goa, Karen L.  
 CORPORATE SOURCE: Adis International Limited, Auckland, N. Z.  
 SOURCE: CNS Drugs (2001), 15(2), 137-163  
 CODEN: CNDREP; ISSN: 1172-7047  
 PUBLISHER: Adis International Ltd.  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English  
 AB A review with 119 refs. Oxcarbazepine (10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide) is a 10-keto analog of carbamazepine with anticonvulsant activity. In newly diagnosed adult patients, oxcarbazepine monotherapy is as effective as phenytoin and valproic acid at reducing generalized tonic-clonic and partial **seizure** frequency. Furthermore, oxcarbazepine 2400 mg/day as monotherapy has also proved effective in the treatment of refractory partial **seizures** in adult patients. Oxcarbazepine 600, 1200 and 2400 mg/day as adjunctive therapy significantly reduced **seizure** frequency compared with placebo in 692 patients with refractory partial **seizures**. The efficacy of oxcarbazepine monotherapy is similar to that of phenytoin in the treatment of children and adolescents with newly diagnosed partial or generalized tonic-clonic **seizures**. Addnl., adjunctive therapy with oxcarbazepine was significantly more effective than placebo at reducing **seizure** frequency in children and adolescents with refractory partial **seizures**. The most commonly reported adverse events associated with oxcarbazepine monotherapy and/or adjunctive therapy in adults and/or children are somnolence, dizziness, headache, nausea and vomiting. Oxcarbazepine monotherapy is better tolerated than phenytoin (in both adults and children) and valproic acid (in adults), and although 75 to 90 % of adult patients in 5 recent monotherapy studies reported adverse events while receiving oxcarbazepine, <8 % withdrew from treatment because of them. Acute hyponatremia, although usually asymptomatic, develops in 2.7 % of patients treated with oxcarbazepine. Adverse events most likely to resolve upon switching to oxcarbazepine therapy from treatment with carbamazepine are undetd. skin reactions (rashes, pruritus, eczema), allergic reactions and a combination of malaise, dizziness and headache. Although oxcarbazepine does have a clin. significant interaction with some drugs (e.g. phenytoin and oral contraceptives), it has a lower propensity for interactions than older antiepileptic drugs (AEDs) because its major metabolic pathway is mediated by noninducible enzymes. Conclusion: Oxcarbazepine as monotherapy is a viable alternative to established AEDs in the treatment of partial and generalized tonic-clonic **seizures** in adults and children. Furthermore, it is also effective as adjunctive therapy in the treatment of refractory partial **seizures** in both age groups. In addition, the drug is tolerated better than the older, established AEDs, and has a lower potential for drug interactions. These attributes make oxcarbazepine an effective component in the initial treatment of newly diagnosed partial

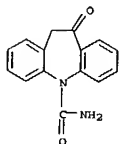


L47 ANSWER 65 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001:79917 CAPLUS  
 DOCUMENT NUMBER: 135:132213  
 TITLE: Reproductive effects of valproate, carbamazepine, and oxcarbazepine in men with **epilepsy**  
 AUTHOR(S): Rattya, J.; Turkka, J.; Pakarinen, A. J.; Knap, M.; Kotila, M. A.; Lukkarinen, O.; Myllyla, V. V.; Isojarvi, J. I. T.  
 CORPORATE SOURCE: Departments of Neurology, University of Oulu, Oulu, Finland  
 SOURCE: Neurology (2001), 56(1), 31-36  
 CODEN: NEURAL; ISSN: 0028-3878  
 PUBLISHER: Lippincott Williams & Wilkins  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Background: Recent observations have indicated that reproductive endocrine disorders are common among women taking valproate (VPA) for **epilepsy**, but it is not known whether resp. abnormalities develop in men taking VPA for **epilepsy**. Carbamazepine (CBZ) may induce endocrine disorders in men with **epilepsy**, but the endocrine effects of oxcarbazepine (OXC) are not known. Methods: Reproductive endocrine function was evaluated in 90 men taking VPA (n = 21), CBZ (n = 40), or OXC (n = 29) as monotherapy for **epilepsy** and in 25 healthy control men. Results: Twelve men (57%) taking VPA had increased serum androgen levels. The mean serum level of androstenedione was high in patients taking VPA. Serum levels of dehydroepiandrosterone sulfate were low, and serum concns. of sex hormone-binding globulin (SHBG) were high in men taking CBZ. The endocrine effects of OXC seemed to be dose-dependent, because serum hormone levels were normal in patients with low OXC doses (<900 mg/day), but serum concns. of testosterone, gonadotropins, and SHBG were high in patients with a daily OXC dose >900 mg. Conclusions: VPA increases serum androgen concns. in men with **epilepsy**. The endocrine effects of CBZ and OXC were different, because CBZ appears to decrease the bioactivity of androgens, whereas OXC does not.  
 IT 28721-07-5, Oxcarbazepine  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (reproductive effects of valproate, carbamazepine, and oxcarbazepine in men with **epilepsy**)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



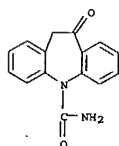
10/074,181

L47 ANSWER 65 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR  
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FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L47 ANSWER 66 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)  
and elimination of drugs. Concomitant illness and sensitivity to drug  
effects narrow the therapeutic range and complicate pharmacokinetics in  
elderly patients. Newer anticonvulsant drugs have advantages that may  
outweigh risks and have therapeutic profiles that may aid in the  
treatment  
of this special population of patients.  
IT 28721-07-5, Oxcarbazepine  
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or  
effector, except adverse); BSU (Biological study, unclassified); THU  
(Therapeutic use); BIOL (Biological study); USES (Uses)  
(Choice and use of newer anticonvulsant drugs in older patients)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA  
INDEX NAME)



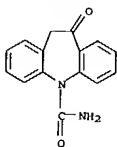
REFERENCE COUNT: 73 THERE ARE 73 CITED REFERENCES AVAILABLE FOR  
THIS  
FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L47 ANSWER 66 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN  
ACCESSION NUMBER: 2001:79027 CAPLUS  
DOCUMENT NUMBER: 135:131505  
TITLE: Choice and use of newer anticonvulsant drugs in older  
patients  
AUTHOR(S): Willmore, L. James  
CORPORATE SOURCE: Department of Neurology, Saint Louis University  
School  
of Medicine, St. Louis, MO, USA  
SOURCE: Drugs & Aging (2000), 17(6), 441-452  
CODEN: DRAGES; ISSN: 1170-229X  
PUBLISHER: Adis International Ltd  
DOCUMENT TYPE: Journal; General Review  
LANGUAGE: English  
AB A review with 73 refs. **Epilepsy** is common in the elderly. The  
incidence of **epilepsy** is age-dependent, with a peak during the  
first year of life and higher incidence in those older than 75 yr.  
Cerebrovascular disease is a common cause of **epilepsy** in the  
elderly. Drug treatment of the elderly is a challenge because of  
pharmacokinetic changes with aging, including impaired drug protein  
binding or displacement of drug from protein binding sites, potentially  
causing drug toxicity as a result of increased free drug concn. With  
aging, hepatic mass and blood flow decline along with renal function.  
Established anticonvulsant drugs have adverse effects and drug  
interactions that can make treating the elderly difficult. Newly  
available anticonvulsants cause fewer drug-drug interactions and less  
toxicity. Gabapentin is not metabolized, is not bound to protein, and  
has a favorable adverse effect profile and thus may be useful in the  
treatment of elderly patients. Lamotrigine reduced **seizures** between 20  
and 30% in trials. Dose response was between 300mg per day and 500mg per  
day. This drug was well tolerated in open-label trials. Rash occurred  
in younger patients. Oxcarbazepine is rapidly absorbed and is converted to  
a monohydroxy derivative. Use with hepatic enzyme-inducing drugs  
necessitates an increase in dose. This drug may be substituted for carbamazepine.  
Hyponatremia has been reported and monitoring is suggested. Topiramate  
blocks voltage-dependent sustained repetitive firing and has an effect on  
the gamma-aminobutyric acid (GABA) receptors. It affects glutamate  
responses and inhibits carbonic anhydrase. Topiramate has a dose  
response pattern up to 400mg per day. Cognitive effects limits its use in some  
patients. Nephrolithiasis has occurred with this drug. Tiagabine blocks  
GABA transporter proteins. Clearance is rapid and metabolism complete.  
Hepatic dysfunction prolongs clearance. The use of tiagabine has not  
been reported in the elderly. Zonisamide is rapidly absorbed and protein  
binding is 50%. Plasma half-life is 55 h but is reduced to about 30 h by  
hepatic enzyme-inducing drugs. Responder rate is 45%. Adverse effects  
include drowsiness, altered thinking and nephrolithiasis. Treatment of  
the elderly requires obligatory polypharmacy with potential drug  
interactions. Changes in body physiol. alter absorption, binding,  
metabolism

L47 ANSWER 67 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN  
ACCESSION NUMBER: 2001:35028 CAPLUS  
DOCUMENT NUMBER: 135:116996  
TITLE: Oxcarbazepine placebo-controlled, dose-ranging trial  
in refractory partial **epilepsy**  
AUTHOR(S): Barcs, Gabor; Walker, Elizabeth B.; Elger, Christian  
E.; Scaramelli, Alejandro; Stefan, Hermann; Sturm,  
Yvonne; Moore, Alan; Fleuch, Gerard; Kramer, Lynn;  
D'Souza, Joseph  
CORPORATE SOURCE: Országos Pszichiatriai és Neurologiai Intezet,  
Budapest, 1021, Hung.  
SOURCE: Epilepsia (2000), 41(12), 1597-1607  
CODEN: EPILEA; ISSN: 0013-9580  
PUBLISHER: Lippincott Williams & Wilkins  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB The goal of the study was to evaluate the safety and efficacy of a broad  
oxcarbazepine (OXC) dosage range (600, 1200, and 2400 mg/d) as adjunctive  
therapy for uncontrolled partial **seizures** and to determine the  
relationship between trough plasma 10-monohydroxy derivative concns. and  
OXC safety and efficacy. This multinational, multicenter, randomized, 28-wk,  
double-blind, placebo-controlled, four-arm, parallel-group trial enrolled  
694 patients aged 15-65 yr with uncontrolled partial **seizures**  
with or without secondarily generalized **seizures**. The primary  
efficacy variable was percentage change in **seizure** frequency per  
28 days relative to baseline. The median reduction in **seizure**  
frequency was 26%, 40%, 50%, or 8% for patients receiving 600, 1200, or  
2400 mg/d OXC or placebo, resp. (all p ≤ 0.0001). Of patients in  
the 600, 1200, or 2400 mg/d OXC groups, 27%, 42%, and 50% resp., had more  
than 50% reduction in **seizure** frequency compared with 13% for  
placebo (all p < 0.001). Higher plasma 10-monohydroxy derivative  
concns. were associated with larger decreases in **seizure** frequency (p = 0.0001).  
During the double-blind treatment phase, 84%, 90%, 98%, and 76% of  
patients receiving 600, 1200, or 2400 mg/d OXC or placebo, resp.,  
reported one or more adverse events. The most common adverse events were related  
to the nervous and digestive systems. OXC is safe and effective as  
adjunctive therapy in patients with uncontrolled partial **seizures**.  
OXC 600 mg/d was the min. effective dosage; effectiveness of OXC  
increased with dose. The rapid and fixed titration to high doses was  
associated with an increased risk of adverse events, which could potentially be  
reduced by adjusting concomitant antiepileptic medication and by using a  
slower, flexible OXC titration schedule.  
IT 28721-07-5, Oxcarbazepine  
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or  
effector, except adverse); BPR (Biological process); BSU (Biological  
study, unclassified); THU (Therapeutic use); BIOL (Biological study);  
PROC (Process); USES (Uses)  
(Oxcarbazepine dosage range for uncontrolled refractory partial  
**epilepsy** in humans)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA  
INDEX NAME)

10/074,181

L47 ANSWER 67 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR  
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FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L47 ANSWER 68 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2001:11769 CAPLUS  
DOCUMENT NUMBER: 135:101700  
TITLE: Expanding first-line therapy options for children  
with

AUTHOR(S): partial seizures  
Glauser, Tracy A.  
CORPORATE SOURCE: Children's Comprehensive Epilepsy Program, Department  
of Neurology, Children's Hospital Medical Center,  
Cincinnati, OH, 45229-3039, USA  
SOURCE: Neurology (2000), 55(11, Suppl. 3), S30-S37  
CODEN: NEURAI; ISSN: 0028-3878  
PUBLISHER: Lippincott Williams & Wilkins  
DOCUMENT TYPE: Journal; General Review  
LANGUAGE: English

AB A review with 55 refs. Carbamazepine and phenytoin are considered  
first-line therapies for children with partial seizures on the  
basis of the adult Veterans Administration studies, open-label controlled  
and uncontrolled pediatric studies, and clin. experience. Although many  
new antiepileptic drugs (AEDs) have demonstrated efficacy in controlled  
trials in adults with partial seizures, addnl. issues must be  
examined before these new AEDs are considered as first-line therapy for  
children with partial seizures. This article proposes three  
criteria for assessing the suitability of a new AED as first-line therapy  
for pediatric partial seizures: (a) demonstrated efficacy  
against pediatric partial seizures in two or more randomized,  
double-blind controlled trials involving patients less than 12 yr old  
(with at least one of the trials utilizing a monotherapy design); (b) a  
favorable safety profile in monotherapy trials and no severe  
idiosyncratic

reactions; and (c) ease of use in children across a wide range of ages.  
On the basis of these criteria, two new AEDs, oxcarbazepine (OXC) and  
topiramate (TPM), are suitable for consideration. OXC has demonstrated  
efficacy in monotherapy and adjunctive therapy in pediatric partial  
seizures, along with good tolerability and the ability to be  
titrated rapidly. TPM has also demonstrated efficacy and tolerability in  
pediatric partial seizures but should be titrated slowly. In  
addition, gabapentin (GBP) can be considered as first-line therapy for  
pediatric partial seizures if the preliminary anal. of a  
monotherapy trial is confirmed. There are not yet enough data on  
efficacy

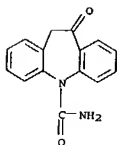
to support consideration of lamotrigine, tiagabine, felbamate,  
levetiracetam, or zonisamide as first-line therapy for pediatric partial  
seizures.

IT 28721-07-5, Oxcarbazepine  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(expanding first-line antiepileptic therapy options for children with  
partial seizures)

RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA

INDEX NAME)

L47 ANSWER 69 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR  
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FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L47 ANSWER 69 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2000:790286 CAPLUS  
DOCUMENT NUMBER: 133:32955  
TITLE: New indication for use of antiepileptic agents and  
medicines in the treatment of bronchial conditions  
INVENTOR(S): Lomia, Merab  
PATENT ASSIGNEE(S): Georgia  
SOURCE: PCT Int. Appl., 19 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066096	A2	20001109	WO 2000-GE2	20000428
WO 2000066096	A3	20010322		
W: AE, AM, AT, AU, AZ, BG, BR, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LU, LV, MA, MD, MK, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, TR, UA, US, UZ, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RM: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1175209	A2	20020130	EP 2000-922799	20000428
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRIORITY APPLN. INFO.:			GE 1999-3512	A 19990430
			WO 2000-GE2	W 20000428

AB The invention refers to medicine, in particular to pharmacol. and  
pharmacotherapy. The tech. result is to prevent specific expiratory  
bronchospasm in patients with bronchial asthma and other diseases and  
pathol. conditions. The principally new indication provides use of  
antiepileptic agents for treatment of all types of bronchial asthma,  
status asthmaticus, asthmatic and allergic bronchitis, bronchial  
hyperreactivity and bronchospastic syndromes and treatment of diseases  
proceeding with these syndromes and also for treatment of allergic and  
vasomotor rhinitis and rhinoconjunctivitis.

IT 28721-07-5, Oxcarbazepine  
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological

study, unclassified); THU (Therapeutic use); BIOL (Biological study);  
USES (Uses)

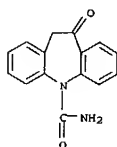
(antiepileptic agents for treatment of bronchial conditions)

RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA

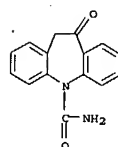
INDEX NAME)

10/074,181

L47 ANSWER 69 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



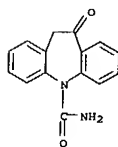
ANSWER 70 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2000:765870 CAPLUS  
 DOCUMENT NUMBER: 134:305191  
 TITLE: Effects of oxcarbazepine on the behavioral response and neuroanatomical alterations following administration of kainic acid  
 AUTHOR(S): Gonzalez-Maciel, A.; Reynoso-Robles, R.; Romero, R. M.; Huerta, B.; Gonzalez, V.; Vargas, L.; Ayala-Guerrero, F.  
 CORPORATE SOURCE: Instituto Nacional de Pediatria, Facultad de Ciencias Biologicas de la Universidad de Morelos, Facultad de Psicología, Universidad Nacional Autonoma de Mexico, Mex.  
 SOURCE: Proceedings of the Western Pharmacology Society (2000), 43, 35-37  
 PUBLISHER: CODEN: PWPSAB; ISSN: 0083-8969  
 DOCUMENT TYPE: Western Pharmacology Society  
 LANGUAGE: Journal  
 AB A study was conducted to test the possible protective action of the oxcarbazepine against the ~~seizures~~ and brain damage induced by kainic acid (KA) administration. Consistent with previous reports, administration of KA produced ~~seizure~~ activity accompanied by histol. damage in the hippocampus. KA-induced ~~seizures~~ were moderately inhibited after administering oxcarbazepine. However, this anticonvulsant drug did not protect against hippocampal neuronal degeneration.  
 IT 28721-07-5, Oxcarbazepine  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study);  
 USES (Uses)  
 (effects of oxcarbazepine on behavioral response and neuroanatomical alterations following administration of kainic acid)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS

L47 ANSWER 70 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
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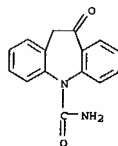
ANSWER 71 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2000:708976 CAPLUS  
 DOCUMENT NUMBER: 134:246739  
 TITLE: The next wave of anticonvulsants Focus on levetiracetam, oxcarbazepine and zonisamide  
 AUTHOR(S): Schachter, Steven C.  
 CORPORATE SOURCE: Department of Neurology, Beth Israel Deaconess Medical Center and Harvard Medical School, Boston, MA, USA  
 SOURCE: CNS Drugs (2000), 14(3), 229-249  
 PUBLISHER: CODEN: CNDREF; ISSN: 1172-7047  
 DOCUMENT TYPE: Adis International Ltd.  
 LANGUAGE: Journal; General Review  
 AB A review with 155 refs. Since Dec. 1999, 3 drugs have been cleared for marketing by the US Food and Drug Administration for the treatment of partial-onset ~~seizures~~ in adults with ~~epilepsy~~. All are approved as adjunctive therapy; oxcarbazepine is also approved as monotherapy. Levetiracetam appears to have a novel mechanism of action, while the others block voltage-sensitive sodium channels (oxcarbazepine and zonisamide) and T-type calcium channels (zonisamide). Levetiracetam and oxcarbazepine have short serum elimination half-lives and can be started at therapeutic dosages. All 3 drugs exhibit linear pharmacokinetics and have a low propensity for drug-drug interactions. There is extensive worldwide experience with oxcarbazepine and zonisamide, whereas exposure to levetiracetam has been limited to a relatively small number of patients in clin. trials. These 3 drugs are important addns. to the armamentarium for the treatment of ~~seizures~~ and offer patients whose lives are compromised by ~~epilepsy~~ the potential to achieve a better quality of life.  
 IT 28721-07-5, Oxcarbazepine  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study);  
 PROC (Process); USES (Uses)  
 (levetiracetam, oxcarbazepine and zonisamide anticonvulsant therapy in humans with ~~epilepsy~~)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



10/074,181

L47 ANSWER 71 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
REFERENCE COUNT: 155 THERE ARE 155 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L47 ANSWER 72 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2000:670270 CAPLUS  
DOCUMENT NUMBER: 134:172531  
TITLE: New antiepileptic drugs: what's in the future?  
treatment of paediatric **epilepsy**  
AUTHOR(S): Pellock, John M.  
CORPORATE SOURCE: Medical College of Virginia, Virginia Commonwealth  
University, Richmond, VA, USA  
SOURCE: International Congress and Symposium Series - Royal  
Society of Medicine (2000), 245(Medical Management of  
Selected Neurological Disorders: Epilepsy, Spasticity  
and Pain), 17-27  
CODEN: RMISDU; ISSN: 0142-2367  
PUBLISHER: Royal Society of Medicine Press Ltd.  
DOCUMENT TYPE: Journal; General Review  
LANGUAGE: English  
AB A review with 13 refs. on the use of new antiepileptic drugs zonisamide,  
levetiracetam, and oxcarbazepine in children and a discussion of new ways  
of thinking about the treatment of **epilepsy** in this patient  
group.  
IT 28721-07-5, Oxcarbazepine  
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or  
effector, except adverse); BSU (Biological study, unclassified); THU  
(Therapeutic use); BIOL (Biological study); USES (Uses)  
(new antiepileptic drugs in the treatment of pediatric **epilepsy**)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA  
INDEX NAME)



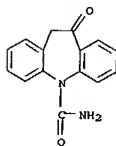
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L47 ANSWER 73 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2000:666712 CAPLUS  
DOCUMENT NUMBER: 133:237875  
TITLE: Preparation of 10,11-dihydro-10-oxo-5H-  
dibenz[b,f]azepine-5-carboxamide via nitration of  
5-chlorocarbonyl-5H-dibenz[b,f]azepine.  
Eidenhammer, Gerhard; Altreiter, Johann;  
INVENTOR(S): Karl  
Schwendinger, DSM Fine Chemicals Austria G.m.b.H., Austria  
PATENT ASSIGNEE(S): PCT Int. Appl., 24 pp.  
SOURCE: CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055138	A1	20000921	WO 2000-EPI279	20000217
W:	AE, AL, AM, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AT 9900452	A	20010215	AT 1999-452	19990315
AT 408224	B	20010925		
PRIORITY APPLN. INFO.:			AT 1999-452	A 19990315

OTHER SOURCE(S): CASREACT 133:237875  
AB 10,11-Dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide (I) was  
prepared by  
nitration of 5-chlorocarbonyl-5H-dibenz[b,f]azepine (II) to give the  
10-nitro compound, which was converted either by (a) reduction and  
hydrolysis to  
the 10-oxo compound which reacted with NH<sub>3</sub> to give I or (b) by reduction  
to the  
corresponding isonitroso compound which reacted with NH<sub>3</sub> to give the  
10-oxime-5-carboxamide which was hydrolyzed to I. Thus, II in aqueous  
HOAc  
was treated with N<sub>2</sub>O<sub>4</sub> in HOAc over 1 h at 25° followed by heating  
at 50° for 3 h to give 87% 5-chlorocarbonyl-10-nitro-5H-  
dibenz[b,f]azepine. This was warmed with HCl in Me iso-Bu ketone under  
addition of Fe over 1.5 h at 40° followed by 2 h stirring to give  
after filtration an organic residue which was treated with NH<sub>3</sub> for 2 h at  
50° to give 72% I.  
IT 28721-07-5P, 10,11-Dihydro-10-oxo-5H-dibenz[b,f]azepine-5-  
carboxamide  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of  
10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide via  
nitration of 5-chlorocarbonyl-5H-dibenz[b,f]azepine)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA  
INDEX NAME)

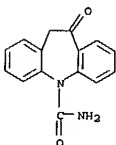
L47 ANSWER 73 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

10/074,181

147 ANSWER 74 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2000:588281 CAPLUS  
 DOCUMENT NUMBER: 134:50861  
 TITLE: Safety and efficacy of oxcarbazepine: results of randomized, double-blind trials  
 AUTHOR(S): Beydoun, Ahmad  
 CORPORATE SOURCE: University of Michigan Medical Center, Ann Arbor, MI, 48109, USA  
 SOURCE: Pharmacotherapy (2000), 20(8, Pt. 2), 152S-158S  
 CODEN: PHPYDQ; ISSN: 0277-0008  
 PUBLISHER: Pharmacotherapy Publications  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English  
 AB A review with 25 refs. Oxcarbazepine is approved as monotherapy and adjunctive therapy for partial seizures with and without secondarily generalized seizures in adults and as adjunctive therapy for partial-onset seizures in children aged 4-16 yr. The clin. development of oxcarbazepine is different from the newer antiepileptic drugs (AEDs) in the extent and concordance of results across clin. trials. The safety and efficacy of oxcarbazepine was evaluated in adjunctive therapy trials, in comparative monotherapy trials with classic AEDs in adults and children with newly diagnosed epilepsy, in monotherapy therapeutic failure design trials in patients with refractory partial seizures, and in trigeminal neuralgia and affective disorder. The results of oxcarbazepine in treating epilepsy are discussed.  
 IT 28721-07-5, Oxcarbazepine  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (safety and efficacy of oxcarbazepine)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

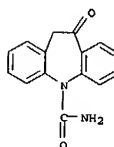


REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

147 ANSWER 75 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2000:588128 CAPLUS  
 DOCUMENT NUMBER: 134:J6571  
 TITLE: Newer anticonvulsants: comparative review of drug interactions and adverse effects  
 AUTHOR(S): Sabers, Anne; Gram, Lennart  
 CORPORATE SOURCE: Dianalund Epilepsy Hospital, Dianalund, Den.  
 SOURCE: Drugs (2000), 60(1), 23-33  
 CODEN: DRUGAY; ISSN: 0012-6667  
 PUBLISHER: Adis International Ltd.  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English  
 AB A review with 133 refs. The tolerability and drug interaction profiles of 6 new anticonvulsants: oxcarbazepine, vigabatrin, lamotrigine, gabapentin, tiagabine and topiramate, are reviewed. In general, these new anticonvulsants are well tolerated and drug interaction problems are minor with the exception of the risk of failure of oral contraceptives during treatment with oxcarbazepine or topiramate. In this review, the clin. implications of the tolerability of these drugs are discussed for different patient groups. The choice of which new anticonvulsant for which patient depends upon individual factors, in particular, seizure type, tolerability and practical administration factors. Treating elderly patients may be complicated by an increased sensitivity to adverse effects as these patients very often receive polytherapy for accompanying diseases. Drugs with very simple pharmacokinetic properties may be preferred in this group. Women of childbearing age face specific problems related to the epilepsy and to treatment with anticonvulsants. These include impaired fertility, failure of oral contraceptives and the risk of birth defects. Some new anticonvulsants may be suggested in preference to classical drugs to avoid these problems, but the human experience with newer anticonvulsants is still limited and, therefore, so is knowledge of the risk of congenital malformations in the offspring of mothers taking anticonvulsants. Psychiatric and behavioral changes frequently complicate treatment of patients with mental retardation. Some of the new anticonvulsants, in particular those affecting the gamma-aminobutyric acid (GABA) system such as vigabatrin, seem to exacerbate this problem and should be used with caution in these patients.  
 IT 28721-07-5, Oxcarbazepine  
 RL: ADV (Adverse effect, including toxicity); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (comparative review of drug interactions and adverse effects of newer anticonvulsants)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

147 ANSWER 74 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

147 ANSWER 75 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

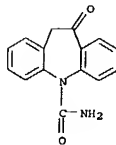


REFERENCE COUNT: 133 THERE ARE 133 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

10/074,181

147 ANSWER 76 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2000:572911 CAPLUS  
 DOCUMENT NUMBER: 134:148  
 TITLE: Plasma level monitoring of oxcarbazepine in epileptic patients  
 AUTHOR(S): Gonzalez-Esquivel, Dinora F.; Ortega-Gavilan, Myriam; Alcantara-Lopez, Gabriela; Jung-Cook, Helgi  
 CORPORATE SOURCE: Laboratorio de Neuropsicofarmacologia, Instituto Nacional de Neurologia, Mexico, 14269, Mex.  
 SOURCE: Archives of Medical Research (2000), 31(2), 202-205  
 CODEN: AEDEER; ISSN: 0188-4409  
 PUBLISHER: Elsevier Science Inc.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Despite the wide use of oxcarbazepine (OXC) there is little data concerning the usefulness of plasma level monitoring with this drug in Mexican patients with **epilepsy**. The purpose of the present study was to determine whether OXC levels correlate with dose, age, weight, or drugs used concomitantly. Plasma levels of the antiepileptic drug OXC were evaluated in 214 patients with **epilepsy**. In each patient, plasma MHD (10-hydroxycarbazepine, the main metabolite of OXC) concentration was determined. Addnl., plasma protein binding was determined in 30 patients and affinity to red blood cells (RBCs) was evaluated in 50 patients. Our results showed that the mean plasma level of MHD was 15.34 µg/mL, mean protein binding ranged between 30-40%, and the mean RBC concentration was 18.38 µg/mL. A relationship between dose/weight and plasma concentration was found ( $r = 0.5149$ ,  $p < 0.001$ ). In addition, a linear relationship between plasma and RBC concentration was established ( $r = 0.8806$ ,  $p < 0.0001$ ). These results suggest that for OXC, routine RBC concns. are not necessary to make drug adjustments.  
 IT 28721-07-5, Oxcarbazepine  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (plasma level monitoring of oxcarbazepine in epileptic patients)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

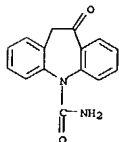
L47 ANSWER 76 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS  
 FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

147 ANSWER 77 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2000:544567 CAPLUS  
 DOCUMENT NUMBER: 133:290999  
 TITLE: **Epilepsy** and pregnancy: effect of antiepileptic drugs and lifestyle on birth weight  
 AUTHOR(S): Hvas, Christian Lodberg; Henriksen, Tine Brink; Ostergaard, John R.; Dam, Mogens  
 CORPORATE SOURCE: Departments of Obstetrics and Gynaecology, Aarhus University Hospital, Aarhus, DK-8200, Den.  
 SOURCE: BJOG (2000), 107(7), 896-902  
 CODEN: BIOGFO  
 PUBLISHER: Blackwell Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The impact of **epilepsy** and antiepileptic drugs on length of gestation and anthropometric measures of the newborn was studied. The study was based on questionnaires mailed to all pregnant women who attended for prenatal care at our department from August 1989 to Jan. 1997. One hundred and ninety-three singleton pregnancies in women with **epilepsy** were compared with 24,094 singleton pregnancies in women without **epilepsy**. Children of women with **epilepsy** who smoked had lower gestational age and were at increased risk of preterm delivery (OR 3.4; 95% CI 1.8-6.5), compared with children born by nonepileptic women who smoked. Birthweight adjusted for gestational age was reduced by 102 g (95% CI 40-164) in women with **epilepsy**, and the risk of delivering a child who was small for gestational age was increased (adjusted OR 1.9, 95% CI 1.3-2.7), compared with women without **epilepsy**. Newborn babies of women with **epilepsy** treated by drugs had a reduced adjusted birth weight (208 g, 95% CI 116-300), head circumference (0.4 cm, 95% CI 0.0-0.7), and body length (0.5 cm, 95% CI 0.1-1.0), compared with the newborn infants of women without **epilepsy**. Women with **epilepsy** who smoked were at increased risk of preterm delivery compared with healthy smokers. Children of women with drug treated **epilepsy** had lower birth weight, length, and head circumference than children of women without **epilepsy**.  
 IT 28721-07-5, Oxcarbazepine  
 RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)  
 (effect of antiepileptic drugs and lifestyle on gestation period and newborn birth weight)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

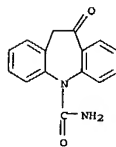
147 ANSWER 77 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS  
 FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE



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L47 ANSWER 78 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2000:510513 CAPLUS  
 DOCUMENT NUMBER: 133:217576  
 TITLE: Oxcarbazepine monotherapy for partial-onset seizures: A multicenter, double-blind, clinical trial  
 AUTHOR(S): Beydoun, A.; Sachdeo, R. C.; Rosenfeld, W. E.; Krause, G. L.; Sessler, N.; Mesenbrink, P.; Kramer, L.; D'Souza, J.  
 CORPORATE SOURCE: The University of Michigan Medical Center, Ann Arbor, MI, USA  
 SOURCE: Neurology (2000), 54(12), 2245-2251  
 CODEN: NEURAI; ISSN: 0028-3878  
 PUBLISHER: Lippincott Williams & Wilkins  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB To evaluate the safety and efficacy of oxcarbazepine (OXC) 2,400 mg/day vs. OXC 300 mg/day monotherapy in patients with medically refractory partial epilepsy, OXC is primarily metabolized by reductase enzymes and, consequently, has a low propensity to inhibit or induce oxidative enzymes and a minimal potential for drug-drug interactions.  
 The efficacy of OXC as monotherapy was shown in several comparative trials in patients with newly diagnosed epilepsy and in hospitalized patients undergoing evaluation for epilepsy surgery. A multicenter, double-blind, randomized, parallel-group trial design was chosen to assess the antiepileptic efficacy of OXC as monotherapy in a refractory epilepsy patient population. Outpatients aged 12 yr or older with inadequately controlled partial seizures, with or without secondarily generalized seizures, were enrolled. Patients finished the trial by completing the double-blind phase or by meeting one of four predefined exit criteria: a twofold increase in partial seizure frequency in any 28-day period relative to baseline; a twofold increase in the highest consecutive 2-day partial seizure frequency relative to baseline; occurrence of a single generalized seizure if none occurred during the 6 mo prior to randomization; or prolongation or worsening of generalized seizure duration or frequency requiring intervention. Adverse events (AEs), vital signs, and clin. laboratory tests were evaluated. The percentage of patients meeting one of the exit criteria was significantly lower ( $p < 0.0001$ ) for the OXC 2400 mg/day group (14/34, 41%) than the OXC 300 mg/day group (42/45, 93%). In addition, there was a significant difference in time to exit in favor of the OXC 2400 mg/day group ( $p = 0.0001$ ). In the intent-to-treat anal., 12% of patients in the OXC 2400 mg/day group were seizure-free compared with none in the 300 mg/day group. OXC was well-tolerated, with dizziness, fatigue, somnolence, and nausea being the most frequent AEs. Most of these AEs were transient and rated as mild to moderate in intensity. OXC is safe and effective in the treatment of patients with partial epilepsy previously receiving treatment with other antiepileptic drugs. The results of this trial are consistent with previous monotherapy trials with OXC.  
 IT 28721-07-5, Oxcarbazepine  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or

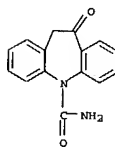
L47 ANSWER 78 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS  
 FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L47 ANSWER 79 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2000:510510 CAPLUS  
 DOCUMENT NUMBER: 133:217576  
 TITLE: Adjunctive therapy with oxcarbazepine in children with partial seizures  
 AUTHOR(S): Glauser, T. A.; Nigro, M.; Sachdeo, R.; Pasteris, L. A.; Weinstein, S.; Abou-Khalil, B.; Frank, L. M.; Grinspan, A.; Guarino, T.; Bettis, D.; Kerrigan, J.; Geoffroy, G.; Mandelbaum, D.; Jacobs, T.; Mesenbrink, P.; Kramer, L.; D'Souza, J.; Andrews, Richard V.; Barro, Marcelo Devilat; Behin, M. B.; de Tucuman, San Miguel; Bourgeois, Blaise F. D.; Carmant, Lionel; Clark, Peggy; Cooper, Alyse; D'Cruz, O'Neill; De Arbelaz, Roberto; Delgado, Mauricio; Edwards, Keith; Farrell, Kevin; Fakhoury, Toufic A.; Grattan-Smith, Padraic; Fernandez Freire, Maria del Carmen; Grippo, Jorge; Harvey, Simon; Hamerschmidt, Pablo; Jackson, Sandra; Karolychik, Mary Ann; Keene, D. L.; Kiviti, Sarah; Kunstmann, Maria Leonor Avendano; Lenwicki, Linda; Latorre, Thomas Mesa; Leppik, Ilo E.; Manzi, Ruben; Masih, Maria Elena; May, William N.; Ortega, Alisa; Payson, Maria Magdalena Pineyrua; Ritter, Frank J.; Ronen, Gabriel; Sfaelli, Zenon; Shapira, Yehuda; Shields, W. Donald; Silver, Kenneth; D. Barry; Steinberg, Avraham; Sum, John; Tiffin, Jon; Toor, Svinder; Vazquez, Blanca; Walker, Elizabeth; Wheelless, James W.; Whiting, Sharon; Wilner, Andrew  
 CORPORATE SOURCE: Oxcarbazepine Pediatric Study Group, Department of Neurology, The Children's Hospital, Cincinnati, OH, USA  
 SOURCE: Neurology (2000), 54(12), 2237-2244  
 CODEN: NEURAI; ISSN: 0028-3878  
 PUBLISHER: Lippincott Williams & Wilkins  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The safety and efficacy of oxcarbazepine (OXC) as adjunctive therapy was evaluated in children with inadequately controlled partial seizures on one or two concomitant antiepileptic drugs (AEDs). OXC has shown antiepileptic activity in several comparative monotherapy trials in newly diagnosed patients with epilepsy, and in a placebo-controlled monotherapy trial in hospitalized patients evaluated for epilepsy surgery. A total of 267 patients were evaluated in a multicenter, randomized, placebo-controlled trial consisting of three phases: 1) a 56-day baseline phase (patients maintained on their current AEDs); 2) a 112-day double-blind treatment phase (patients received either OXC 30-46 mg/kg/day orally or placebo); and 3) an open-label extension phase. Data are reported only from the double-blind treatment phase; the open-label extension phase is ongoing. Children (3 to 17 yr old) with inadequately controlled partial seizures (simple, complex, and partial seizures evolving to secondarily generalized seizures) were enrolled. Patients treated with OXC experienced a significantly greater median percent reduction from baseline in partial seizure frequency than patients treated with placebo ( $p = 0.0001$ ; 35% vs. 9%, resp.). Forty-one percent of patients treated with OXC experienced a 250% reduction from baseline in partial seizure frequency per 28 days compared with 22% of patients treated with placebo

L47 ANSWER 79 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 ( $p = 0.0005$ ). Ninety-one percent of the group treated with OXC and 82% of the group treated with placebo reported  $\geq 1$  adverse event; vomiting, somnolence, dizziness, and nausea occurred more frequently (twofold or greater) in the group treated with OXC. OXC adjunctive therapy administered in a dose range of 6 to 51 mg/kg/day (median 31.4 mg/kg/day) is safe, effective, and well tolerated in children with partial seizures.  
 IT 28721-07-5, Oxcarbazepine  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (adjunctive therapy with oxcarbazepine in children with partial seizures)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS  
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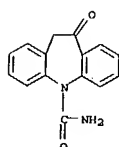
10/074,181

L47 ANSWER 80 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2000:441913 CAPLUS  
 DOCUMENT NUMBER: 133:68975  
 TITLE: Methods and ion-dependent cotransporter antagonist compounds for treating central and peripheral nervous system disorders and methods for screening the compounds  
 INVENTOR(S): Hochman, Daryl  
 PATENT ASSIGNEE(S): Cytoscan Sciences L.L.C., USA  
 SOURCE: PCT Int. Appl., 90 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037616	A1	20000629	WO 1999-US30806	19991222
W:	AS, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GR, GU, HK, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MM, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2356460	CA	1999-2356460	CA 1999-2356460	19991222
EP 1141251	A1	20011010	EP 1999-967584	19991222
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 200253353	T2	20021008	JP 2000-589672	19991222
PRIORITY APPLN. INFO.:			US 1998-113620P	P 19981223
			US 1999-326244	A 19990604
			WO 1999-US30806	W 19991222

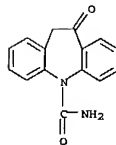
AB Methods and compns. for treating selected conditions of the central and peripheral nervous systems employing non-synaptic mechanisms are described. Examples of the selected conditions are seizure, epilepsy, status epilepticus, migraine, spreading depression, intracranial hypertension; pathophysiol. effects of head trauma, stroke, ischemia and hypoxia; pathophysiol. effects of neurotoxic agents such as ethanol; neuropsychiatric disorders, and central nervous system edema. Treatment comprises administering agents that modulate ionic concns. and/or ionic gradients in the brain, particularly ion-dependent or cation-chloride cotransporter antagonists. Electrolyte cotransport antagonists (e.g., furosemide) and combinations of such compns. with other agents are disclosed. Methods and systems for screening drug candidate compds. for desired activities using in vitro and in vivo systems are also described.  
 IT 28721-07-5, Oxcarbazepine  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (in combination with ion-dependent cotransporter antagonist; Methods and compds. for treating central and peripheral nervous system

L47 ANSWER 81 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2000:423372 CAPLUS  
 DOCUMENT NUMBER: 133:12196  
 TITLE: Overview of childhood epilepsy and epileptic syndromes and advances in therapy  
 AUTHOR(S): Morton, L. D.; Pellock, J. M.  
 CORPORATE SOURCE: Division of Child Neurology, Virginia Commonwealth University/Medical College of Virginia, Richmond, VA, 23298-0211, USA  
 SOURCE: Current Pharmaceutical Design (2000), 6(8), 879-900  
 CODEN: CPDEPP; ISSN: 1381-6128  
 PUBLISHER: Bentham Science Publishers  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English  
 AB A review with 230 refs. Seizures have a variety of etiologies and manifestations. Descriptions of various epileptic seizures as well as electroencephalog. findings have led to a unifying international classification of epileptic seizures and epileptic syndromes. The development of this classification system and the emergence of several new antiepileptic drugs have led to progress in the refractory pediatric patient particularly disorders which are traditionally difficult to treat such as infantile spasms and the Lennox-Gastaut Syndrome. However, there is limited data regarding optimal use in children. The childhood epilepsy syndromes are reviewed as well as the newer antiepileptic drug treatments - felbamate, gabapentin, lamotrigine, levetiracetam, oxcarbazepine, tiagabine, topiramate, and zonisamide. Efficacy data and toxicity are discussed from both the adult, and when available, pediatric data.  
 IT 28721-07-5, Oxcarbazepine  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study);  
 PROC (Process); USES (Uses)  
 (overview of childhood epilepsy and epileptic syndromes and advances in therapy)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



REFERENCE COUNT: 230  
 THERE ARE 230 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L47 ANSWER 80 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 disorders and methods for screening the compds.)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



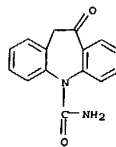
REFERENCE COUNT: 7  
 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT



10/074,181

10/074,181  
ANSWER 82 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2000:423371 CAPLUS  
DOCUMENT NUMBER: 133:12195  
TITLE: The new drugs and the strategies to manage epilepsy  
AUTHOR(S): Lima, Jose M. Lopes  
CORPORATE SOURCE: Servico de Neurologia, Departamento de Doencas Neurologicas, Hospital Geral de Santo Antonio, Oporto, 4050, Port.  
SOURCE: Current Pharmaceutical Design (2000), 6(8), 873-878  
CODEN: CPDEFP; ISSN: 1381-6128  
PUBLISHER: Bentham Science Publishers  
DOCUMENT TYPE: Journal, General Review  
LANGUAGE: English  
AB A review with 44 refs. After a short historical review of the development of the pharmaceutical treatment of the epilepsy the author reviews some of the possible strategies to manage patients with the different types of epilepsy and epileptic syndromes using the classical drugs. A strategy used by most of the physicians uses Sodium Valproate as the first line drug for almost all patients. This may be replaced by other drugs according to their efficacy against the different types of seizures to be treated whenever VPA has not enough efficacy or is not well tolerated. On the other hand epileptologists use the different drugs according to the different epilepsy and epileptic syndromes depending on the relative efficacy of each drug available and the possible side effects. He then describes succinctly the better-known new drugs and makes some comments on the coming drugs now in development. Finally he proceeds to include them in the strategies above described. Lamotrigine and possibly Topiramate are good candidates to replace VPA in the one drug strategy. Lamotrigine, Oxcarbamazepine and possibly Gabapentin may be used in the future as 1st line drugs in selected patients. Vigabatrin is already used as one of the better alternatives for West syndrome and Oxcarbamazepine has replaced Carbamazepine in countries where it is available to the public. Some drawbacks have been apparent with these drugs like the hepatic and hematol. toxic effect of Felbamate or the apparently irreversible fields constriction provoked by Vigabatrin, which did limit their use.  
IT 28721-07-5, Oxcarbamazepine  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study);  
USES (Uses)  
(new drugs and strategies to manage epilepsy in humans)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)

L47 ANSWER 82 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



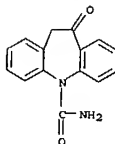
REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS  
FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

10/074,181  
ANSWER 83 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2000:367045 CAPLUS  
DOCUMENT NUMBER: 133:4289  
TITLE: Process for oxidation of substrates containing methyl-, methylene, or methine groups  
INVENTOR(S): Alsters, Paul; Boutemy, Sabine  
PATENT ASSIGNEE(S): DSM Fine Chemicals Austria G.m.b.H., Austria  
SOURCE: Eur. Pat. Appl., 7 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:  

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1004566	A2	20000531	EP 1999-121203	19991023
EP 1004566	A3	20000830		
EP 1004566	B1	20020918		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 9801975	A	20000215	AT 1998-1975	19981125
AT 9801974	A	20000315	AT 1998-1974	19981125
AT 406957	B	20001127		
AT 9901127	A	20010415	AT 1999-1127	19990629
AT 408441	B	20011126		
AT 224347	E	20021015	AT 1999-121203	19991023
JP 2000226339	A2	20000815	JP 1999-332836	19991124
US 6355842	B1	20020312	US 1999-448281	19991124
PRIORITY APPLN. INFO.: AT 1998-1974 A 19981125 AT 1998-1975 A 19981125 AT 1999-1127 A 19990629				

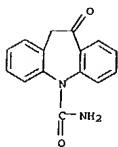
OTHER SOURCE(S): CASREACT 133:4289; MARPAT 133:4289  
AB The title process comprises O oxidation in the presence of and imide, a metal cocatalyst, and an aldehyde co-substrate. Thus, 10,11-dihydro-5H-Dibenz[b,f]azepine-5-carboxamide was maintained 17h under 1 bar O in MeCN containing N-hydroxyphthalimide, Ni(OAc)2, Cr(NO3)3, and PhCHO to give oxcarbamazepine.  
IT 28721-07-5  
RL: IMP (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
(process for oxidation of substrates containing Me, methylene, or methine groups)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)

L47 ANSWER 83 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



10/074,181

L47 ANSWER 84 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2000:54243 CAPLUS  
DOCUMENT NUMBER: 132:329383  
TITLE: Enantioselective pharmacokinetics of 10-hydroxycarbazepine after oral administration of oxcarbazepine to healthy Chinese subjects  
AUTHOR(S): Volosov, Andrew; Xiaodong, Sun; Perucca, Emilio; Yagen, Boris; Sintov, Amnon; Bialer, Meir  
CORPORATE SOURCE: School of Pharmacy and David R. Bloom Center for Pharmacy, Faculty of Medicine, The Hebrew University of Jerusalem, Jerusalem, Israel  
SOURCE: Clinical Pharmacology & Therapeutics (St. Louis) (1999), 66(6), 547-553  
PUBLISHER: CODEN: CLPTAT; ISSN: 0009-9236  
DOCUMENT TYPE: Mosby, Inc.  
LANGUAGE: English  
AB Background and objectives: Oxcarbazepine is a new antiepileptic drug which in humans acts as a prodrug to its central nervous system-active metabolite 10-hydroxycarbazepine. Because 10-hydroxycarbazepine is a chiral mol., the objective of the study was to perform a stereoselective pharmacokinetic anal. of 10-hydroxycarbazepine in humans. Methods: The pharmacokinetics and disposition of the enantiomers of 10-hydroxycarbazepine were investigated in 12 healthy Chinese subjects. Each subject received a single oral dose of 600 mg oxcarbazepine and the concns. of R- and S-10-hydroxycarbazepine in serum were determined by a stereoselective HPLC assay. The enantiomers of free and conjugated 10-hydroxycarbazepine and of the oxidized diol metabolite were also quantified in urine.  
IT 28721-07-5, Oxcarbazepine  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(enantioselective pharmacokinetics of hydroxycarbazepine after oral administration of oxcarbazepine to healthy Chinese human subjects)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
CA INDEX NAME)

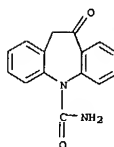


REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS

L47 ANSWER 85 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2000:32365 CAPLUS  
DOCUMENT NUMBER: 132:231337  
TITLE: Therapeutic monitoring of the new antiepileptic drugs  
AUTHOR(S): Tomson, T.; Johannessen, S. I.  
CORPORATE SOURCE: Department of Clinical Neuroscience, Karolinska Institute at Karolinska Hospital, Stockholm, Swed.  
SOURCE: European Journal of Clinical Pharmacology (2000), 55(10), 697-705  
CODEN: EJCPAS; ISSN: 0031-6970  
PUBLISHER: Springer-Verlag  
DOCUMENT TYPE: Journal; General Review  
LANGUAGE: English  
AB A review with 94 refs. is given on studies of the relationship between blood plasma concns. and effects of new antiepileptic drugs. The potential value of therapeutic drug monitoring (TDM) was discussed of the new antiepileptic drugs gabapentin, lamotrigine, oxcarbazepine, tiagabine, topiramate, vigabatrin, and zonisamide. Furthermore, the potential value of TDM of these drugs is discussed in relation to their mode of action and their pharmacokinetic properties. The various methods that are available for analyzing plasma concns. of the new antiepileptic drugs are also briefly reviewed. The available information on the relationship between plasma concns. and effects of the new drugs is scarce. For most drugs, wide ranges in concns. associated with seizure control are reported, and a considerable overlap with drug levels among non-responders and also with concns. associated with toxicity is often noted. However, very few studies were designed primarily to explore the relationship between drug plasma concns. and effects. Consequently, there are no generally accepted target ranges for any of the new antiepileptic drugs. Although the available documentation clearly is insufficient, the pharmacol. properties of some of the drugs, in particular lamotrigine, zonisamide, and, possibly, oxcarbazepine, topiramate, and tiagabine, suggest that they may be suitable candidates for TDM. TDM of some of the new antiepileptic drugs may be of value in selected cases, although routine monitoring in general cannot be recommended at this stage. Further systematic studies designed specifically to investigate concentration-effect relationships of the new antiepileptic drugs are urgently needed.  
IT 28721-07-5, Oxcarbazepine  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study);  
USES (Uses)  
(therapeutic monitoring of the new antiepileptic drugs)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
CA INDEX NAME)

L47 ANSWER 84 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L47 ANSWER 85 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

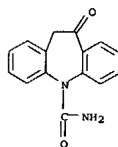


REFERENCE COUNT: 94 THERE ARE 94 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

10/074,181

L47 ANSWER 86 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1999:764869 CAPLUS  
 DOCUMENT NUMBER: 131:332045  
 TITLE: Antiepileptic drug regimens and major congenital abnormalities in the offspring  
 AUTHOR(S): Samren, E. Bettina; Van Duijn, Cornelia M.; Christiaens, G. C. M. Lieve; Hofman, Albert; Lindhout, Dick  
 CORPORATE SOURCE: Department of Clinical Genetics, University Hospital Rotterdam/Dijkzigt, Rotterdam, Neth.  
 SOURCE: Annals of Neurology (1999), 46(5), 739-746  
 CODEN: ANNE33; ISSN: 0364-5134  
 PUBLISHER: Lippincott Williams & Wilkins  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB To assess the risk of major congenital abnormalities associated with specific antiepileptic drug regimens, a large retrospective cohort study was performed. The study comprised 1,411 children born between 1972 and 1992 in four provinces in the Netherlands who were born to mothers with epilepsy and using antiepileptic drugs during the first trimester of pregnancy, and 2,000 nonepileptic matched controls. We found significantly increased risks of major congenital abnormalities for carbamazepine and valproate monotherapy, with evidence for a significant dose-response relationship for valproate. The risk of major congenital abnormalities was nonsignificantly increased for phenobarbital monotherapy when caffeine comedication was excluded, but a significant increase in risk was found when caffeine was included. Phenytoin monotherapy was not associated with an increased risk of major congenital abnormalities. Regarding polytherapy regimens, increased risks were found for several antiepileptic drug combinations. Clonazepam, in combination with other antiepileptic drugs, showed a significantly increased relative risk. Furthermore, there were significantly increased relative risks for the combination of carbamazepine and valproate and the combination of phenobarbital and caffeine with other antiepileptic drugs. This study shows that most antiepileptic drug regimens were associated with an increased risk of major congenital abnormalities in the offspring, in particular valproate (dose-response relationship) and carbamazepine monotherapy, with phenobarbital.  
 IT 28721-07-5, Oxcarbazepine  
 RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (antiepileptic drug regimens and major congenital abnormalities in human offspring)  
 RN 28721-07-5 CAPLUS  
 CN SH-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

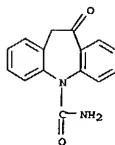
L47 ANSWER 86 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS  
 FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L47 ANSWER 87 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1999:718441 CAPLUS  
 DOCUMENT NUMBER: 131:306650  
 TITLE: Oxcarbazepine  
 AUTHOR(S): Tecoma, Evelyn S.  
 CORPORATE SOURCE: UCSD Epilepsy Center, University of California, San Diego, CA, 92037, USA  
 SOURCE: Epilepsia (1999), 40(Suppl. 5), S37-S46  
 CODEN: EPILEP; ISSN: 0013-9580  
 PUBLISHER: Lippincott Williams & Wilkins  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English  
 AB A review with 51 refs. The success of carbamazepine (CBZ) as a broad-spectrum antiepileptic drug (AED) has led to its use as first-line therapy in children and adults for partial and generalized tonic-clonic seizures. The limitations of CBZ include toxicity in sensitive individuals, autoinduction, which requires dose adjustment when therapy is initiated, and chronic hepatic induction, producing drug interactions when CBZ is used with AEDs and other drugs that undergo hepatic metabolism. One of two main products of CBZ microsomal metabolism, CBZ-10,11-epoxide (formed by oxidation of the double bond between C-10 and C-11), appears to provide antiepileptic efficacy but contributes significantly to clin. toxicity. The most common adverse effects of CBZ are central nervous system (CNS) symptoms, followed by gastrointestinal, hepatic, endocrine disturbances, and teratogenic effects. Oxcarbazepine (OXC) was developed to provide a compound chemical similar enough to CBZ to mimic its efficacy and overall safety while improving its side-effect profile. Biotransformation of OXC does not involve formation of an epoxide metabolite. Compared with the parent compound, hepatic microsomal enzyme induction and autoinduction are greatly reduced. The clin. efficacy of OXC compares favorably with CBZ in clin. trials. Clin. development of OXC began in Europe. Results of Phase I trials started to appear in the early 1980s. Controlled clin. trials, reported in the mid- to late 1980s, led to approval of OXC in many States multicenter clin. trials have recently been completed, and at this writing the drug is awaiting approval by the FDA. This article reviews the pharmacol., animal data, outcomes of published controlled clin. trials, postmarketing data, adverse experiences, and current recommendations for clin. use of OXC.  
 IT 28721-07-5, Oxcarbazepine  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (safety, efficacy, pharmacokinetics and mechanism of action of oxcarbazepine in humans and studies in animals)  
 RN 28721-07-5 CAPLUS  
 CN SH-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

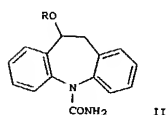
L47 ANSWER 87 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS  
 FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

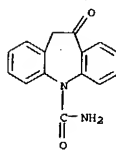
10/074,181

ANSWER 88 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1999:437644 CAPLUS  
 DOCUMENT NUMBER: 131:208329  
 TITLE: Oxcarbazepine: current status and clinical applications  
 AUTHOR(S): Schachtler, Steven C.  
 CORPORATE SOURCE: Comprehensive Epilepsy Program, Department of Neurology, Beth Israel Deaconess Medical Center and Harvard Medical School, Boston, MA, USA  
 SOURCE: Expert Opinion on Investigational Drugs (1999), 8(7), 1103-1112  
 CODEN: EOIDER; ISSN: 1354-3784  
 PUBLISHER: Ashley Publications  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English  
 AB A review with 58 refs. Oxcarbazepine (OXC) was introduced in 1990 and is now registered in 54 countries worldwide as monotherapy, as add-on treatment for partial **seizures**, with or without secondarily generalized **seizures**, and primary generalized tonic-clonic **seizures**. OXC and its active metabolite, monohydroxy derivative (MHD), block voltage-dependent sodium channels and may effect potassium and calcium channels. In animal models of **epilepsy**, OXC and MHD have efficacy similar to that of CBZ. There is no evidence for clin. important teratogenicity, mutagenicity or carcinogenicity. OXC has no effect on serum concns. of hepatically metabolized anti-epileptic drugs (AEDs) and no clin. important interactions with common non-AEDs, other than hormonal contraceptives. MHD has low protein binding and linear pharmacokinetics. Adverse effects (AEs) are usually related to the **central nervous system**. Approx. three-quarters of patients who experience adverse effects with CBZ improve when switched to OXC, without loss of **seizure** control. The incidence of rash appears to be less than that expected with CBZ. While hyponatremia may occur more often with OXC than with CBZ, it is rarely symptomatic. OXC is an effective and safe drug for the treatment of partial-onset and primary generalized tonic-clonic **seizures**. Placebo- and low-dose controlled double-blind monotherapy studies prove that OXC has anticonvulsant activity and that therapeutic dosages may be obtained with a 24 h titration in hospitalized patients, if necessary. Comparative double-blind trials show that OXC has similar efficacy to VPA, CB2 and PHT, but has advantages compared to those agents in terms of pharmacokinetics, side-effects and tolerability.  
 IT 28721-07-5, Oxcarbazepine  
 RL: ADV (Adverse effect, including toxicity); DPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (current status and clin. applications of anticonvulsant Oxcarbazepine)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



AB A series of esters of the major metabolite of oxcarbazepine (I), 10,11-dihydro-10-hydroxy-5H-dibenz[b,f]azepine-5-carboxamide, were synthesized and evaluated for their anticonvulsant and brain sodium channel-blocking properties. The compds. were assayed i.p. and per os in rats against **seizures** induced by maximal electroshock (MES). Neurol. deficit was evaluated by the rotarod test. The enantiomeric acetates (R)- and (S)-II (R = Ac) were the most active of the series against MES-induced **seizures** with oral ED50 values at tmax of 10.9 ± 2.3 and 4.7 ± 0.9 mg/kg, resp. After i.p. administration, carbamazepine (III) behaved more potently than I and all other new dibenz[b,f]azepine-5-carboxamide deriva. in the MES test; compds. I and (S)-II (R = Ac) were equally potent. In the rotarod test, low doses of III produced considerable motor impairment, which did not occur with I, enantiomeric alcs. (S)-, (R)-, and racemic alc. II (R = H), or racemic acetate II (R = Ac) or (R)-II (R = Ac). The potencies of the racemic and enantiomerically pure alcs., (S)-, and (R)-II (R = H) derived from I in the MES and rotarod test were found to be similar between them, and consequently they exhibit similar protective index values. All three forms of the alc. and their corresponding acetates were found to differ in the MES or rotarod tests; the ED50 value for the (S)-alc. against MES-induced **seizures** was nearly 3-fold that for (S)-acetate. The protective index also differed markedly between all stereoisomers of the alc. and their corresponding acetates, most pronouncedly for compound (S)-II (R = Ac) which attained the highest value (12.5) among all compds. tested. Blockade of voltage-sensitive sodium channels was studied by

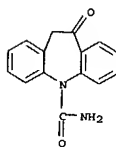
L47 ANSWER 88 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

ANSWER 89 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1999:377062 CAPLUS  
 DOCUMENT NUMBER: 131:144508  
 TITLE: Anticonvulsant and sodium channel-blocking properties of novel 10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide derivatives  
 AUTHOR(S): Benes, Jan; Parada, Antonio; Figueiredo, Anabela A.; Alves, Paula C.; Freitas, Ana P.; Learmonth, David  
 A.: Cunha, Rodrigo A.; Garrett, Jose; Soares-da-Silva, Patricia  
 CORPORATE SOURCE: Department of Research Development, BIAL, S. Mamede do Coronado, 4785, Port.  
 SOURCE: Journal of Medicinal Chemistry (1999), 42(14), 2582-2587  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

L47 ANSWER 89 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 investigating [3H]batrachotoxinin A 20- $\alpha$ -benzoate ([3H]BTX) binding. Acetates (R)- and (S)-II (R = Ac) were more potent than the stds. III and I at inhibiting the binding of [3H]BTX to sodium channels and the influx of  $^{22}\text{Na}^+$  into rat brain synaptosomes. It is concluded that acetates (R)- and (S)-II (R = Ac) are not simple metabolic precursors of the alcs. in rodents but that they possess anticonvulsant and sodium channel-blocking properties in their own right.  
 IT 28721-07-5  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)  
 (preparation, anticonvulsant, and sodium channel blocking activity of dibenzazepinecarboxamides)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

10/074,181

ANSWER 90 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1999:311364 CAPLUS  
 DOCUMENT NUMBER: 130:335011  
 TITLE: A method for separating non-proteinaceous substances from proteinaceous substances for subsequent processing  
 INVENTOR(S): Akerman, Satu; Paronen, Petteri; Akerman, Kari; Jarvinen, Kristiina; Kontturi, Kyosti; Naaman, Jan; Svarfvar, Bror; Urtti, Arto; Viinikka, Pasi  
 PATENT ASSIGNEE(S): Finland  
 SOURCE: PCT Int. Appl., 47 pp.  
 DOCUMENT TYPE: CODEN: PIXXD2  
 LANGUAGE: Patent  
 FAMILY ACC. NUM. COUNT: English  
 PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9923487	A1	19990514	WO 1998-FI852	19981103
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 9910342	A1	19990524	AU 1999-10342	19981103
PRIORITY APPL. INFO.:			FI 1997-4124	19971104
			WO 1998-FI852	19981103

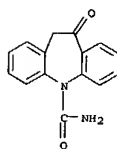
AB The present invention is directed to a simple but efficient method for separating non-proteinaceous substances, such as drugs and nucleic acids from proteinaceous substances for subsequent monitoring and evaluation. The non-proteinaceous substances are captured by an environmentally sensitive solid carrier under physiol. conditions and released under non-physiol. conditions with a solvent, which is compatible with or used in subsequent steps. The solid carriers are provided in the form of membranes, sheets, sticks, plates, test tubes, microplates or as beads or granules attached to a further solid support. The surface of said carriers are covered with capturing residues, which are sensitive to changes in the environmental conditions, e.g. pH or ionic strength. Said residues are responsible for binding and release of drugs or nucleic acids and allows their easy and rapid separation from proteins. Test kits including said solid carriers as well as their applications are also disclosed. Vinylpyridine-grafted poly(vinylidene fluoride) membranes (preparation given) were used to sep. DNA from digest solution. Bound DNA was released with methanol for spectrophotometric anal.

IT 28721-07-5, Oxcarbazepine

ANSWER 91 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1999:252905 CAPLUS  
 DOCUMENT NUMBER: 130:306526  
 TITLE: Influence of oxcarbazepine and methsuximide on lamotrigine concentrations in epileptic patients with and without valproic acid comedication: results of a retrospective study  
 AUTHOR(S): May, Theodor W.; Rambeck, Bernhard; Jurgens, Uwe  
 CORPORATE SOURCE: Department of Biochemistry, Gesellschaft fur Epileptieforschung, Bielefeld, D-33546, Germany  
 SOURCE: Therapeutic Drug Monitoring (1999), 21(2), 175-181  
 CODEN: TDMODV; ISSN: 0163-4356  
 PUBLISHER: Lippincott Williams & Wilkins  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB The aim of this retrospective study was to investigate the influence of oxcarbazepine (OCBZ) and methsuximide (MSM) on lamotrigine (LTG) serum concns. The effect of OCBZ compared to carbamazepine (CBZ) and the effect of MSM on LTG serum concns. were examined in patients with and without valproic acid (VPA) comedication. Altogether, 376 samples from 222 patients were analyzed in routine drug monitoring. Two or more serum samples from the same patient were considered only if the comedication had been changed. For statistical evaluation, regression anal. methods and anal. of variance were performed. For the anal. of variance, the LTG serum concentration in relation to LTG dose/body weight-level-to-dose ratio (IDR), in (µg/mL)/(mg/kg) was calculated and compared for different drug combinations. The nonlinear regression anal. including the LTG dose per body weight, age, gender, and the different kinds of comedication revealed that these variables have a significant influence on LTG serum concentration ( $r^2 = 0.724$ ). The relationship between LTG dose/body weight and serum concentration deviates only slightly from linearity, the LTG concentration was about 18% lower in women than in men, and age had a significant influence. The data indicate that children have significantly lower LTG concns. than adults on a comparable LTG dose per body weight and that children may be more prone to enzyme induction by comedicated drugs. Methsuximide has a strong inducing effect on the LTG metabolism and decreases the LTG concns. markedly (about 70% compared to LTG monotherapy). Carbamazepine also reduces the LTG concns. considerably (by 54%). The inducing effect of OCBZ (29%) was less pronounced but also significant. The inducing effect of MSM, CBZ, and OCBZ was also seen in combination with VPA: VPA alone increases the LTG concentration approx. 21%, whereas in addition to MSM (8%); CBZ (21%), or OCBZ (11%), the increase of LTG was significantly smaller. The anal. of variance confirmed the results of the regression anal. The effect of MSM on the LTG concentration should be considered if MSM is added or withdrawn in patients treated with LTG. Oxcarbazepine had a less pronounced inducing effect on LTG metabolism compared to CBZ. If CBZ is replaced by OCBZ as

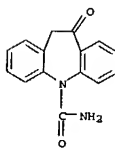
ANSWER 90 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 RL: PEP (Physical, engineering or chemical process); PROC (Process) (binding of, to grafted polymer membrane; sepn. of non-proteinaceous substances from proteinaceous substances for subsequent processing)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 91 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 IT 28721-07-5, Oxcarbazepine  
 RL: RAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (effect of oxcarbazepine and methsuximide on lamotrigine concns. in epileptic patients with and without valproic acid)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



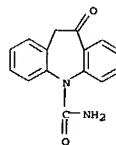
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

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10/074,181

L47 ANSWER 92 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN  
ACCESSION NUMBER: 1999:195329 CAPLUS  
DOCUMENT NUMBER: 130:262024  
TITLE: Oxcarbazepine: Double-blind, randomized, placebo-control, monotherapy trial for partial seizures  
AUTHOR(S): Schachter, S. C.; Vazquez, B.; Fisher, R. S.; Laxer, K. D.; Montouris, G. D.; Combs-Cantrell, D. T.; Faught, R.; Willmore, L. J.; Morris, G. L.; Ojemann, L.; Bennett, D.; Mesenbrink, P.; D'Souza, J.; Kramer, L.  
CORPORATE SOURCE: Beth Israel Deaconess Medical Center Comprehensive Epilepsy Program and, Beth Israel Deaconess Medical Center and Harvard Medical School, Boston, MA, USA  
SOURCE: Neurology (1999), 52(4), 732-737  
CODEN: NEURAI; ISSN: 0028-3878  
PUBLISHER: Lippincott Williams & Wilkins  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB Objective: To evaluate the efficacy and safety of oxcarbazepine in a placebo-control trial. Methods: A multicenter, double-blind, randomized, placebo-control, two-arm parallel group, monotherapy design was used to compare oxcarbazepine administered 1,200 mg twice daily to placebo in hospitalized patients with refractory partial seizures, including simple and complex partial seizures and partial seizures evolving to secondarily generalized seizures. Patients exited the trial after completing the 10-day double-blind treatment phase or after experiencing four partial seizures, two new-onset secondarily generalized seizures, serial seizures, or status epilepticus, whichever came first. Results: Anal. of the primary efficacy variable-time to meeting one of the exit criteria showed a statistically significant effect in favor of oxcarbazepine ( $p = 0.0001$ ). The secondary efficacy variables-percentage of patients who met one of the exit criteria ( $p = 0.0001$ ) and total partial seizure frequency per 9 days during the double-blind treatment ( $p = 0.0001$ ) were also statistically significant in favor of oxcarbazepine. Conclusion: These results demonstrate that oxcarbazepine given as monotherapy is effective and safe for the treatment of partial seizures in this paradigm.  
IT 28721-07-5, Oxcarbazepine  
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(monotherapy trial with oxcarbazepine for partial seizures in humans)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)

L47 ANSWER 92 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



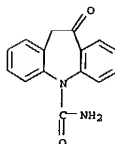
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L47 ANSWER 93 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN  
ACCESSION NUMBER: 1998:568723 CAPLUS  
DOCUMENT NUMBER: 129:180164  
TITLE: Oxcarbazepine film-coated tablets  
INVENTOR(S): Schlutermann, Burkhard  
PATENT ASSIGNEE(S): Novartis A. G., Swiss; Novartis-Erfindungen Verwaltungsgesellschaft m.B.H.  
SOURCE: PCT Int. Appl., 22 pp.  
CODEN: PIXX02  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9835681	A1	19980820	WO 1998-EP794	19980212
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2277791	AA	19980820	CA 1998-2277791	19980212
AU 9866222	A1	19980908	AU 1998-66222	19980212
AU 738030	B2	20010906		
EP 966287	A1	19991229	EP 1998-908091	19980212
EP 966287	B1	20030507		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO			
BR 9807368	A	20000314	BR 1998-7368	19980212
JP 2000511935	T2	20000912	JP 1998-535347	19980212
NZ 326946	A	20010223	NZ 1998-336946	19980212
NZ 509391	A	20020628	NZ 1998-509391	19980212
RU 2201218	C2	20030327	RU 1999-119599	19980212
AT 239481	E	20030515	AT 1998-908091	19980212
PT 966287	T	20030930	PT 1998-908091	19980212
ES 2199422	T3	20040216	ES 1998-908091	19980212
ZA 9801205	A	19980814	ZA 1998-1205	19980213
TW 529957	B	20030501	TW 1998-87102046	19980223
NO 9903919	A	19990813	NO 1999-3919	19990813
HK 1024423	A1	20031205	HK 2000-103912	20000628
US 2002022056	A1	20020221	US 2001-947574	20010906
US 2003190361	A1	20031009	US 2003-429634	20030505
PRIORITY APPLN. INFO.:			CH 1997-331	A 19970214
			NZ 1998-336946	A1 19980212
			WO 1998-EP794	W 19980212
			US 1999-367361	A1 19990811
			US 2001-947574	A1 20010906

AB The invention relates to formulations, e.g. film-coated tablets containing oxcarbazepine and to processes for the production of the formulations.  
The

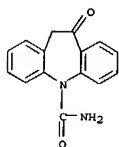
L47 ANSWER 93 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)  
film-coated tablets have a tablet core comprising a therapeutically ED of oxcarbazepine being in a finely ground form having a mean particle size of from 4 to 12  $\mu$ m (median value), and a hydrophilic permeable outer coating. The formulations are easily processed into dosage forms and may enhance the bioavailability of oxcarbazepine and increase compliance. A tablet core contg. oxcarbazepine 150, Avicel PH-102 32.8, cellulose HPM-603 4.2, FVP 10, Aerosil-200 0.8, and Mg stearate 2.2 mg, was coated with a compn. contg. PEG-8000 0.832, cellulose HPM-603 4.595, talc 3.327, titania 0.935, and yellow iron oxide 0.312 mg.  
IT 28721-07-5, Oxcarbazepine  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(oxcarbazepine film-coated tablets)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

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L47 ANSWER 94 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1998:362912 CAPLUS  
DOCUMENT NUMBER: 129:170362  
TITLE: Hyponatremia induced by oxcarbazepine in children  
AUTHOR(S): Borusiak, Peter; Korn-Merker, Elisabeth; Holert, Nils;  
CORPORATE SOURCE: Boenigk, Hans-Erich  
Klinikum Kidron, Epilepsiezentrum Bethel,  
Bielefeld, D-33617, Germany  
SOURCE: Epilepsy Research (1998), 30(3), 241-246  
CODEN: EPIREB; ISSN: 0920-1211  
PUBLISHER: Elsevier Science B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB We report the case of a 12-yr-old girl with severe clin. relevant hyponatremia (118 mmol/L) and hypochloremia (81 mmol/L) during treatment with oxcarbazepine (OCBZ). The adverse effects were rapidly reversible after discontinuation of OCBZ and did not occur when exposed to carbamazepine. We reviewed the charts of 48 patients who received OCBZ  
AS in-patients in our epilepsy center and found hyponatremia in nine and hypochloremia in four. The mean sodium level of all patients was 139 mmol/l (range 118-150 mmol/l). We did not see any correlation between sodium or chloride levels and dose of OCBZ or blood serum level of the active metabolite 10-OH-carbazepine. We emphasize that children are at risk of developing electrolyte disturbances during treatment with OCBZ  
and thus the level of at least sodium should be monitored in those patients.  
IT 28721-07-5, Oxcarbazepine  
RI: ADV (Adverse effect, including toxicity); RAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(hyponatremia and hypochloremia induced by oxcarbazepine in children)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA  
INDEX NAME)

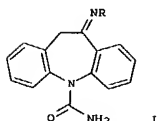


REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS

L47 ANSWER 95 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1997:805728 CAPLUS  
DOCUMENT NUMBER: 128:48151  
TITLE: Preparation of 10,11-dihydro-10-oximino-dibenz[b,f]azepine-5-carboxamides as nervous system agents  
INVENTOR(S): Benes, Jan; Soares Da Silva, Patricio Manuel Vieira  
PATENT ASSIGNEE(S): Araujo; Learmonth, David Alexander  
SOURCE: Portela & Ca. S.A., Port.  
PCT Int. Appl. 28 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9745416	A1	19971204	WO 1997-1B691	19970527
W: AU, CN, HU,	KR, PL, RU, TR			
US 5866566	A	19990202	US 1997-862196	19970523
EP 810216	A1	19971203	EP 1997-108465	19970526
EP 810216	B1	20010321		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, IE, SI, FI				
AT 199901	E	20010415	AT 1997-108465	19970526
ES 2156119	T3	20010616	ES 1997-108465	19970526
CA 2206172	AA	19971127	CA 1997-2206172	19970527
CA 2206172	C	20020716		
AU 9729740	A1	19980105	AU 1997-29740	19970527
AU 713807	B2	19991209		
BR 9703403	A	19980915	BR 1997-3403	19970527
CN 1226234	A	19990818	CN 1997-196803	19970527
CN 1101382	B	20030212		
TR 9802462	T2	20000721	TR 1998-9802462	19970527
RU 2187503	C2	20020820	RU 1998-123571	19970527
KR 2000016229	A	20000325	KR 1998-709799	19981127
GR 3035910	T3	20010831	GR 2001-400764	20010522
PRIORITY APPLN. INFO.:			PT 1996-101876	A 19960527
			WO 1997-1B691	W 19970527

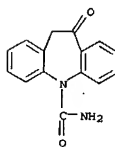
OTHER SOURCE(S): MARPAT 128:48151  
GI



AB Title compds. [I; R = OH, alkyl(oxy), alkanoyloxy, (di)(alkyl)amino,

L47 ANSWER 94 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
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L47 ANSWER 95 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
were prepd. Thus, 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide was treated with NH2OH and the product O-methylated to give I (R = OMe). Data for biol. activity of I were given.  
IT 28721-07-5, 10,11-Dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide  
RI: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of  
10,11-dihydro-10-oximino-dibenz[b,f]azepine-5-carboxamides  
as nervous system agents)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA  
INDEX NAME)

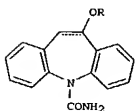


10/074,181

L47 ANSWER 96 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1997:696744 CAPLUS  
 DOCUMENT NUMBER: 127:358797  
 TITLE: Preparation of alkoxycarbamazepines and analogs as drugs  
 INVENTOR(S): Milanese, Alberto  
 PATENT ASSIGNEE(S): Trifarma S.R.L., Italy; Milanese, Alberto  
 SOURCE: PCT Int. Appl., 16 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9738978	A1	19971023	WO 1997-EP1742	19970408
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VW, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9726942	A1	19971107	AU 1997-26942	19970408
PRIORITY APPLN. INFO.:			IT 1996-MI709	19960412
			WO 1997-EP1742	19970408

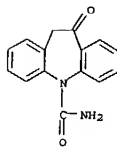
OTHER SOURCE(S): MARPAT 127:358797  
 GI



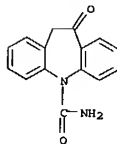
AB Title compds. [I; R = (cyclo)alkyl or aryl(alkyl), dashed line = optional addnl. bond] were prepared as analgesics, antidepressants, and anticonvulsants (no data). Thus, N-acetylminostilbene was brominated and the product treated with NaOEt to give 10-ethoxyiminostilbene which was treated with KOCH/Cl3CCO2H to give 10-ethoxycarbamazepine.  
 IT 28721-07-5, Oxcarbazepine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of alkoxycarbamazepines and analogs as drugs)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA

L47 ANSWER 97 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1997:500282 CAPLUS  
 DOCUMENT NUMBER: 127:156598  
 TITLE: A double-blind controlled clinical trial of oxcarbazepine versus phenytoin in children and adolescents with epilepsy  
 AUTHOR(S): Gureerio, Marilisa M.; Vigonius, Ulf; Pohlmann, Harald; de Manreza, Maria Luiza G.; Fejerman, Natalio;  
 CORPORATE SOURCE: Antoniuk, Sergio A.; Moore, Alan  
 UNICAMP, Neurological Department, Faculty of Medicine, Campinas, Brazil  
 SOURCE: Epilepsy Research (1997), 27(3), 205-213  
 PUBLISHER: Elsevier  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB In many countries oxcarbazepine (OXC) has been registered for use as first-line and add-on treatment for patients with partial seizures with or without secondarily generalized seizures (PS) and generalized tonic-clonic seizures without partial onset (GTCS). Its use as monotherapy in children and adolescents with newly diagnosed epilepsy was investigated in this double-blind, randomized, parallel-group comparison with phenytoin (PHT). A total of 193 patients aged 5-18 yr with either PS or GTCS were enrolled. After a retrospective baseline assessment, patients were randomized to OXC or PHT in a 1:1 ratio. The double-blind treatment phase comprised two periods: an 8-wk flexible titration period; followed by 48 wk maintenance treatment. In the efficacy analyses, there were no statistically significant differences between OXC and PHT. Forty-nine (61%) patients in the OXC group and 46 (60%) in the PHT group were seizure-free during the maintenance period. In total, 24 patients in the OXC group discontinued treatment prematurely (two for tolerability reasons) compared with 34 in the PHT group (14 for tolerability reasons). The number of premature discontinuations due to adverse experiences was statistically significantly lower in the OXC group than in the PHT group. Moreover, the odds of an individual discontinuing prematurely (regardless of reason) were almost twice as high in the PHT group. This trial provides further support for the efficacy and safety of OXC as first-line treatment in children and adolescents with PS and GTCS. In addition, the results show that OXC in these patients has significant advantages over PHT in terms of tolerability and treatment retention.  
 IT 28721-07-5, Oxcarbazepine  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (oxcarbazepine vs. phenytoin in children and adolescents with epilepsy)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

L47 ANSWER 96 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 INDEX NAME)



L47 ANSWER 97 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

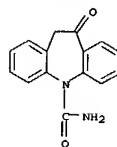




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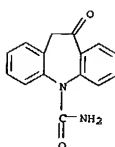
L47 ANSWER 98 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1997:500281 CAPLUS  
 DOCUMENT NUMBER: 127:156597  
 TITLE: A double-blind controlled clinical trial of oxcarbazepine versus phenytoin in adults with previously untreated epilepsy  
 AUTHOR(S): Bill, Pierre Alfred; Pohlmann, Ulf; Pohlmann, Harald; Guerreiro, Carlos Alberto M.; Kochen, Silvia; Saffer, David; Moore, Alan  
 CORPORATE SOURCE: Department of Neurology, Wentworth Hospital, Durban, S. Afr.  
 SOURCE: Epilepsy Research (1997), 27(3), 195-204  
 CODEN: EPIRES; ISSN: 0920-1211  
 PUBLISHER: Elsevier  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB In the last 5 yr oxcarbazepine (OXC) has been registered in many countries for use as first-line and add-on treatment for partial seizures with or without secondarily generalized seizures (PS) and generalized tonic-clonic seizures without partial onset (GTCS). Its use as monotherapy in adults with newly diagnosed epilepsy was investigated in this double-blind, randomized, parallel-group comparison with phenytoin (PHT). A total of 287 adult patients, with either PS or GTCS, were randomized. After retrospective baseline assessment, patients were randomized to OXC or PHT in a 1:1 ratio. The double-blind treatment phase was divided into two periods: a flexible titration period of 8 wk, followed by 48 wk of maintenance treatment. In the efficacy analyses, no statistically significant differences were found between the treatment groups. Seventy patients (59.3%) in the OXC group and 69 (58.0%) in the PHT group were seizure-free during the maintenance period. A total of 56 of the patients in the OXC group discontinued treatment prematurely (five because of tolerability reasons) compared to 61 in the PHT group (16 for tolerability reasons). The number of premature discontinuations due to adverse experiences showed a statistically significant difference in favor of OXC. There was no statistically significant difference between the groups with respect to the total number of premature discontinuations. This trial provides further support for the efficacy and safety of OXC as first-line treatment in adults with PS and GTCS. In addition, the results show the OXC has significant advantages over PHT in terms of tolerability.  
 IT 28721-07-5, Oxcarbazepine  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (clin. trial of oxcarbazepine vs. phenytoin in humans with previously untreated epilepsy)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz(b,f)azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

L47 ANSWER 98 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L47 ANSWER 99 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1997:295617 CAPLUS  
 DOCUMENT NUMBER: 126:325356  
 TITLE: A double-blind controlled clinical trial: oxcarbazepine versus sodium valproate in adults with newly diagnosed epilepsy  
 AUTHOR(S): Christe, Walter; Kramer, Gunter; Vigonius, Ulf; Pohlmann, Harald; Steinhoff, Bernhard J.; Brodie, Martin J.; Moore, Alan  
 CORPORATE SOURCE: Dep. Neurology, Univ. Hospital Rudolf-Virchow, Berlin, Germany  
 SOURCE: Epilepsy Research (1997), 26(3), 451-460  
 CODEN: EPIRES; ISSN: 0920-1211  
 PUBLISHER: Elsevier  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Oxcarbazepine (OXC) has been licensed as monotherapy and add-on treatment in epilepsy patients with partial seizures with or without secondarily generalized seizures (PS) and generalized tonic-clonic seizures without partial onset (GTCS). Patients with diagnosed epilepsy was studied in a double-blind, randomized, parallel-group and treated with OXC vs. sodium valproate (VPA). Two-hundred and forty-nine patients with either PS or generalized seizures aged 15-65 yr were randomized. After a retrospective baseline, patients were randomized to VPA or OXC in a 1:1 ratio. The double-blind treatment phase was divided into two periods, flexible titration and maintenance. The titration period was 8 wk followed by 48 wk of individualized, maintenance treatment given three times a day. Three primary analyses were used to assess efficacy, tolerability, and the association between the two. In the efficacy analyses comprising 212 patients who had at least one seizure assessment during the maintenance period, no statistically significant difference at the 5% level was found between the treatment groups. Sixty patients (56.6%) in the OXC group and 57 patients (53.8%) in the VPA group were seizure free during maintenance treatment. Fifty-two patients in the OXC group discontinued treatment prematurely (15 because of tolerability reasons) compared to 41 patients in the VPA group (ten due to tolerability reasons). There was no statistically significant difference between the treatment groups with respect to the total number of premature discontinuations or those due to adverse experiences. This trial provides support for the efficacy and safety of OXC as first-line treatment in adults with PS and GTCS.  
 IT 28721-07-5, Oxcarbazepine  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (a double-blind controlled clin. trial: oxcarbazepine vs. sodium valproate in adults with newly diagnosed epilepsy)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz(b,f)azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

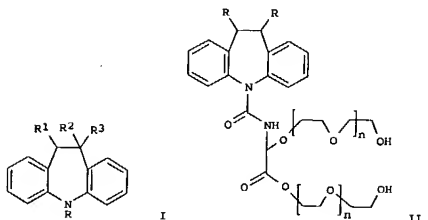
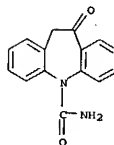
L47 ANSWER 99 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



10/074,181

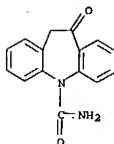
ANSWER 100 OF 131  
 CAPLUS COPYRIGHT 2004 ACS on STN  
 1997:116098 CAPLUS  
 126:199441  
 Diben[*b,f*]azepines. Part 7. Synthesis of new,  
 potentially CNS active dibenz[*b,f*]azepine  
 derivatives  
 Haasz, Ferenc; Toth, Zoltan; Galamb, Vilmos  
 Alkaloida Chemical Company Ltd., Tiszavasvari,  
 Hungary.  
 Archiv der Pharmazie (Weinheim, Germany) (1996),  
 329(12), 551-553  
 CODEN: ARPMA5; ISSN: 0365-6233  
 VCH  
 Journal  
 English  
 GI

L47 ANSWER 100 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



AB Reactions of carboxamidodibenzazepines I (R = CONH<sub>2</sub> with R<sub>1</sub>R<sub>2</sub> = bond, R<sub>3</sub> = H; R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> = H; R<sub>1</sub> = H, R<sub>2</sub>R<sub>3</sub> = O; R<sub>1</sub>R<sub>2</sub> = O, R<sub>3</sub> = H) with  
 MeO<sub>2</sub>CH(OMe)OH  
 led to corresponding dibenzazepines I (R = CONHCH<sub>2</sub>CO<sub>2</sub>Me). The reactions  
 with glycols yielded the oligoethylene glycol derivs. II (n = 0-3; R<sub>2</sub> =  
 H<sub>2</sub>, bond). Some of the compds. showed anticonvulsive and/or  
 antidepressive activity in preliminary tests.  
 IT 28721-07-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of CNS-active dibenzazepines)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[*b,f*]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (BCI, 9CI)  
 (CA  
 INDEX NAME)

L47 ANSWER 101 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

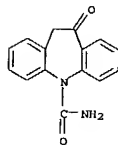


ANSWER 101 OF 131  
 CAPLUS COPYRIGHT 2004 ACS on STN  
 1997:16233 CAPLUS  
 126:54374  
 The new antiepileptic drugs and women: efficacy,  
 reproductive health, pregnancy, and fetal outcome  
 Morrell, Martha J.  
 Stanford Comprehensive Epilepsy Center, Stanford  
 University Medical School, Stanford, CA, USA  
 Epilepsia (1996), 37(Suppl. 6), S34-S44  
 CODEN: EPIPLA; ISSN: 0013-9580  
 Lippincott-Raven  
 Journal; General Review  
 English  
 AB A review with approx. 107 refs. As new antiepileptic drugs (AEDs) become  
 available, physicians will define their appropriate use in particular  
 patient populations. For women, the issues include gender-specific  
 efficacy and tolerability, including the impact of the AED on  
 reproductive health. Women with epilepsy who are treated with established  
 AEDs appear to be at risk for compromised bone health, for disturbances  
 in fertility, menstrual cyclicity, ovulatory function, and sexuality and,  
 with some AEDs, for failure of hormonal contraception. Finally,  
 pregnancy outcome may be adversely affected by the established AEDs, all of which  
 are human teratogens. Felbamate (FBM), gabapentin (GBP), lamotrigine  
 (LTG), oxcarbazepine (OCDZ), tiagabine (TGB), topiramate (TPM), and  
 vigabatrin (VGB) were reviewed. The preclin. development process had not  
 addressed all the issues of concern to women. Although gender-specific  
 efficacy is routinely evaluated, impact on reproductive health is not.  
 FBM, GBP, LTG, TGB, TPM, and VGB have similar efficacy in women and men.  
 It is not known whether the new AEDs will affect bone health, fertility,  
 the menstrual cycle, and sexuality. FBM, GBP, LTG, TGB, and probably VGB  
 do not interfere with hormonal contraception. Whether these new AEDs are  
 good choices for the pregnant woman with epilepsy awaits further  
 experience in human pregnancy. However, animal reproductive toxicol.  
 studies appear promising. The limited number of human pregnancy  
 exposures do not, thus far, signal a significant number or particular type of adverse  
 outcomes. However, only with improved postmarketing surveillance can  
 essential information about teratogenic effects be acquired in an  
 acceptably short time.  
 IT 28721-07-5, Oxcarbazepine  
 RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study);  
 USES (Uses)  
 (new antiepileptic drugs and women dealing with efficacy, reproductive  
 health, pregnancy, and fetal outcome)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[*b,f*]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (BCI, 9CI)  
 (CA  
 INDEX NAME)

10/074,181

ANSWER 102 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 DEPOSITION NUMBER: 1996:549050 CAPLUS  
 DOCUMENT NUMBER: 125:185747  
 TITLE: Open label pilot study of oxcarbazepine for inpatients under evaluation for epilepsy surgery  
 AUTHOR(S): Fisher, Robert S.; Ekola, Jennifer; Blum, David; Kerrigan, John P., III; Draskowski, Joseph; Duncan, Bonnie  
 CORPORATE SOURCE: Barrow Neurol. Inst., Phoenix, AZ, USA  
 SOURCE: Drug Development Research (1996), 38(1), 43-49  
 PUBLISHER: CODEN: DDREK; ISSN: 0272-4391  
 DOCUMENT TYPE: Wiley-Liss  
 LANGUAGE: English  
 AB Oxcarbazepine (OXC) is a keto analog of carbamazepine with no epoxide metabolite. The authors performed an open-label pilot study of OXC in six men and four women undergoing presurgical evaluation for complex partial or secondarily generalized seizures. Mean age was 34.3 yr, and mean duration of epilepsy was 18.2 yr. Patients were monitored for approx. 7 days before entry into an open-label add-on OXC study. Baseline antiepileptic medications were stopped in seven of the patients prior to initiating OXC. OXC was titrated to 2400 mg/day in two divided doses over 2-3 days. The baseline daily seizure frequency was 0.75, compared to 0.19 seizures per day during the 10 days subjects were on OXC (two-tailed paired t test). Overall, 80% of patients showed at least a 50% reduction in seizures, and the mean reduction was to 32% of the baseline. Adverse events consisted of nausea (20%), ataxia (10%), fatigue (10%), blurred vision (10%), and pruritus (10%).  
 Segmented neutrophil counts, serum sodium, and serum AST declined with OXC. This pilot study suggested preliminary evidence for safety and efficacy of OXC.  
 IT 28721-07-5, Oxcarbazepine  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USSES (Uses)  
 (open label pilot study of oxcarbazepine for human inpatients under evaluation for epilepsy surgery)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

L47 ANSWER 102 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



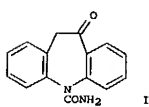
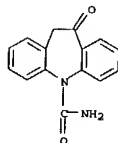
ANSWER 103 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 DEPOSITION NUMBER: 1996:544073 CAPLUS  
 DOCUMENT NUMBER: 125:195448  
 TITLE: Preparation of 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepin-5-carboxamide  
 INVENTOR(S): Milanese, Alberto  
 PATENT ASSIGNEE(S): Trifarma, S.R.L., Italy  
 SOURCE: PCT Int. Appl., 24 pp.  
 DOCUMENT TYPE: CODEN: PIXXD2  
 LANGUAGE: Patent  
 FAMILY ACC. NUM. COUNT: English  
 PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9621649	A1	19960718	WO 1996-EP4	19960103
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM				
RM: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9643479	A1	19960731	AU 1996-43479	19960103
EP 847390	A1	19980617	EP 1996-900104	19960103
EP 847390	B1	20000816		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 195518	E	20000915	AT 1996-900104	19960103
ES 2150093	T3	20001116	ES 1996-900104	19960103
PT 847390	T	20001130	PT 1996-900104	19960103
US 5808058	A	19980915	US 1996-765481	19961224
GR 3034844	T3	20010228	GR 2000-402532	20001114
PRIORITY APPLN. INFO.:			IT 1995-M156	A 19950113
			WO 1996-EP4	W 19960103

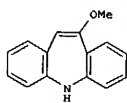
OTHER SOURCE(S): CASREACT 125:195448  
 GI

L47 ANSWER 103 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

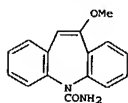
AB The title compound I was prepared by direct carbamoylation of 10-methoxy-5H-dibenz[b,f]azepine II with isocyanic acid generated in situ from cyanates and acids and subsequent acid hydrolysis of the enol ether III. Compound I was also prepared by acid hydrolysis of II followed by carbamoylation of the intermediate IV with ClSO<sub>2</sub>NCO.  
 IT 28721-07-5  
 RL: IMP (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepin-5-carboxamide)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



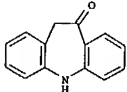
I



II



III

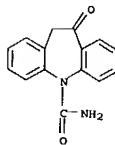


IV

10/074,181

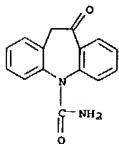
147 ANSWER 104 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1996:500678 CAPLUS  
 DOCUMENT NUMBER: 125:158467  
 TITLE: Fluctuations of 10-hydroxy-carbazepine during the day in epileptic patients  
 AUTHOR(S): May, T. W.; Rambeck, B.; Saelke-Kellermann, A.  
 CORPORATE SOURCE: Dep. Biochem., Gesellschaft Epilepsieforschung, Bielefeld, Germany  
 SOURCE: Acta Neurologica Scandinavica (1996), 93(6), 393-397  
 CODEN: ANRSAS; ISSN: 0001-6314  
 PUBLISHER: Munkegaard  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Oxcarbazepine (PCBZ) is a new antiepileptic drug with a chemical structure similar to carbamazepine. We investigated the daily fluctuations of 10-OH-carbazepine (monohydroxy derivative, MHD), the clin. relevant metabolite of OCBZ, in patients with or without comedication. Twenty-two profiles of (total) serum concns. of MHD from 18 epileptic patients on a b.i.d. OCBZ regimen were determined at 8.00, 11.00, 14.00, 17.00, 20.00 h (and 22.00 h/23.00 h). a patient was only considered twice if his comedication or OCBZ dosage had been changed. The maximal MHD concns. were about 33%  $\pm$  14% higher than the minimal MHD concns. during the day. The free MHD concns. were determined in 17 profiles. The mean free fraction of MHD was 56.7%  $\pm$  5.5%. In combination with valproic acid the free fraction (64.0%  $\pm$  1.4%) was slightly, but significantly higher ( $p < 0.05$ ) than in monotherapy (52.3%  $\pm$  0.9%) or in combination (58.0%  $\pm$  2.6%) with other antiepileptic drugs (2 + phenobarbital, 2 + metheximide, 1 + sulthiame). Further studies are necessary to clarify if the observed fluctuations of MHD are of clin. importance.  
 IT 28721-07-5D, Oxcarbazepine, derivs.  
 RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses) (fluctuations of 10-hydroxy-carbazepine during the day in epileptic humans)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

L47 ANSWER 104 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



147 ANSWER 105 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1996:244435 CAPLUS  
 DOCUMENT NUMBER: 124:307416  
 TITLE: Pharmacokinetics of oxcarbazepine in the dog  
 AUTHOR(S): Schicht, S.; Wigger, D.; Frey, H. -H.  
 CORPORATE SOURCE: School Veterinary Medicine, Freie Universität Berlin, Berlin, 14195, Germany  
 SOURCE: Journal of Veterinary Pharmacology and Therapeutics (1996), 19(1), 27-31  
 CODEN: JVPD9; ISSN: 0140-7783  
 PUBLISHER: Blackwell  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Oxcarbazepine has been proven to be a promising new antiepileptic drug for the treatment of human epilepsy. Unlike carbamazepine, it is not oxidatively metabolized in humans, and therefore causes almost no induction of hepatic enzymes at clin. effective dosages. Though showing similar efficacy to carbamazepine, it has been reported to cause significantly fewer side-effects. It was the purpose of the present study to determine whether oxcarbazepine might be suitable for the treatment of canine epilepsy. In single-dose expts., 40 mg/kg oxcarbazepine as a suspension was administered to seven dogs via gastric tube. Plasma concns. reached peak concns. of 2.4-8.8 µg/mL at about 1.5 h and declined with an elimination half-life of approx. 4 h. The corresponding concns. of its metabolite, 10,11-dihydro-10-hydroxycarbamazepine, did not exceed 1 µg/mL. During continued treatment for 8 days, doses of 30 and 50 mg/kg were administered orally in capsules to two dogs three times a day. Plasma concns. showed a pronounced decline from day 3, and the terminal half-life decreased to 2 h and 1 h. This is considered to be the result of oxcarbazepine inducing its own metabolism. The data reveal that Oxcarbazepine, compared with former results with carbamazepine, offers no advantage for the treatment of epileptic dogs.  
 IT 28721-07-5, Oxcarbazepine  
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (pharmacokinetics of oxcarbazepine in the dog)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

L47 ANSWER 105 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



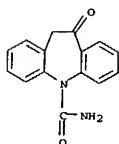
10/074,181

ANSWER 106 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1996:64951 CAPLUS  
 DOCUMENT NUMBER: 124:127131  
 TITLE: Pharmaceutical dosage forms containing antiepileptic drugs and cellulose derivatives and polyalkylene oxides  
 INVENTOR(S): Jao, Frank; Wong, Patrick S.-L.; Cruz, Evangeline; Sy, Eduardo C.; Kuczynski, Anthony L.  
 PATENT ASSIGNEE(S): Alza Corp., USA  
 SOURCE: PCT Int. Appl., 55 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

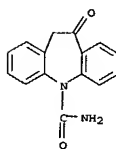
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9529665	A1	19951109	WO 1995-US4634	19950414
W: AU, CA, FI, JP, KR, MX, NO, NZ				
ZA 9503078	A	19960105	ZA 1995-3078	19950413
CA 2184395	AA	19951109	CA 1995-2184395	19950414
AU 9522912	A1	19951129	AU 1995-22912	19950414
AU 693546	B2	19980702		
EP 758228	A1	19970219	EP 1995-916400	19950414
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09512550	T2	19971216	JP 1995-520265	19950414
US 5660861	A	19970826	US 1995-440264	19950512
US 5906832	A	19990525	US 1995-439914	19950512
US 5876750	A	19990302	US 1997-871075	19970609
US 5955103	A	19990921	US 1997-871748	19970609
US 5863558	A	19990126	US 1997-955445	19971021
PRIORITY APPLN. INFO.:			US 1994-234092	A 19940428
			WO 1995-US4634	W 19950414
			US 1995-439915	B3 19950512
			US 1995-440010	B3 19950512

AB A pharmaceutical dosage form is disclosed which comprises an antiepileptic drug, cellulose derivs., and polyalkylene oxides. A sustained-release dosage form containing 276 mg phenytoin (I) is disclosed which released 90% of I in 14.7 h from the slow-release section and 90% of I in 5.7 h from the fast release section.  
 IT 28721-07-5, Oxcarbazepine  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical dosage forms containing antiepileptic drugs and cellulose derivs. and polyalkylene oxides)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI) (CA)

ANSWER 107 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1995:740358 CAPLUS  
 DOCUMENT NUMBER: 123:187740  
 TITLE: Thyroid and myocardial function after replacement of carbamazepine by oxcarbazepine  
 AUTHOR(S): Isojaervi, Jouko I. T.; Airakinen, K. E. Juhani; Mustonen, Juha W.; Pakarinen, Arto J.; Rautio, Arja; Pelkonen, Olavi; Myllyla, Vilho V.  
 CORPORATE SOURCE: Clinical Chemistry, and Pharmacology and Toxicology, University Oulu, Oulu, Finland  
 SOURCE: Epilepsia (1995), 36(8), 810-16  
 CODEN: EPILEAK; ISSN: 0013-9580  
 PUBLISHER: Lippincott-Raven  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB We determined changes in serum concns. of thyroid hormones during carbamazepine (CBZ) therapy during a 5-yr prospective follow-up study of 20 patients with newly diagnosed epilepsy. In addition, we evaluated the effects of replacing CBZ with oxcarbazepine (OCBZ) in 12 male patients with epilepsy in a 6-mo prospective follow-up study. Circulating thyroxine and free thyroxine levels decreased after 2-mo CBZ treatment and remained at a low level during the 5-yr follow-up. There were no associated changes in serum TSH (TSH) concns. When CBZ was replaced by OCBZ, the function of the liver's P 450 enzyme system normalized, as shown by an increase in antipyrineT1/2, and a decrease in antipyrineCL. Serum total and free thyroxine levels increased, and thereafter serum TSH levels decreased. Indexes of diastolic heart function improved concomitantly, which may reflect subclin. hypothyroidism at the cellular level during CBZ treatment. We conclude that normal thyroid function can be restored in patients with epilepsy by replacing CBZ with OCBZ.  
 IT 28721-07-5, Oxcarbazepine  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (thyroid and myocardial function after replacement of carbamazepine by oxcarbazepine)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI) (CA)  
 INDEX NAME)



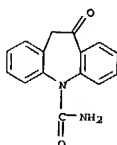
ANSWER 106 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 INDEX NAME)



ANSWER 108 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1995:696266 CAPLUS  
 DOCUMENT NUMBER: 123:65885  
 TITLE: Double-layered oxcarbazepine tablets  
 INVENTOR(S): Bourquin, Jacques  
 PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.  
 SOURCE: Can. Pat. Appl., 11 pp.  
 CODEN: CFXHEB  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2131495	AA	19950309	CA 1994-2131495	19940906
US 5472714	A	19951205	US 1994-288414	19940810
AU 9471571	A1	19950323	AU 1994-71571	19940830
AU 678492	B2	19970529		
EP 646374	A1	19950405	EP 1994-810494	19940830
EP 646374	B1	19980408		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 164762	E	19980415	AT 1994-810494	19940830
ES 2115188	T3	19980616	ES 1994-810494	19940830
IL 110863	A1	19991028	IL 1994-110863	19940905
ZA 9406874	A	19950424	ZA 1994-6874	19940907
JP 07165584	A2	19950627	JP 1994-213510	19940907
US 5695782	A	19971209	US 1995-511103	19950809
PRIORITY APPLN. INFO.:			CH 1993-2679	A 19930908
			US 1994-288414	A1 19940810

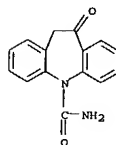
AB A double-layered tablet for oxcarbazepine contains a hydrophilic, permeable inner coating consisting of white pigments (TiO2) and a hydrophilic permeable outer coating containing white pigments in combination with iron(III) oxide pigments.  
 IT 28721-07-5, Oxcarbazepine  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (double-layered oxcarbazepine tablets)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI) (CA)  
 INDEX NAME)



10/074,181

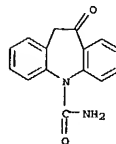
L47 ANSWER 108 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L47 ANSWER 109 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1995:678581 CAPLUS  
 DOCUMENT NUMBER: 123:74034  
 TITLE: Clobazam, oxcarbazepine, tiagabine, topiramate, and other new antiepileptic drugs  
 AUTHOR(S): Fisher, Robert; Blum, David  
 CORPORATE SOURCE: Barrow Neurological Institute, St. Joseph's Hospital, Phoenix, AZ, 85013-4496, USA  
 SOURCE: Epilepsia (1995), 36(Suppl. 2), S105-S114  
 CODEN: EPILAK; ISSN: 0013-9580  
 PUBLISHER: Lippincott-Raven  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English  
 AB A review with ~ 110 refs. Clin. investigators recently have studied at least 21 new antiepileptic drugs (AEDs) in people with epilepsy. This review briefly examines 15 of these new AEDs: clobazam (CLB), dezincamide, flunarizine (FNR), loreclezole, milacemide (MLM), MK-801, nafimidone, ORG-6370, oxcarbazepine (OCBZ), progabide (PGB), rilicoline, stiripentol, tiagabine (TGB), topiramate (TPM), and zonisamide (ZNS). CLB, PGB, and TGB represent agents that act on the GABA system, and MLM acts on the glycine system. MK-801 and ZNS (in part) are excitatory amino acid antagonists, and FNR is a calcium-channel antagonist. OCBZ is a keto analog of carbamazepine, which is not metabolized to the epoxide and may have fewer side effects. The remaining agents are novel compds. with a variety of suspected mechanisms. TPM appears especially effective for intractable partial seizures but has a high incidence of cognitive side effects. None of these new AEDs is useful for all patients with inadequate seizure control or ongoing toxicity. The role of each will require further clin. study and experience.  
 IT 28721-07-5, Oxcarbazepine  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (new antiepileptic drugs)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA  
 INDEX NAME)



L47 ANSWER 110 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1995:320451 CAPLUS  
 DOCUMENT NUMBER: 122:178219  
 TITLE: Effects of oxcarbazepine and 10-hydroxycarbazepine on action potential firing and generalized seizures  
 AUTHOR(S): Wamil, Artur W.; Schmutz, Markus; Portet, Chantal; Feldmann, Karl F.; McLean, Michael J.  
 CORPORATE SOURCE: Department of Neurology, Vanderbilt University Medical  
 SOURCE: Center, Nashville, TN, USA  
 European Journal of Pharmacology (1994), 271(2/3), 301-9  
 CODEN: EJPHAZ; ISSN: 0014-2999  
 PUBLISHER: Elsevier  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The anticonvulsant compound oxcarbazepine and its principal 10-monohydroxy metabolite protected potently against electroshock-induced tonic hindlimb extension. Maximal plasma concns. depended on dose and were reached 51 h after an oral dose of oxcarbazepine and <2 h after monohydroxy derivative. In mice, the ED50 was 14 mg/kg for oxcarbazepine and 20.5 mg/kg for the monohydroxy derivative, p.o. In rats, the ED50 was 13.5 mg/kg for oxcarbazepine and 17.0 mg/kg for monohydroxy derivative, p.o. This protective effect compared favorably with the efficacy of carbamazepine, phenytoin, phenobarbital and diazepam in the same test. As observed previously, valproate and ethosuximide were markedly less potent. The effect of oxcarbazepine and its monohydroxy derivative on sustained high frequency repetitive firing of sodium-dependent action potentials of mouse spinal cord neurons in cell culture was also examined using intracellular recording techniques. Both compds. reduced the percentage of neurons capable of sustained action potential firing in concentration-dependent manner. The EC50 for oxcarbazepine was 5.10-8 M and that for monohydroxy derivative was 2.10-8 M (P<0.05 vs. oxcarbazepine). For comparison, the EC50 for carbamazepine was significantly higher (6.10-7 M). Limitation of firing by oxcarbazepine and the monohydroxy derivative depended on firing frequency and membrane potential and was enhanced by depolarization. Input resistance and resting membrane potential were not altered by either drug. The in vitro effect on action potential firing frequency occurred at concns. below plasma levels of oxcarbazepine and monohydroxy derivative which protected animals against electroshock and were therapeutically effective in patients. This suggests that limitation of sodium-dependent action potential firing frequency could contribute to the anticonvulsant efficacy of both oxcarbazepine and its metabolite.  
 IT 28721-07-5, Oxcarbazepine  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (effects of oxcarbazepine and 10-hydroxycarbazepine on action potential firing and generalized seizures)

L47 ANSWER 110 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA  
 INDEX NAME)



10/074,181

L47 ANSWER 111 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1994:692539 CAPLUS  
DOCUMENT NUMBER: 121:292539  
TITLE: Oxcarbazepine: Preclinical anticonvulsant profile and putative mechanisms of action  
AUTHOR(S): Schmutz, M.; Brugger, F.; Gentsch, C.; McLean, M. J.; Olpe, H. R.  
CORPORATE SOURCE: Research and Development Department, Ciba-Geigy Ltd., Basel, CH-4002, Switzerland  
SOURCE: Epilepsia (1994), 35(SUPPL. 5), 547-550  
CODEN: EPIPLA; ISSN: 0013-9580  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Oxcarbazepine (OCBZ, Trileptal) and its main human monohydroxy metabolite (MHD) protected mice and rats against generalized tonic-clonic seizures induced by electroshock with ED50 values between 13.5 and 20.5 mg/kg p.o. No tolerance toward this anticonvulsant effect was observed

when rats were treated with OCBZ or MHD daily for 4 wk. The therapeutic indexes were 4 (OCBZ) and >6 (MHD) for sedation (observation test, mice and rats) and 8 (MHD) or 10 (OCBZ) for motor impairment (rotarod test, mice). Both compounds were less potent in suppressing chemical induced seizures and did not significantly influence rat kindling development. At doses of 50 mg/kg p.o. and 20 mg/kg i.m. and higher,

OCBZ and, to a lesser extent, MHD protected Rhesus monkeys from aluminum-induced chronically recurring partial seizures. In vitro, OCBZ and MHD suppressed sustained high-frequency repetitive firing of sodium-dependent action potentials in mouse neurons in cell culture with equal potency (medium effective concentration  $5 \times 10^{-8}$  M/L). This effect is probably due in part to a direct effect on sodium channels. Patch-clamp studies on rat dorsal root ganglia cells revealed that up to

a concentration of  $3 \times 10^{-4}$  M, MHD did not significantly interact with L-type calcium currents, whereas OCBZ diminished them by about 30% at the concentration of  $3 \times 10^{-4}$  M. In biochem. investigations, no brain neurotransmitter or modulator receptor site responsible for the anticonvulsant mechanism of action of OCBZ and MHD was identified. MHD and both of its enantiomers were of equal anticonvulsant profile and potency in rodent screening tests, with ED50 values ranging from 13 to 34 and 32 to 46 mg/kg p.o. in the electroshock and pentylenetetrazol test in mice, resp. In addition, all three compounds showed a very similar profile of

unwanted side effects. In vitro, they inhibited penicillin-induced epileptic-like discharges in the CA3 area of rat hippocampal slices with equal potency and efficacy at concns. of 100-500  $\mu$ M. This effect was attenuated when the potassium-channel blocker 4-aminopyridine was added to the bath fluid, thus indicating that potassium channels may also contribute to the antiepileptic activity of OCBZ.

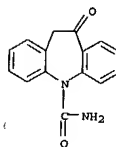
IT 28721-07-5, Trileptal  
RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study);  
USES

L47 ANSWER 112 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1994:672184 CAPLUS  
DOCUMENT NUMBER: 121:272184  
TITLE: Pharmaceutical compositions and use of antiepileptics such as carbamazepine and oxcarbazepine for treating AIDS-related neural disorders  
INVENTOR(S): Bouesseau, Anne; Doble, Adam; Louvel, Erik  
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer S.A., Fr.  
SOURCE: PCT Int. Appl., 15 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

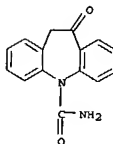
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9420110	A1	19940915	WO 1994-FR209	19940225
W: AU, CA, CZ, HU, JP, KR, NO, PL, RU, SK, UA, US				
RU: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2702148	A1	19940909	FR 1993-2568	19930305
FR 2702148	B1	19950407		
FR 2702151	A1	19940909	FR 1993-6641	19930603
FR 2702151	B1	19950407		
FR 2702149	A1	19940909	FR 1993-6642	19930603
FR 2702149	B1	19950407		
AU 9461438	A1	19940926	AU 1994-61438	19940225
EP 687179	A1	19951220	EP 1994-908375	19940225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
HU 73434	A2	19960729	HU 1995-2583	19940225
HU 73433	A2	19960729	HU 1995-2585	19940225
HU 217132	B	19991129		
JP 08507508	T2	19960813	JP 1994-519648	19940225
AT 147981	E	19970215	AT 1994-908376	19940225
ES 2096455	T3	19970301	ES 1994-908376	19940225
CZ 284423	B6	19981111	CZ 1995-2361	19940225
CZ 285339	B6	19990714	CZ 1995-2359	19940225
ES 2157252	T3	20010816	ES 1994-908374	19940225
PT 687176	T	20010928	PT 1994-908374	19940225
IL 108844	A1	19980104	IL 1994-108844	19940303
ZA 9401530	A	19941006	ZA 1994-1530	19940304
ZA 9401525	A	19941109	ZA 1994-1525	19940304
US 5624945	A	19970429	US 1995-396106	19950228
NO 9503371	A	19950828	NO 1995-3371	19950828
PRIORITY APPL. INFO.:			FR 1993-2568	A 19930305
			US 1993-109559	B1 19930820
			WO 1994-FR209	W 19940225

AB The use of an antiepileptic selected from carbamazepine and oxcarbazepine or pharmaceutically acceptable salts thereof for treating AIDS-related neural disorders is disclosed. Cultured cortical cells were used to test for activity against HIV-1 gp120-induced neuronal death. Tablet, capsule, and injection formulations are included.  
IT 28721-07-5, Oxcarbazepine  
RI: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. and use of antiepileptics such as carbamazepine)

L47 ANSWER 111 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
(Uses)  
(preclin. anticonvulsant profile and putative mechanisms of action of oxcarbazepine in humans and lab. animals)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz(b,f)azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)



L47 ANSWER 112 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
and oxcarbazepine for treating AIDS-related neural disorders)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz(b,f)azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)



10/074,181

47 ANSWER 113 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
INVENTION NUMBER: 1994:517773 CAPLUS  
DOCUMENT NUMBER: 121:117773  
TITLE: Pharmaceutical compositions containing carbamazepine or oxcarbazepine for treatment of neurological lesions  
INVENTOR(S): related to traumatic injuries  
Doble, Adam; Louvel, Erik; Pratt, Jeremy; Stutzmann, Jean Marie  
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer S.A., Fr.  
SOURCE: PCT Int. Appl., 16 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

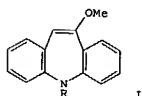
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9413298	A1	19940623	WO 1993-FR1228	19931210
W: AU, CA, CZ, HU, JP, KR, NO, PL, RU, SK, UA, US				
FR 2699077	A1	19940617	FR 1992-15148	19921216
FR 2699077	B1	19950113		
FR 2699079	A1	19940617	FR 1993-5121	19930430
FR 2699079	B1	19950113		
FR 2699078	A1	19940617	FR 1993-5122	19930430
FR 2699078	B1	19950113		
CA 2151601	AA	19940623	CA 1993-2151601	19931210
CA 2151603	AA	19940623	CA 1993-2151603	19931210
CA 2151604	AA	19940623	CA 1993-2151604	19931210
AU 9456539	A1	19940704	AU 1994-56539	19931210
EP 674520	A1	19951004	EP 1994-902018	19931210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
HU 71814	A2	19960228	HU 1995-1751	19931210
HU 217133	B	19991129		
HU 71839	A2	19960228	HU 1995-1752	19931210
HU 71812	A2	19960228	HU 1995-1753	19931210
JP 08504429	T2	19960514	JP 1993-513879	19931210
AT 164067	E	19980415	AT 1994-902019	19931210
ES 2113635	T3	19980501	ES 1994-902019	19931210
CZ 284420	B6	19981111	CZ 1995-1545	19931210
ZA 9309400	A	19940819	ZA 1993-9400	19931215
ZA 9309401	A	19940819	ZA 1993-9401	19931215
ZA 9309399	A	19940822	ZA 1993-9399	19931215
IL 108051	A1	19990509	IL 1993-108051	19931216
NO 9502229	A	19950606	NO 1995-2229	19950606
PRIORITY APPLN. INFO:			FR 1992-15148	A 19921216
			WO 1993-FR1228	W 19931210

AB Pharmaceutical compns. containing carbamazepine (I) or oxcarbazepine or pharmaceutically acceptable salts thereof are used in the treatment of neurol. lesions related to traumatic injuries, especially spinal, cranial or cranial-spinal injuries. An injection solution contained I 10, benzoic acid

47 ANSWER 114 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
INVENTION NUMBER: 1994:164010 CAPLUS  
DOCUMENT NUMBER: 120:164010  
TITLE: Improved process for producing 5-carbamoyl-10-oxo-10,11-dihydro-5H-dibenz[b,f]azepine  
INVENTOR(S): Haasz, Ferenc; Galamb, Vilmos; Szabo, Jozsef, Mrs.; Garadnay, Sandor  
PATENT ASSIGNEE(S): Alkaloida Vegyeszeti Gyar, Hung.  
SOURCE: Hung. Teljes, 8 pp.  
CODEN: HUXXBU  
DOCUMENT TYPE: Patent  
LANGUAGE: Hungarian  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 63389	A2	19930830	HU 1991-4116	19911227
PRIORITY APPLN. INFO:			HU 1991-4116	19911227

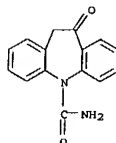
OTHER SOURCE(S): CASREACT 120:164010  
GI



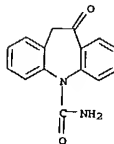
AB A procedure for preparation of the title compound (oxcarbazepine) from 10-methoxy-5H-dibenz[b,f]azepine (I; R = H) entailing consecutive chlorocarbonylation, ammonolysis, and hydrolysis is thus characterized: (1) chlorocarbonylation of I (R = H) with 30-70% molar excess diphosgene is carried out in aromatic hydrocarbon, halogenated or alkylated aromatic hydrocarbon solvent at 70-140°; (2) ammonolysis of the resultant I (R = COCl) is carried out without its isolation or purification, and without disruption of the reaction system, with NH<sub>3</sub>(g) at 60-90°; (3) the resultant carbamoyl derivative I (R = CONH<sub>2</sub>) is converted by known methods to oxcarbazepine. Thus, when step (1) is carried out in boiling PhMe, step (2) at 70° with NH<sub>3</sub> bubbling, I (R = CONH<sub>2</sub>) is obtained in 58.9% yield. Hydrolysis of I (R = CONH<sub>2</sub>) in 2 M HCl afforded 73.5% oxcarbazepine.

IT 28721-07-5P, Oxcarbazepine  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of oxcarbazepine using diphosgene as chlorocarbonylation agent)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)

L47 ANSWER 113 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
80, Na benzoate 80, NaOH 24 mg, benzyl alc. 0.06, 95% EtOH 0.4, propylene glycol 1.6, and water q.s. 4mL.  
IT 28721-07-5, Oxcarbazepine  
RL: BIOL (Biological study)  
(pharmaceutical compns. containing, for treatment of neurol. lesions related to traumatic injuries)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)



L47 ANSWER 114 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



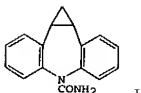


10/074,181

147 ANSWER 115 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1994:164009 CAPLUS  
 DOCUMENT NUMBER: 120:164009  
 TITLE: Improved process for producing 5-carbamoyl-10-oxo-10,11-dihydro-5H-dibenz[b,f]azepine  
 INVENTOR(S): Haasz, Ferenc; Galamb, Vilmos; Szabo, Jozsef, Mrs.; Garadnay, Sandor  
 PATENT ASSIGNER(S): Alkaloida Vegyeszeti Gyar, Hung.  
 SOURCE: Hung. Teljes, 6 pp.  
 CODEN: HUXXB  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Hungarian  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

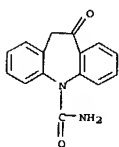
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 63390	A2	19930830	HU 1991-4117	19911227
PRIORITY APPLN. INFO.:			HU 1991-4117	19911227

OTHER SOURCE(S): CASREACT 120:164009  
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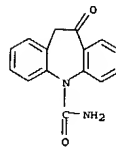


AB A procedure for converting carbamazepine epoxide (I) to the title compound  
 (oxcarbazepine) is characterized by using 1,2-dichloroethane as solvent without cosolvents. The procedure is further characterized by use of LiBr/I or MgBr<sub>2</sub>/I<sub>2</sub> in anhydrous form or as di-Et etherates. Thus, to a solution of I in 1,2-dichloroethane was added MgI<sub>2</sub>.OEt<sub>2</sub>, and the reaction mixture heated to boiling for 0.5 h; workup afforded 58.3% oxcarbazepine.  
 IT 28721-07-5P, Oxcarbazepine  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of oxcarbazepine via rearrangement of carbamazepine epoxide in 1,2-dichloroethane)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

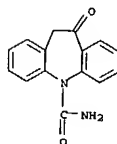
147 ANSWER 116 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1993:247409 CAPLUS  
 DOCUMENT NUMBER: 118:247409  
 TITLE: Anticonvulsant action of oxcarbazepine, hydroxycarbamazepine, and carbamazepine against metrazol-induced motor seizures in developing rats  
 AUTHOR(S): Kubova, Hana; Mares, Pavel  
 CORPORATE SOURCE: Inst. Physiol., Czech. Acad. Sci., Prague, 142 20, Czech.  
 SOURCE: Epilepsia (1993), 34(1), 188-92  
 CODEN: EPIPLA; ISSN: 0013-9580  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Antimetrazol effects of carbamazepine (CBZ, 5, 12.5, 25, or 50 mg/kg), oxcarbazepine (OCBZ, 5, 10, 30, or 60 mg/kg), and hydroxycarbamazepine (HCBZ, the main human metabolite of OCBZ, 10, 30, or 60 mg/kg) were studied in 7-, 12-, 18-, 25-, and/or 90-day-old laboratory rats. No drug affected the incidence of minimal (clonic) metrazol seizures (mMs) in animals aged ≥18 days; in rats aged 7 or 12 days in which mMs are rare under control conditions, the incidence of mMs was increased by lower doses of CBZ and HCBZ. All drugs tested specifically abolished the tonic phase of major generalized tonic-clinic seizures (GMS) in a dose-dependent manner. In addition, CBZ and OCBZ were able to suppress all phases of GMS in the two youngest groups (7- and 12-day-old). There were no marked differences among the three drugs tested (CBZ, OCBZ, and HCBZ) on their action against metrazol-induced seizures during ontogenesis of rats; i.e., all these drugs appeared to possess an identical profile of anticonvulsant action.  
 IT 28721-07-5, Oxcarbazepine  
 (Biological)  
 RL: RAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
 (anticonvulsant action of, in metrazol-induced motor seizures)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



147 ANSWER 115 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



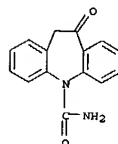
147 ANSWER 117 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1992:543280 CAPLUS  
 DOCUMENT NUMBER: 117:143280  
 TITLE: Effects of oxcarbazepine and carbamazepine on the central nervous system: computerized analysis of saccadic and smooth-pursuit eye movements  
 AUTHOR(S): Zaccara, G.; Gangemi, P. F.; Messori, A.; Parigi, A.; Messori, S.; Valenza, T.; Monza, G. C.  
 CORPORATE SOURCE: Dep. Neurol. Psychiatr. Sci., Univ. Florence, Florence, Italy  
 SOURCE: Acta Neurologica Scandinavica (1992), 85(6), 425-9  
 CODEN: ANRSAS; ISSN: 0001-6314  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Oxcarbazepine (OXC) is a new antiepileptic agent structurally related to carbamazepine (CBZ). OXC seems to have a similar efficacy and a better tolerability profile than CBZ. In the present study the authors compared the subclin. side-effects on the CNS of OXC and CBZ using a computerized anal. of saccadic and smooth-pursuit eye movements. Six healthy male volunteers participated in the study, which was conducted by a double-blind cross-over design. Each subject was given a single dose of either CBZ 400 mg or OXC 600 mg (according to the random assignment) after which the drug effects on eye movements were evaluated. One week later, the trial was repeated using the other drug. The parametrization of both saccadic and smooth-pursuit eye movements was carried out by measuring a series of performance parameters [e.g. the maximum saccade peak velocity (MSPV) and the typical target velocity (TTV)]. OXC was found to induce a lesser degree of alteration on the values of both MSPV (p = 0.07) and TTV (p < 0.03) than CBZ. In particular, the TTV values were virtually unaffected by OXC administration, while the effects of CBZ on both variables were particularly evident at 8 and 10 h after dosing which correspond to the time at which the plasma concns. of CBZ and of its 10,11-epoxide reach the peak. In conclusion, these results indicate that OXC induces negligible alterations, if any, on the eye movement parameters evaluated.  
 IT 28721-07-5, Oxcarbazepine  
 RL: BIOL (Biological study)  
 (saccadic and smooth-pursuit eye movement in humans response to)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



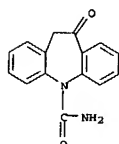
10/074,181

L47 ANSWER 117 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

147 ANSWER 118 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1992:247928 CAPLUS  
 DOCUMENT NUMBER: 116:247928  
 TITLE: Oxcarbazepine does not interact with cimetidine in healthy volunteers  
 AUTHOR(S): Keranen, T.; Jolkkonen, J.; Klosterskov-Jensen, P.; Menge, G. P.  
 CORPORATE SOURCE: Dep. Pharmacol. Toxicol., Univ. Kuopio, Kuopio, Finland  
 SOURCE: Acta Neurologica Scandinavica (1992), 85(4), 239-42  
 CODEN: ANRSAS; ISSN: 0001-6314  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB When cimetidine (CIM) is administered together with the antiepileptic drug carbamazepine (CBZ), a drug interaction may cause a rise in plasma concns. of CBZ, which can result in CBZ-related toxic symptoms. The aim of this cross-over study was to investigate whether CIM influences the disposition and kinetics of the new antiepileptic oxycarbazepine (OXC) and its metabolites. In 8 healthy volunteers there was no difference in AUC, Cmax or tmax when OX was administered either with or without CIM. The results of this study suggest that in the treatment of epilepsy OXC offers an important advantage over the established antiepileptics, especially when concomitant therapy with CIM is required.  
 IT 28721-07-5, Oxcarbazepine  
 RL: BPR (Biological process); RSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 RN [pharmacokinetics of, cimetidine interactions in, in humans]  
 CN 28721-07-5 CAPLUS  
 CA 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



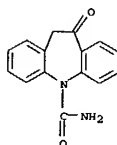
147 ANSWER 119 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1991:622651 CAPLUS  
 DOCUMENT NUMBER: 115:222651  
 TITLE: Solid phase extraction of oxcarbazepine and its metabolites from plasma for analysis by high performance liquid chromatography  
 AUTHOR(S): Hartley, R.; Green, M.; Luccock, M. D.; Ryan, S.; Forsythe, W. I.  
 CORPORATE SOURCE: Univ. Dep. Paediatr. Child Health, Gen. Infirm., Leeds, LS2 9NS, UK  
 SOURCE: Biomedical Chromatography (1991), 5(5), 212-15  
 CODEN: BICHE2; ISSN: 0269-3879  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB A rapid, sensitive and simple-to-operate HPLC method for the simultaneous determination of oxcarbazepine, 10-hydroxycarbazepine and 10,11-dihydro-10,11-trans-dihydroxycarbazepine in plasma is described. The drug and its metabolites were extracted from plasma using com. available reversed phase octadecylsilane bonded-silica columns (Bond Elut C18, 1 mL capacity). Chromatog. separation of oxcarbazepine and its metabolites was achieved using a mobile phase consisting of acetonitrile/methanol/water (13:25:62 by volume) at a flow rate of 1.2 mL/min in conjunction with a Waters Asaoca. Nova-Pak C18 column. The anal. column, in Radial-Pak cartridge form, was used in combination with a LiChrospher 5 µm C18 guard column. By measuring the UV absorbance at 214 nm, plasma levels in the region of 50-100 ng/mL for the drug and its metabolites can be detected with only 100 µL of plasma. The method has been applied to pharmacokinetic studies of oxcarbazepine and its metabolites in children with epilepsy; preliminary pharmacokinetic findings in two patients at steady-state are presented.  
 IT 28721-07-5, Oxcarbazepine  
 RL: ANT (Analyte); ANST (Analytical study)  
 (determination of, in human blood, by HPLC, pharmacokinetics in relation to)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



147 ANSWER 120 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1991:566657 CAPLUS  
 DOCUMENT NUMBER: 115:166657  
 TITLE: Intravenous solutions of antiepileptics  
 INVENTOR(S): Steulet, Anne Francoise; Schmutz, Markus; Maitre, Laurent; Bernasconi, Raymond; Stahl, Peter Heinrich  
 PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.  
 SOURCE: Eur. Pat. Appl., 12 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

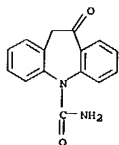
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 435826	A1	19910703	EP 1990-811002	19901218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AU 9068412	A1	19910704	AU 1990-68412	19901221
CA 2033118	AA	19910628	CA 1990-2033118	19901224
PRIORITY APPL. INFO.:			CH 1989-4653	19891227

AB γ-Cyclodextrin ethers are solubilization agents for the antiepileptics carbamazepine and oxcarbazepine. An injection is prepared by making a solution of 100 g hydroxypropyl-γ-cyclodextrin in 100 mL water, and dissolving 1500 mg carbamazepine in 100 mL of this solution  
 IT 28721-07-5, Oxcarbazepine  
 RL: BIOL (Biological study)  
 (injection solns. of, γ-cyclodextrin ether solubilization agents in)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

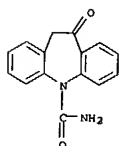


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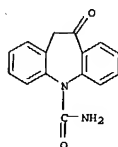
127 ANSWER 121 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN  
 ACCESSION NUMBER: 1990:434383 CAPLUS  
 DOCUMENT NUMBER: 113:34383  
 TITLE: Oxcarbazepine disposition: preliminary observations in patients  
 AUTHOR(S): Rump, A.; Wurth, C.  
 CORPORATE SOURCE: Pharm. Inst., Free Univ. Brussels, Brussels, B-1050, Belg.  
 SOURCE: Biopharmaceutics & Drug Disposition (1990), 11(4), 365-70  
 CODEN: BDDID8; ISSN: 0142-2782  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The concns. of 2 hydroxylated metabolites of oxcarbazepine (OCZ), a new anticonvulsant substance, were measured in the plasma of 15 patients with epilepsy. Their ages ranged from 8 to 68 yr, 6 of them also received phenobarbital and/or phenytoin as co-medication. The concentration of 10-hydroxy-10,11-dihydrocarbamazepine (HCBZ) or the trans-10,11-dihydrocarbamazepine (DHCBZ) are correlated with the dose of OCZ. DHCBZ concns., standardized to a constant OCZ dose or to a constant HCBZ concentration, are higher during co-medication, HCBZ levels are unaffected. These results confirm that enzyme-inducing drugs, although accelerating the oxidation HCBZ, do not induce its formation. Since HCBZ is the active metabolite, such drug interaction seems unlikely to alter OCZ pharmacol. activity.  
 IT 28721-07-5  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process) (metabolism of, phenytoin and phenobarbital effects on, in humans with epilepsy)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



127 ANSWER 123 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN  
 ACCESSION NUMBER: 1984:17500 CAPLUS  
 DOCUMENT NUMBER: 100:17500  
 TITLE: Specific and potent interactions of carbamazepine with brain adenosine receptors  
 AUTHOR(S): Marangos, Paul J.; Post, Robert M.; Patel, Jitendra; Zander, Karl; Parma, Alexandra; Weiss, Susan  
 CORPORATE SOURCE: Sect. Histopharmacol., Natl. Inst. Ment. Health, Bethesda, MD, 20205, USA  
 SOURCE: European Journal of Pharmacology (1983), 93(3-4), 175-82  
 CODEN: EJPHAZ; ISSN: 0014-2999  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Carbamazepine [298-46-4], a drug effective in pain, seizure, and affective disorders, was screened for its ability to interact with a variety of neurotransmitter and neuromodulator binding sites on brain membranes. The most potent effect was observed on binding of the adenosine antagonist [3H]diethylphenylxanthine (DPX) to the adenosine [58-61-7] receptor, followed by that on the adenosine agonist [3H]cyclohexyladenosine (CHA). Lower-potency effects were observed on benzodiazepine receptors, and no inhibition was seen in a variety of other systems. The inhibition of adenosine receptor binding by carbamazepine was competitive. No correlation was observed between the potency of a series of carbamazepine analogs as inhibitors of either [3H]DPX, [3H]CHA, or [3H]diazepam binding and their ability to inhibit electroshock-induced convulsions, suggesting that the anticonvulsant properties of these agents are not mediated by the adenosine receptor, but raising the possibility that the other clin. effects of carbamazepine may relate to its ability to act at the adenosine receptor.  
 IT 28721-07-5  
 RL: BIOL (Biological study) (adenosine and benzodiazepine receptors of brain interaction with)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



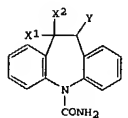
127 ANSWER 122 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN  
 ACCESSION NUMBER: 1988:466839 CAPLUS  
 DOCUMENT NUMBER: 109:66839  
 TITLE: Inhibition or enhancement of kindling evolution by antiepileptics  
 AUTHOR(S): Schmutz, M.; Klebs, K.; Baltzer, V.  
 CORPORATE SOURCE: Biol. Res. Lab., Ciba-Geigy Ltd., Basel, CH-4002, Switz.  
 SOURCE: Journal of Neural Transmission (1972-1989) (1988), 72(3), 245-57  
 CODEN: JNTMAH; ISSN: 0300-9564  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The influence of antiepileptics on the evolution of rat amygdaloid kindling was studied. Under placebo conditions clonic convulsions and a spike-wave EEG pattern developed. Diazepam, clonazepam, clobazam and phenobarbital were most effective in suppressing the evolution of kindling; the effects of valproate sodium, ethosuximide and acetazolamide were somewhat less pronounced in this respect. Carbamazepine, oxcarbazepine and phenytoin, on the other hand, enhanced kindling development, i.e. the increase in duration of after-discharge was faster than in the placebo group. Apparently, drugs with no anti-absence component can be distinguished from those with an anti-absence component. The mechanism of action underlying the observed effects is not yet known; the hypothesis that under special conditions protective inhibitory neuronal activity can develop to absence-type seizures is proposed.  
 IT 28721-07-5, Oxcarbazepine  
 RL: BIOL (Biological study) (kindling evolution response to)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



127 ANSWER 124 OF 131 CAPLUS COPYRIGHT 2004 ACS ON STN  
 ACCESSION NUMBER: 1983:132316 CAPLUS  
 DOCUMENT NUMBER: 98:132316  
 TITLE: Prevention and treatment of cerebral insufficiency  
 PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.  
 SOURCE: Belg., 14 pp.  
 CODEN: BEXXAL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 892882	A1	19821018	BE 1982-207855	19820416
CH 649080	A	19850430	CH 1981-2565	19810416
US 4409212	A	19831011	US 1982-366792	19820409
AU 8282631	A1	19821021	AU 1982-82633	19820415
ZA 8202568	A	19821229	ZA 1982-2568	19820415
JP 57181013	A2	19821108	JP 1982-62646	19820416
			CH 1981-2565	19810416

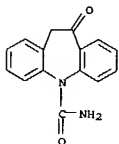
PRIORITY APPLN. INFO.:  
 GI



AB Cerebral insufficiency can be treated by 2-17 mg/kg of oral or rectal administration of 5H-dibenz[b,f]azepine-5-carboxamides (I, X1 = H, Cl, OH or CN; X2 = H, X2Y represent an addnl. bond, Y = H, X1 and X2 = O).  
 Thus, 1000 compressed tablets were prepared containing 5H-dibenz[b,f]azepine-5-carboxamide [298-46-4] 50, lactose 500, potato starch 352, gelatin 8 and talc 60, Mg stearate 10, SiO2 20 g and EtOH sufficient quantity.  
 IT 28721-07-5  
 RL: BIOL (Biological study) (cerebral insufficiency treatment with)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

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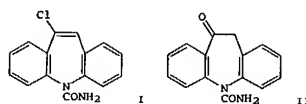
L47 ANSWER 124 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ANSWER 125 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1981:587104 CAPLUS  
 DOCUMENT NUMBER: 95:187104  
 TITLE: 10-Oxo-10,11-dihydro-5-H-dibenzo[b,f]azepine-5-carboxamide  
 PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.  
 SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.  
 CODEN: JKXXAP  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

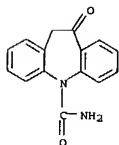
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 56073066	A2	19810617	JP 1980-151525	19801030
CH 642950	A	19840515	CH 1979-9704	19791030
ES 496332	A1	19811016	ES 1980-496332	19801028
SE 8007597	A	19810501	SE 1980-7597	19801029
SE 447106	B	19861027		
SE 447106	C	19870205		
DK 8004576	A	19810501	DK 1980-4576	19801029
NO 8003229	A	19810504	NO 1980-3229	19801029
NO 153368	B	19851125		
NO 153368	C	19860305		
AT 8005319	A	19840215	AT 1980-5319	19801029
AT 375926	B	19840925		
PRIORITY APPLN. INFO.:			CH 1979-9704	19791030

GI



AB Stirring I with 96% H2SO4 at room temperature 76 h gave 64% of the title compound (II).  
 IT 28721-07-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

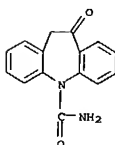
L47 ANSWER 125 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ANSWER 126 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1981:569015 CAPLUS  
 DOCUMENT NUMBER: 95:169015  
 TITLE: 5-Cyano-5H-dibenz[b,f]azepine and 5H-dibenz[b,f]azepine-5-carboxamide  
 INVENTOR(S): Aufderhaar, Ernst; Sprecher, Klemenz; Zergenyi, Janos  
 PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.  
 SOURCE: Eur. Pat. Appl., 16 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 29409	A1	19810527	EP 1980-810321	19801024
EP 29409	B1	19840815		
R: BE, CH, DE, FR, GB, IT, NL, SE				
JP 56081565	A2	19810703	JP 1980-138841	19801006
JP 01044703	B4	19890929		
ES 496334	A1	19820301	ES 1980-496334	19801028
DK 8004575	A	19810501	DK 1980-4575	19801029
US 4436660	A	19840313	US 1982-378464	19820514
JP 01045369	A2	19890217	JP 1980-179280	19800720
JP 02048548	B4	19901025		
PRIORITY APPLN. INFO.:			CH 1979-9705	19791030
			US 1980-198887	19801020

AB The title cyano compound (I) was prepared by the reaction of 5H-dibenz[b,f]azepine (II) with a cyanogen halide in the presence of a strongly polar substance, e.g., an N-alkylated carboxamide or phosphoramidate, which can serve both as a catalyst and as a solvent. I was hydrolyzed to the title carboxamide. Thus, ClCN reacted with II in AcNMe2 at 30° to give 70% I.  
 IT 28721-07-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



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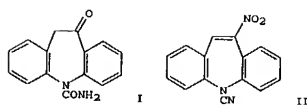
L47 ANSWER 126 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L47 ANSWER 127 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1981:515325 CAPLUS  
 DOCUMENT NUMBER: 95:115325  
 TITLE: Oxo compound, and intermediates required therefor  
 INVENTOR(S): Aufderhaar, Ernst  
 PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.  
 SOURCE: Eur. Pat. Appl., 42 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

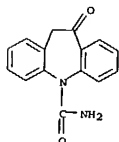
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 28028	A2	19810506	EP 1980-106590	19801027
EP 28028	A3	19810826		
EP 28028	B1	19850522		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
FI 8003078	A	19810501	FI 1980-3078	19800929
FI 75561	B	19880331		
FI 75561	C	19880711		
AT 13426	E	19850615	AT 1980-106590	19801027
DD 153835	C	19820203	DD 1980-224781	19801028
ES 496333	A1	19821101	ES 1980-496333	19801028
CA 1163993	A1	19840320	CA 1980-363450	19801028
IL 61360	A1	19840430	IL 1980-61360	19801028
DK 8004577	A	19810501	DK 1980-4577	19801029
DK 163302	B	19920217		
DK 163302	C	19920706		
NO 8003228	A	19810504	NO 1980-3228	19801029
NO 154725	B	19860901		
NO 154725	C	19861210		
AU 8063805	A1	19810507	AU 1980-63805	19801029
AU 538069	B2	19840726		
ZA 8006643	A	19811028	ZA 1980-6643	19801029
HU 23237	O	19820830	HU 1980-2613	19801029
HU 181208	B	19830628		
JP 56073067	A2	19810617	JP 1980-151526	19801030
JP 01014225	B4	19890310		
US 4452738	A	19840605	US 1983-498226	19830526
US 4559174	A	19851217	US 1983-559861	19831212
US 4540514	A	19850910	US 1984-584056	19840227
US 4579683	A	19860401	US 1984-584057	19840227
JP 01045366	A2	19890217	JP 1988-180431	19880721
JP 02040660	B4	19900912		
JP 01045370	A2	19890217	JP 1988-180432	19880721
JP 02040661	B4	19900912		
JP 01045367	A2	19890217	JP 1988-180433	19880721
JP 03014025	B4	19910225		
JP 01045368	A2	19890217	JP 1988-180434	19880721
JP 02040662	B4	19900912		
DK 9100990	A	19910524	DK 1991-990	19910524
DK 9100991	A	19910524	DK 1991-991	19910524
DK 9100992	A	19910524	DK 1991-992	19910524
DK 9100993	A	19910524	DK 1991-993	19910524

L47 ANSWER 127 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 DK 170335 B1 19950807  
 PRIORITY APPLN. INFO.:  
 CH 1979-9703 19791030  
 US 1980-198886 19801020  
 EP 1980-106590 19801027  
 US 1983-498226 19830526  
 US 1983-519620 19830802

GI



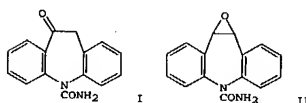
AB I was prepared from 5H-benz[b,f]azepine-5-carbonitrile (II) via nitration.  
 Thus, 5.6 g NaNO<sub>2</sub> in 10 mL H<sub>2</sub>O were added dropwise over 1.5 h to 6.0 g II in 80 mL Ac<sub>2</sub>O and 20 mL AcOH at 50-55°, and the mixture was heated 2 h at 50° to give III, which (26.3 g) was suspended in 100 mL AcOH and treated with 50 mL 15% BF<sub>3</sub> in AcOH, as the temperature rose to 34° and dissoln. occurred; 30 mL H<sub>2</sub>O were added over 30 min (as the temperature rose to 37°), 40 g powdered Fe added over 20 min as the temperature rose to, and was held at, 65-70°, and the mixture was stirred 15 min to give I.  
 IT 28721-07-5P  
 RL: IMF (Industrial manufacture); PREP (Preparation) (manufacture of)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)



L47 ANSWER 128 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1980:128762 CAPLUS  
 DOCUMENT NUMBER: 92:128762  
 TITLE: 10-Oxo-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide  
 PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.  
 SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

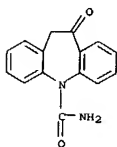
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 54138588	A2	19791027	JP 1979-46802	19790418
CH 633271	A	19821130	CH 1978-4134	19780418
NL 7902811	A	19791022	NL 1979-2811	19790410
CA 1112241	A1	19811110	CA 1979-325802	19790412
ES 479600	A1	19790716	ES 1979-479600	19790416
SE 7903228	A	19791019	SE 1979-3328	19790417
DK 7901577	A	19791019	DK 1979-1577	19790417
NO 7901274	A	19791019	NO 1979-1274	19790417
NO 149776	B	19840312		
NO 149776	C	19840620		
FI 7901233	A	19791019	FI 1979-1233	19790417
FI 70010	B	19860111		
FI 70010	C	19860912		
HU 24618	O	19830328	HU 1979-CI1926	19790417
HU 182477	B	19840130		
AT 7902883	A	19830715	AT 1979-2883	19790417
AT 373877	B	19840227		
PRIORITY APPLN. INFO.:			CH 1978-4134	19780418

OTHER SOURCE(S): CASREACT 92:128762  
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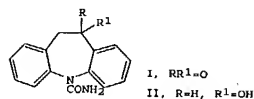


AB Dibenzazepinone I was prepared by rearrangement of epoxide II in the presence of Li, Mg or Ca bromides or iodides. Thus, 5.0 g LiI.2H<sub>2</sub>O was added to 5.0 g II in CHCl<sub>3</sub> and the mixture refluxed 30 min to give 82% I. Similarly used were MgI<sub>2</sub>-Et<sub>2</sub>O, LiBr, and CaI<sub>2</sub>.  
 IT 28721-07-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA INDEX NAME)

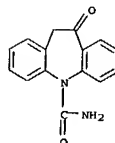
10/074,181

L47 ANSWER 128 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
INDEX NAME)

✓  
L47 ANSWER 129 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1979:115157 CAPLUS  
DOCUMENT NUMBER: 90:115157  
TITLE: Experimental anticonvulsive properties of GP 47 680 and GP 47 779, its main human metabolite; compounds related to carbamazepine  
AUTHOR(S): Baltzer, V.; Schmutz, M.  
CORPORATE SOURCE: Pharm. Div., CIBA-GEIGY Ltd., Basel, Switz.  
SOURCE: Adv. Epileptol., Proc. Congr. Int. League Epilepsy, 13th (1978), Meeting Date 1977, 295-9. Editor(s): Meinardi, H.; Rowan, A. J. Swets Publ. Serv.: Lisse, Neth.  
CODEN: 39UUVV  
DOCUMENT TYPE: Conference  
LANGUAGE: English  
GI



AB The activity of GP 47680 (I) [28721-07-5] or GP 47779 (II) [29331-92-8] against electroshock seizures was more pronounced than that against strychnine and picrotoxin. It was about 1/2 that of carbamazepine in rats and mice. The marked inhibitory effect of II in the hippocampal afterdischarge test in the cat indicated a beneficial effect of I in temporal lobe epilepsy.  
IT 28721-07-5  
RL: BIOL (Biological study)  
(anticonvulsant)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)



L47 ANSWER 129 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

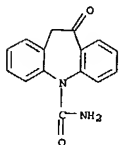
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L47 ANSWER 130 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1970:530908 CAPLUS  
DOCUMENT NUMBER: 72:130908  
TITLE: Anticonvulsive, myorelaxant, and sedative 10-hydroxy-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide  
INVENTOR(S): Schindler, Walter  
PATENT ASSIGNEE(S): Geigy, J. R., A.-G.  
SOURCE: Ger. Offen., 12 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2011045	A	19701008	DE 1970-2011045	19700309
DE 2011045	C3	19790531		
DE 2011045	B2	19781005		
CH 505101	A	19710331	CH 1969-505101	19690331
NL 7003026	A	19701002	NL 1970-3026	19700303
NL 159972	B	19790417		
SE 354069	B	19730226	SE 1970-2771	19700303
BR 7017333	A0	19730531	BR 1970-217333	19700303
FI 50524	B	19751231	FI 1970-560	19700303
DK 133898	B	19760809	DK 1970-1046	19700303
BE 747086	A	19700909	BE 1970-747086	19700309
FR 2035999	A5	19701224	FR 1970-8345	19700309
FR 2035999	B1	19730406		
AT 294106	B	19711110	AT 1970-2186	19700309
ES 377280	A1	19720616	ES 1970-377280	19700309
GB 1310120	A	19730314	GB 1970-11111	19700309
CS 154295	P	19740329	CS 1970-1557	19700309
NO 131546	B	19750310	NO 1970-757	19700309
PL 80544	P	19750830	PL 1970-139289	19700309
PRIORITY APPLN. INFO.:			CH 1969-4844	19690331

GI For diagram(s), see printed CA Issue.  
AB The title compound (I), useful for treating psychosomatic diseases, epilepsy, trigeminal neuralgia, and cerebral spasm, was prepared in 76% yield by hydrogenation of the corresponding 10-oxo compound (II) in the presence of Cu chromite in dioxane at 100-10°. II was prepared according to Belg. 597,793. Formulations containing I were reported.  
IT 28721-07-5P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(Preparation of)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
(CA INDEX NAME)

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L47 ANSWER 130 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



147 ANSWER 131 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1970:509711 CAPLUS  
 DOCUMENT NUMBER: 73:109711  
 TITLE: Central suppressive 10-oxo-10,11-dihydro-5H-dibenz(b,f)azepine-5-carboxamide  
 INVENTOR(S): Schindler, Walter  
 PATENT ASSIGNEE(S): Geigy, J. R., A.-G.  
 SOURCE: Ger. Offen., 12 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2011087	A	19700924	DE 1970-2011087	19700309
DE 2011087	B2	19781221		
DE 2011087	C3	19790830		
CH 500196	A	19701215	CH 1969-500196	19690310
NL 7003022	A	19700914	NL 1970-3022	19700303
NL 162904	B	19800215		
NL 162904	C	19800715		
SE 349301	B	19720925	SE 1970-2770	19700303
DK 125649	B	19730319	DK 1970-1045	19700303
NQ 130314	B	19740812	NQ 1970-756	19700303
FI 50523	B	19751231	FI 1970-559	19700303
US 3642775	A	19720215	US 1970-16552	19700304
DE 747085	A	19700909	DE 1970-747085	19700309
FR 2034781	A5	19701218	FR 1970-8344	19700309
FR 2034781	B1	19730406		
AT 298492	B	19720510	AT 1970-2187	19700309
ES 377279	A1	19721216	ES 1970-377279	19700309
BR 7017332	A0	19730104	BR 1970-217332	19700309
GB 1310571	A	19730321	GB 1970-11110	19700309
CS 154294	P	19740329	CS 1970-1556	19700309
PL 80549	P	19750830	PL 1970-139290	19700309
US 3716640	A	19730213	US 1971-182213	19710920
PRIORITY APPLN. INFO.:			CH 1969-3583	19690310
			US 1970-16552	19700304

GI For diagram(s), see printed CA Issue.  
 AB The title compound (I) was prepared from II (R = CONH<sub>2</sub>). I was used as a drug against psychosomatic diseases, epilepsy, trigeminal neuralgia, and cerebral spasms. II (R = COCl), prepared from II (R = H) with COCl<sub>2</sub> in PhMe, was refluxed with EtOH. NH<sub>3</sub> was passed into the solution 4 hr to give II (R = CONH<sub>2</sub>), which on refluxing with 2N HCl gave I.  
 IT 28721-07-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation of)  
 (preparation of)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz(b,f)azepine-5-carboxamide, 10,11-dihydro-10-oxo- (8CI, 9CI)  
 (CA

L47 ANSWER 131 OF 131 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

